Jan DELAVAL

Please. Thanks!

Access DB# 57847

SEARCH REQUEST FORM

Scientific and Technical Inf rmati n Center

Requester's Full Name: Josephine Young Examiner #: 79813 Date: 2/26/03

Art Unit: 1623 Phone Number 30 605-1201 Serial Number: 10/621,373

Mail Box and Bldg/Room Location: 8D04 Results Format Preferred (circle): PAPER DISK **E-MAIL** (circled)

8-C19

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Purine L-nucleosides, analogs and uses thereof

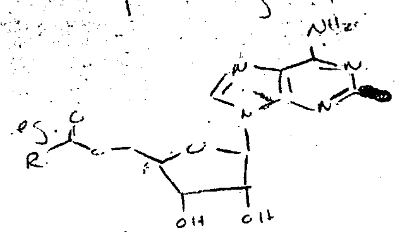
Inventors (please provide full names): DEVRON; Averett

Earliest Priority Filing Date: 10/16/1996

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Attached: 1) Pending Claims; 2) Bib Sheet; 3) Assignment Info.

Please search for any nucleoside (e.g. L-adenosine) 5' or 3' prodrugs of a purine, preferably as ester or amino acid



(don't worry about stereochemistry)

R = alkyl...

amino acid.

prior to 10/16/1996

Thanks!

Jan Delaval

Reference Librarian

Biotechnology & Chemical Library

CM1 1E07 – 703-308-4498

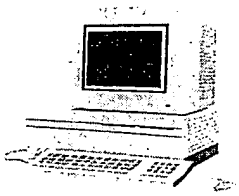
jan.delaval@uspto.gov

****

STAFF USE ONLY		Type of Search		Vendors and cost where applicable	
Searcher:	Jan	NA Sequence (#)		STN	✓
Searcher Phone #:	4498	AA Sequence (#)		Dialog	
Searcher Location:		Structure (#)	✓	Questel/Orbit	
Date Searcher Picked Up:	3/23/03	Bibliographic		Dr.Link	
Date Completed:	3/23/03	Litigation		Lexis/Nexis	
Searcher Prep & Review Time:		Fulltext		Sequence Systems	
Clerical Prep Time:	40	Patent Family		WWW/Internet	
Online Time:	+90	Other		Other (specify)	

PTO-1590 (8-01)

BioTech-Chem Library
Search Results
Feedback Form (Optional)



Scientific & Technical Information Center

The search results generated for your recent request are attached. If you have any questions or comments (compliments or complaints) about the scope or the results of the search, please contact ***the BioTech-Chem searcher*** who conducted the search ***or contact***:

Mary Hale, Supervisor, 308-4258
CM-1 Room 1E01

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* *(Example: 1610)*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found**:*
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Search results were not useful in determining patentability or understanding the invention.

Other Comments:

Drop off completed forms at the **Circulation Desk CM-1,** or send to Mary Hale, **CM1-1E01 or e-mail mary.hale@uspto.gov.**

```
=> fil reg
FILE 'REGISTRY' ENTERED AT 09:07:30 ON 23 MAR 2003
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STRUCTURE FILE UPDATES:   21 MAR 2003  HIGHEST RN 500256-84-8
DICTIONARY FILE UPDATES:  21 MAR 2003  HIGHEST RN 500256-84-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d sta que l9
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L7               STR
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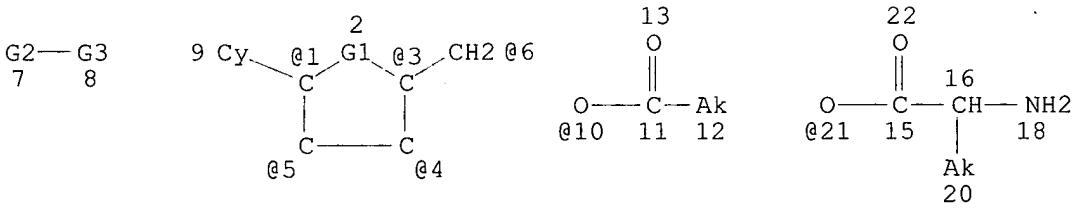


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VAR G2=1/5/4/3/6
VAR G3=10/21
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GGCAT   IS PCY  AT   9
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   1
NUMBER OF NODES IS  19

STEREO ATTRIBUTES: NONE
L9         8131 SEA FILE=REGISTRY SUB=L6 SSS FUL L7

100.0% PROCESSED 108903 ITERATIONS                    8131 ANSWERS
SEARCH TIME: 00.00.04


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FILE 'HCAPLUS' ENTERED AT 09:07:43 ON 23 MAR 2003
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```

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Reference Librarian
Biotechnology & Chemical Library
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jan.delaval@uspto.gov

FILE COVERS 1907 - 23 Mar 2003 VOL 138 ISS 13
FILE LAST UPDATED: 21 Mar 2003 (20030321/ED)

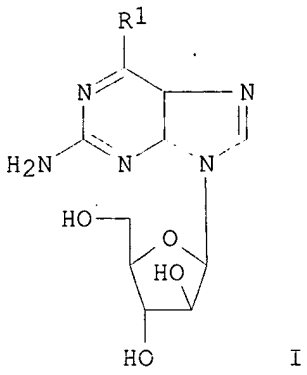
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all hitstr tot l19

L19 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2003 ACS
AN 1998:672473 HCAPLUS
DN 129:285982
TI Method for treating B-cell tumors with ara-G nucleoside derivatives
IN **Averett, Devron Randolph**; Koszalka, George Walter; Krenitsky, Thomas Anthony; McGuirt, Paul Vestal
PA Glaxo Group Ltd., UK
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-70
CC 1-6 (Pharmacology)
Section cross-reference(s): 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9842352	A1	19981001	WO 1998-US5771	19980323
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9867711	A1	19981020	AU 1998-67711	19980323
PRAI	US 1997-42352P	P	19970324		
	GB 1997-6296	A	19970326		
	WO 1998-US5771	W	19980323		

OS MARPAT 129:285982
GI



I

AB The invention relates to the treatment of B-cell lineage tumors (e.g. acute or chronic lymphocytic leukemias, acute or chronic myelogenous leukemias, or Hodgkin's or non Hodgkin's lymphomas) using arabinofuranosyl purine (ara-G) derivs. I (R1 = C1-5 alkoxy) or a pharmaceutically acceptable deriv. thereof (e.g. compds. esterified or derivatized on the sugar residue). These compds. can also be used in combination with a second therapeutic agent such as fludarabine for the same use(s).

ST ara G deriv B cell tumor; fludarabine araG deriv B cell tumor

IT Antitumor agents
Antitumor agents
(B-cell lymphoma; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Antitumor agents
Antitumor agents
(Hodgkin's disease inhibitors; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Antitumor agents
Antitumor agents
(acute lymphocytic leukemia; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Antitumor agents
(acute myelogenous leukemia; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Drug delivery systems
(capsules, controlled-release; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Drug delivery systems
(capsules; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Antitumor agents
Antitumor agents
(chronic lymphocytic leukemia; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Antitumor agents
(chronic myelocytic leukemia; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Drug delivery systems
(freeze-dried; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Hodgkin's disease
Hodgkin's disease
(inhibitors; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Drug delivery systems
(injections, i.m.; ara-G nucleoside derivs. for B-cell tumor treatment)

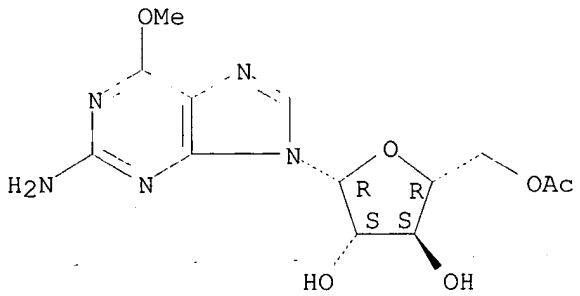
IT Drug delivery systems
(injections; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Antitumor agents
(leukemia; ara-G nucleoside derivs. for B-cell tumor treatment)

IT Antitumor agents

(lymphoma; ara-G nucleoside derivs. for B-cell tumor treatment)
IT Antitumor agents
(myeloma; ara-G nucleoside derivs. for B-cell tumor treatment)
IT Antitumor agents
Antitumor agents
(non-Hodgkin's lymphoma; ara-G nucleoside derivs. for B-cell tumor treatment)
IT Drug delivery systems
(tablets, controlled-release; ara-G nucleoside derivs. for B-cell tumor treatment)
IT Drug delivery systems
(tablets; ara-G nucleoside derivs. for B-cell tumor treatment)
IT 38819-10-2D, derivs. 121032-29-9 **141140-50-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ara-G nucleoside derivs. for B-cell tumor treatment)
IT 21679-14-1, Fludarabine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ara-G nucleoside derivs. with other agents for B-cell tumor treatment)
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Arbuck; ANALS OF ONCOLOGY, 6TH INT CONF ON MALIGNANT LYMPHOMA 1997, V8(Suppl 1), P119
(2) Fridland; PROC SOC EXP BIOL MED 1985, V179(4), P456 HCAPLUS
(3) Ho; ONCOLOGY (HUNTINGT) 1996, V10(12), P1831 MEDLINE
(4) Keating; CLINICAL CANCER RESEARCH 1997, V3(12), P2598
(5) Krenitsky; US 5492897 A 1992 HCAPLUS
(6) Krenitsky; WO 9201456 A 1992 HCAPLUS
(7) McMurry; WO 9429312 A 1994 HCAPLUS
(8) Rodriguez; CLINICAL CANCER RESEARCH 1997, V3(11), P2107 HCAPLUS
(9) Rodriguez; PROC ANNU MEET AM ASSOC CANCER RES 1997, V38, P100
(10) Verhoef; CANCER RESEARCH 1985, V45(8), P3646 HCAPLUS
IT **141140-50-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ara-G nucleoside derivs. for B-cell tumor treatment)
RN 141140-50-3 HCAPLUS
CN 9H-Purin-2-amine, 9-(5-O-acetyl-.beta.-D-arabinofuranosyl)-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2003 ACS
AN 1992:658230 HCAPLUS
DN 117:258230

TI pharmaceuticals containing 9-(3-azido-2,3-dideoxy-.beta.-D-erythro-pentofuranosyl)guanine for the treatment of hepatitis B
IN Rideout, Janet Litster; **Averett, Devron Randolph**; Freeman, George Andrew
PA **Wellcome Foundation Ltd., UK**
SO Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM A61K031-70
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 28
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 505181	A1	19920923	EP 1992-302373	19920319 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
WO 9216215	A1	19921001	WO 1992-GB491	19920319 <--
W: JP, US				

PRAI GB 1991-5899 19910320 <--
AB 9-(3-Azido-2,3-dideoxy-5-O-acetyl-.beta.-D-erythro-pentofuranosyl) N-2-palmitoylguanine (prepn. given) was dissolved in EtOH:concd. NH4OH (9:1) at reflux and the pptd. solids were collected by filtration to obtain the title compd. A tablet contained the title compd. 250, lactose 26, povidone 9, Na starch glycolate 12, Mg stearate 3 mg/tablet. The antiviral activity of the compn. against duck hepatitis B virus was studied in vitro.
ST azidofuranosylguanine prepn hepatitis B tablet; guanine azidofuranosyl hepatitis B tablet
IT Hepatitis
(B, infection with, prophylaxis and treatment of, with pharmaceutical compns. contg. azidofuranosylguanine derivs.)
IT Pharmaceutical dosage forms
(capsules, azidofuranosylguanine deriv. in, for prophylaxis and treatment of hepatitis B viral infections)
IT Pharmaceutical dosage forms
(capsules, controlled-release, azidofuranosylguanine deriv. in, for prophylaxis and treatment of hepatitis B viral infections)
IT Pharmaceutical dosage forms
(injections, azidofuranosylguanine deriv. in, for prophylaxis and treatment of hepatitis B viral infections)
IT Pharmaceutical dosage forms
(injections, i.m., azidofuranosylguanine deriv. in, for prophylaxis and treatment of hepatitis B viral infections)
IT Pharmaceutical dosage forms
(solns., azidofuranosylguanine deriv. in, for prophylaxis and treatment of hepatitis B viral infections)
IT Pharmaceutical dosage forms
(suppositories, azidofuranosylguanine deriv. in, for prophylaxis and treatment of hepatitis B viral infections)
IT Pharmaceutical dosage forms
(suppositories, vaginal, azidofuranosylguanine deriv. in, for prophylaxis and treatment of hepatitis B viral infections)
IT Pharmaceutical dosage forms
(syrups, azidofuranosylguanine deriv. in, for prophylaxis and treatment of hepatitis B viral infections)
IT Pharmaceutical dosage forms
(tablets, azidofuranosylguanine deriv. in, for prophylaxis and treatment of hepatitis B viral infections)
IT Pharmaceutical dosage forms
(tablets, controlled-release, azidofuranosylguanine deriv. in, for prophylaxis and treatment of hepatitis B viral infections)
IT **144742-33-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

IT 66323-46-4P
RL: PREP (Preparation)
(prepn. of, for treatment and prophylaxis of hepatitis B)

IT 27607-77-8, Trimethylsilyl trifluoromethanesulfonate 66323-42-0, 5'-O-Acetyl-3'-azido-3'-deoxythymidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of azidofuranosylguanosine deriv.)

IT 999-97-3, 1,1,1,3,3,3,-Hexamethyldisilazane
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with palmitoylguanine and silane derivs.)

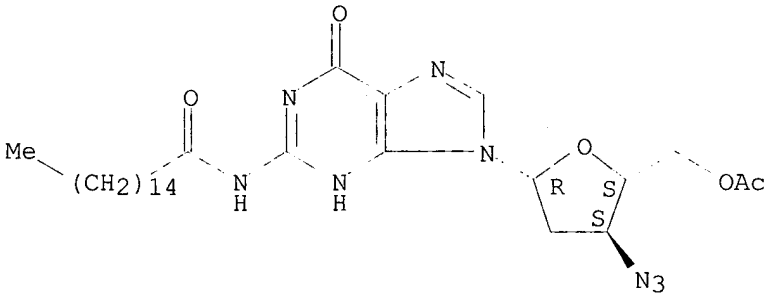
IT 75-77-4, Chlorotrimethylsilane, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with palmitoylguanine and silazane derivs.)

IT 21047-87-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with silazane and silane derivs.)

IT **144742-33-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

RN 144742-33-6 HCAPLUS
CN Guanosine, 3'-azido-2',3'-dideoxy-N-(1-oxohexadecyl)-, 5'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2003 ACS
AN 1992:236100 HCAPLUS
DN 116:236100
TI Preparation of 2-amino-6-alkoxy-9-(.beta.-D-arabinofuranosyl)-9H-purines and esters as antitumor agents
IN Krenitsky, Thomas Anthony; **Averett, Devron Randolph**; Wilson, Jeffrey Douglas; Moorman, Allan Ray; Koszalka, George Walter; Chamberlain, Stanley Dawes; Porter, David; Wolberg, Gerald
PA **Wellcome Foundation Ltd., UK**
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-70
ICS C07H019-19
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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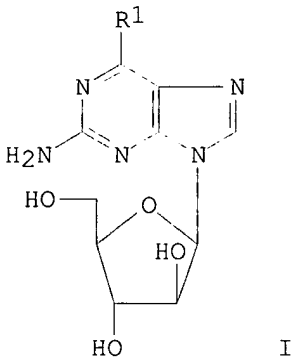
PI WO 9201456 A1 19920206 WO 1991-GB1196 19910718 <--
W: AU, BG, CA, CS, FI, HU, JP, KR, MC, NO, PL, RO, SU, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
AU 9181960 A1 19920218 AU 1991-81960 19910718 <--
AU 641533 B2 19930923
ZA 9105656 A 19930331 ZA 1991-5656 19910718 <--
EP 539479 A1 19930505 EP 1991-913553 19910718 <--
EP 539479 B1 19970416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
HU 62911 A2 19930628 HU 1993-115 19910718 <--
JP 05508649 T2 19931202 JP 1991-512249 19910718 <--
JP 2873086 B2 19990324
IL 98881 A1 19960119 IL 1991-98881 19910718 <--
AT 151637 E 19970515 AT 1991-913553 19910718 <--
ES 2103311 T3 19970916 ES 1991-913553 19910718 <--
RU 2114860 C1 19980710 RU 1993-4860 19910718 <--
CA 2087543 C 19980825 CA 1991-2087543 19910718 <--
US 5492897 A 19960220 US 1994-224343 19940407 <--
US 5821236 A 19981013 US 1995-449078 19950524 <--
PRAI GB 1990-15914 19900719 <--
US 1991-731969 19910718 <--
WO 1991-GB1196 19910718 <--
US 1994-224343 19940407 <--
OS MARPAT 116:236100
GI



I

AB Title compds. I [R1 = C1-5 alkoxy] and their pharmaceutically acceptable esters are used as antitumor agents. Thus, 2-amino-9-(.beta.-D-arabinofuranosyl)-6-methoxy-9H-purine (II) was acetylated by Ac2O and the 2,3,5-tri-O-acetyl deriv. formed was treated with AcONa and H2NOH.HCl in pyridine to give 9-(3,5-di-O-acetyl-.beta.-D-arabinofuranosyl)-2-amino-6-methoxy-9H-purine (III). II selectivity inhibited human T-cell line (Molt 4) in contrast to human B-cell line (IM9). Oral bioavailability data for III in monkeys is given.

ST aminoarabinofuranosylmethoxypurine ester prepn antitumor
IT Neoplasm inhibitors
(arabinofuranosyl purines and pharmaceutically acceptable esters as)
IT Nucleosides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(arabinofuranosyl purine, prepn. of, as neoplasm inhibitors)
IT **141140-49-0P 141140-50-3P 141140-51-4P**
141140-52-5P 141140-53-6P 141140-54-7P
141140-55-8P 141140-56-9P **141140-57-0P** 141140-58-1P
141140-59-2P 141140-60-5P 141140-61-6P
141140-62-7P 141140-63-8P 141140-64-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antitumor agent)

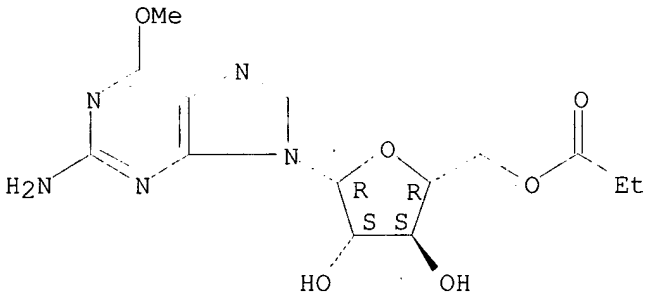
IT 625-24-1P, Trichloroethyl acetate 84443-43-6P 141140-65-0P
141140-66-1P 141140-67-2P **141140-68-3P** 141140-69-4P
141140-70-7P 141140-71-8P 141140-72-9P **141140-73-0P**
141140-74-1P 141140-75-2P **141140-76-3P** **141140-77-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antitumor nucleosides)

IT 75-36-5, Acetyl chloride 79-03-8, Propanoyl chloride 93-97-0, Benzoic anhydride 97-72-3 108-24-7, Acetic anhydride 115-20-8, Trichloroethanol 1538-75-6, Pivalic anhydride 2082-59-9, Valeric anhydride 18162-48-6, tert-Butyldimethylsilyl chloride 121032-29-9
141140-78-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of antitumor nucleosides)

IT **141140-49-0P** **141140-50-3P** **141140-51-4P**
141140-52-5P **141140-53-6P** **141140-54-7P**
141140-55-8P **141140-57-0P** **141140-59-2P**
141140-60-5P **141140-61-6P** **141140-62-7P**
141140-63-8P **141140-64-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antitumor agent)

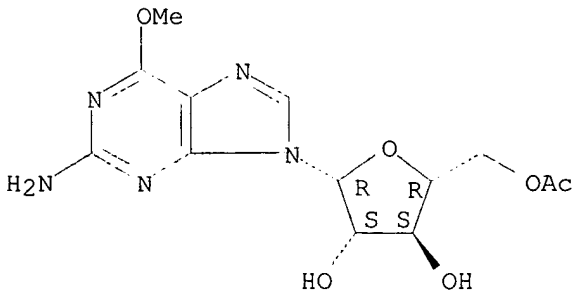
RN 141140-49-0 HCAPLUS
CN 9H-Purin-2-amine, 6-methoxy-9-[5-O-(1-oxopropyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



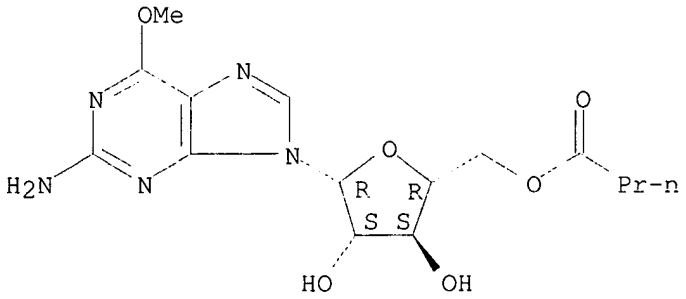
RN 141140-50-3 HCAPLUS
CN 9H-Purin-2-amine, 9-(5-O-acetyl-.beta.-D-arabinofuranosyl)-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



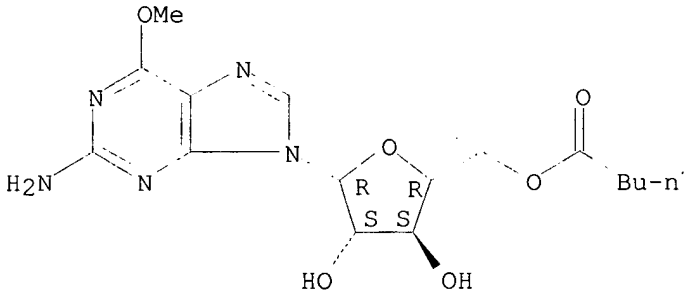
RN 141140-51-4 HCAPLUS
CN 9H-Purin-2-amine, 6-methoxy-9-[5-O-(1-oxobutyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



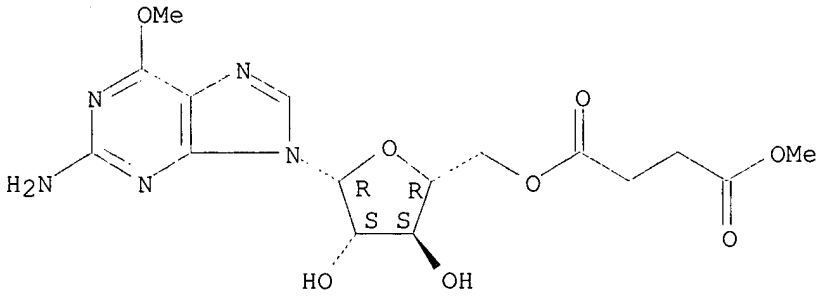
RN 141140-52-5 HCAPLUS
CN 9H-Purin-2-amine, 6-methoxy-9-[5-O-(1-oxopentyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



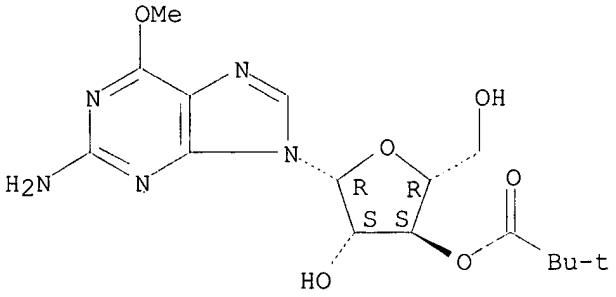
RN 141140-53-6 HCAPLUS
CN 9H-Purin-2-amine, 6-methoxy-9-[5-O-(4-methoxy-1,4-dioxobutyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

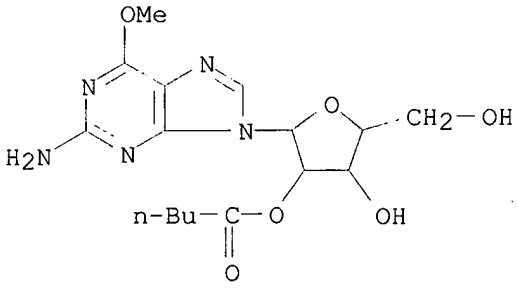


RN 141140-54-7 HCAPLUS
CN 9H-Purin-2-amine, 9-[3-O-(2,2-dimethyl-1-oxopropyl)-.beta.-D-arabinofuranosyl]-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

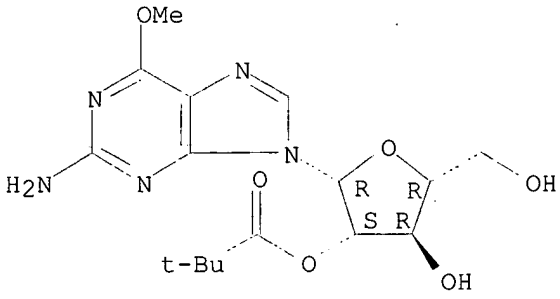


RN 141140-55-8 HCAPLUS
CN 9H-Purin-2-amine, 6-methoxy-9-[2-O-(1-oxopentyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)



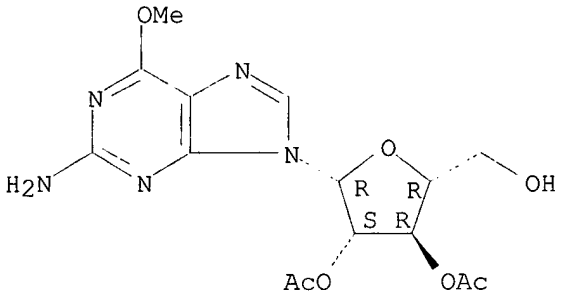
RN 141140-57-0 HCAPLUS
CN 9H-Purin-2-amine, 9-[2-O-(2,2-dimethyl-1-oxopropyl)-.beta.-D-arabinofuranosyl]-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



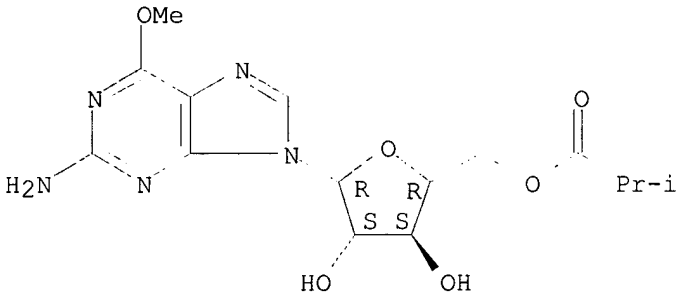
RN 141140-59-2 HCAPLUS
CN 9H-Purin-2-amine, 9-(2,3-di-O-acetyl-.beta.-D-arabinofuranosyl)-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



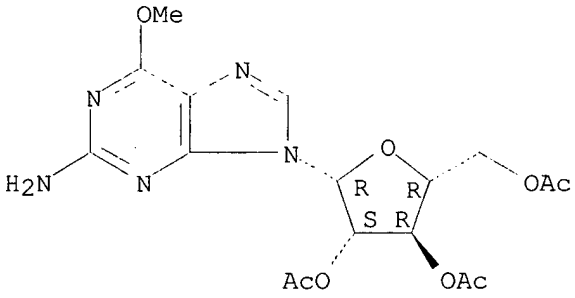
RN 141140-60-5 HCAPLUS
CN 9H-Purin-2-amine, 6-methoxy-9-[5-O-(2-methyl-1-oxopropyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



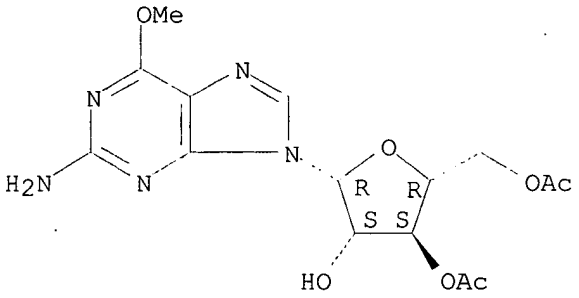
RN 141140-61-6 HCAPLUS
CN 9H-Purin-2-amine, 6-methoxy-9-(2,3,5-tri-O-acetyl-.beta.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



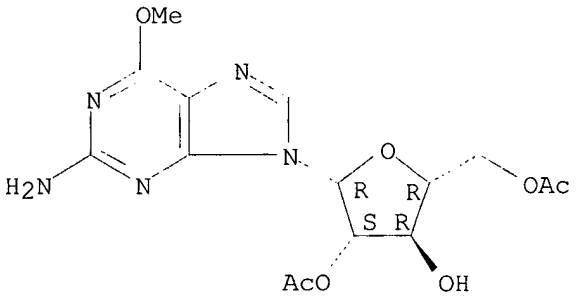
RN 141140-62-7 HCAPLUS
CN 9H-Purin-2-amine, 9-(3,5-di-O-acetyl-.beta.-D-arabinofuranosyl)-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



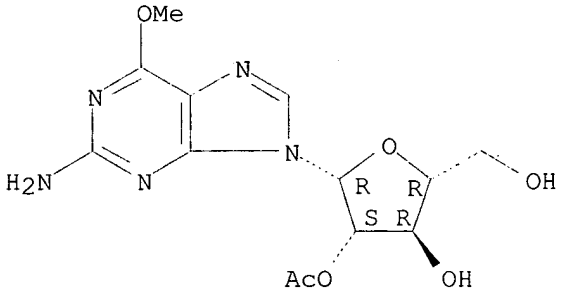
RN 141140-63-8 HCAPLUS
CN 9H-Purin-2-amine, 9-(2,5-di-O-acetyl-.beta.-D-arabinofuranosyl)-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



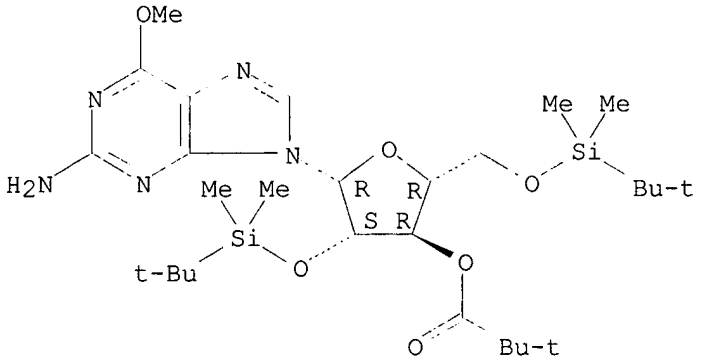
RN 141140-64-9 HCAPLUS
CN 9H-Purin-2-amine, 9-(2-O-acetyl-.beta.-D-arabinofuranosyl)-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



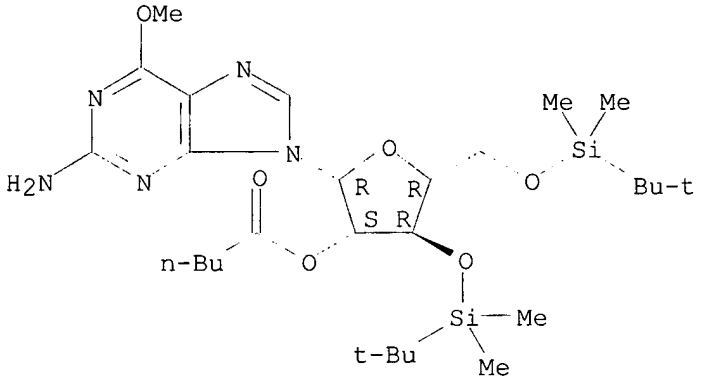
IT **141140-66-1P 141140-68-3P 141140-70-7P**
141140-73-0P 141140-76-3P 141140-77-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antitumor nucleosides)
RN 141140-66-1 HCAPLUS
CN 9H-Purin-2-amine, 9-[2,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(2,2-dimethyl-1-oxopropyl)-.beta.-D-arabinofuranosyl]-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



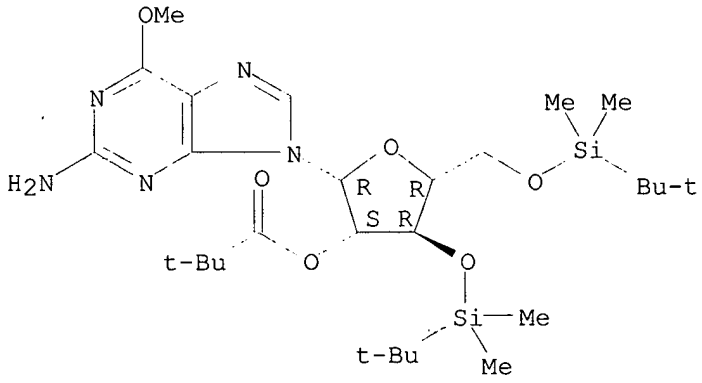
RN 141140-68-3 HCAPLUS
CN 9H-Purin-2-amine, 9-[3,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-2-O-(1-oxopentyl)-.beta.-D-arabinofuranosyl]-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141140-70-7 HCAPLUS
CN 9H-Purin-2-amine, 9-[3,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-2-O-(2,2-dimethyl-1-oxopropyl)-.beta.-D-arabinofuranosyl]-6-methoxy- (9CI) (CA INDEX NAME)

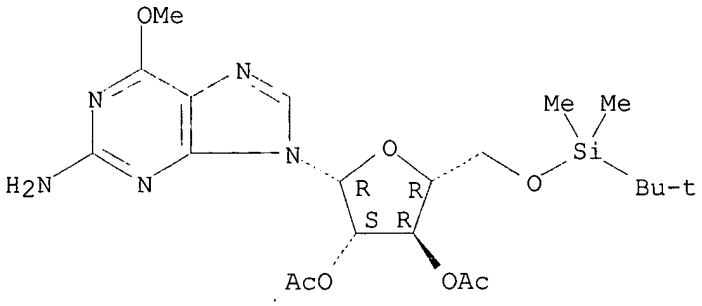
Absolute stereochemistry.



RN 141140-73-0 HCAPLUS
CN 9H-Purin-2-amine, 9-[2,3-di-O-acetyl-5-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-arabinofuranosyl]-6-methoxy- (9CI)

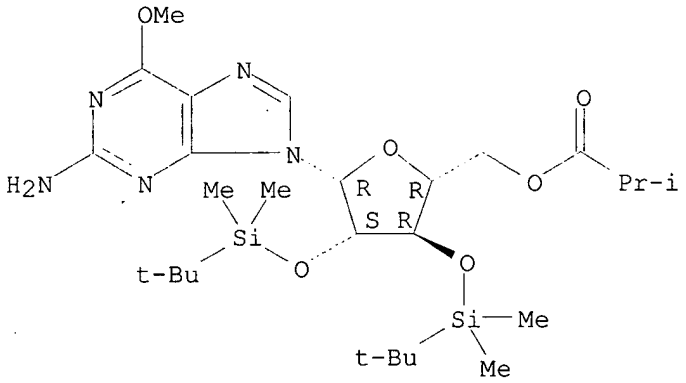
(CA INDEX NAME)

Absolute stereochemistry.



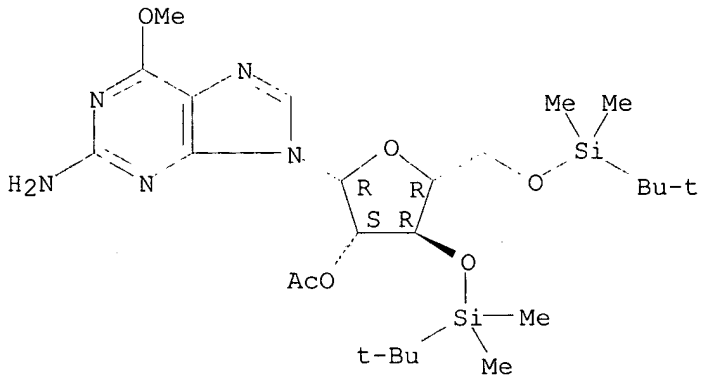
RN 141140-76-3 HCAPLUS
CN 9H-Purin-2-amine, 9-[2,3-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-5-O-(2-methyl-1-oxopropyl)-.beta.-D-arabinofuranosyl]-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141140-77-4 HCAPLUS
CN 9H-Purin-2-amine, 9-[2-O-acetyl-3,5-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-arabinofuranosyl]-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2003 ACS
AN 1989:407760 HCAPLUS
DN 111:7760
TI Preparation and testing of purine arabinosides as antiviral agents
IN Krenitsky, Thomas Anthony; Koszalka, George Walter; Jones, Lynda Addington; **Averett, Devron Randolph**; Moorman, Allan Ray
PA **Wellcome Foundation Ltd., UK**
SO Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07H019-167
ICS C07H019-20; A61K031-70
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	EP 294114	A2	19881207		EP 1988-304813	19880527	<--
	EP 294114	A3	19900124				
	EP 294114	B1	19960911				
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE						
	AU 8816718	A1	19881201		AU 1988-16718	19880527	<--
	AU 622403	B2	19920409				
	DK 8802897	A	19881201		DK 1988-2897	19880527	<--
	DK 171670	B1	19970310				
	FI 8802511	A	19881201		FI 1988-2511	19880527	<--
	FI 89805	B	19930813				
	FI 89805	C	19931125				
	NO 8802357	A	19881201		NO 1988-2357	19880527	<--
	NO 172543	B	19930426				
	NO 172543	C	19930804				
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	CN 1031233	A	19890222		CN 1988-103820	19880527	<--
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	US 5424295	A	19950613		US 1993-110487	19930823	<--
	US 5539098	A	19960723		US 1995-403363	19950314	<--
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	SU 1988-4355993	A	19880704	<--			
	US 1989-444178	B1	19891130	<--			
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OS MARPAT 111:7760
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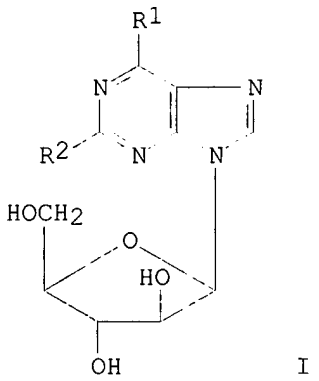


I

AB The title nucleosides [I; R1 = halo, C1-5(halo)alkoxy, mono-or di-C1-5 alkylamino, C1-5 alkyl substituted by .gtoreq.1 F, C3-6 cycloalkyl, C4-7 cyclic amino optionally contg. a double bond and/or a further N; R2 = H, halo, NH2] and physiol. acceptable derivs. thereof were prepd. as antiviral agents. 6-Methoxypurine (1 g) and 2.45 g uracil arabinoside were suspended in 575 mL of 10 mM K2PO4, 0.04% KN3 soln. (pH 7.8) contg. 10% PrOH (vol/vol). Purified uridine phosphorylase (560 I.U.) and 1000 I.U. purine nucleoside phosphorylase were added and the soln. stirred at 35.degree. for 30 days to give, after pH adjustment and chromatog., 0.922 g I (R1 = MeO, R2 = H). The latter in vitro exhibited an IC50 of 0.8 .mu.M against varicella zoster virus while acyclovir had an IC50 of 20 .mu.M.

ST purine arabinoside prepn antiviral; cytomegalovirus antiviral purine arabinoside prepn; varicella zoster virus antiviral prepn

IT Virucides and Virustats
(purine arabinosides and their esters, against cytomegalovirus and varicella zoster virus)

IT Virus, animal
(cytomegalo-, infection by, treatment of, purine arabinosides and their esters for)

IT Nucleosides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(purine, arabinofuranosyl, prepn. of, as virucides)

IT Virus, animal
(varicella-zoster, infection by, treatment of, purine arabinosides and their esters for)

IT 74-89-5, Methylamine, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination by, of chloro(arabinofuranosyl)purine, in prepn. of virucide)

IT 624-78-2, Ethylmethylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination by, of chloropurine)

IT 765-30-0, Cyclopropylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination by, of chloropurine, in prepn. of virucide)

IT 87-42-3, 6-Chloropurine
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of, by cyclopropylamine and ethylmethylamine)

IT 25050-40-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of, by methylamine)

IT 1863-63-4, Ammonium benzoate
RL: RCT (Reactant); RACT (Reactant or reagent)

(benzoyloxylation by, of (anhydrolyxofuranosyl)purine deriv.)
IT 892-49-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(chlorination and amination of, by methylamine)
IT 75-36-5, Acetyl chloride 79-03-8, Propionyl chloride 79-30-1, Isobutyryl chloride 97-72-3, Isobutyric anhydride 98-59-9, 4-Toluenesulfonyl chloride 98-88-4, Benzoyl chloride 100-07-2, 4-Methoxybenzoyl chloride 103-80-0, Phenylacetyl chloride 106-31-0, Butyric anhydride 108-24-7, Acetic anhydride 118-48-9, Isatoic anhydride 122-01-0, 4-Chlorobenzoyl chloride 122-04-3, 4-Nitrobenzoyl chloride 124-63-0, Methanesulfonyl chloride 141-75-3, Butyryl chloride 638-29-9, Pentanoyl chloride 701-99-5 874-60-2, 4-Methylbenzoyl chloride 1538-75-6, Trimethylacetic anhydride 2082-59-9, Pentanoic anhydride 3282-30-2, 2,2-Dimethylpropionyl chloride 38870-89-2, Methoxyacetyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of, with (arabinofuranosyl)methoxypurine)
IT 100-07-2, Anisoyl chloride 122-01-0, 4-Chlorobenzoyl chloride 874-60-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of, with arabinofuranosyl purine deriv.)
IT 10310-21-1, 2-Amino-6-chloropurine
RL: RCT (Reactant); RACT (Reactant or reagent)
(methoxylation of)
IT 10025-87-3, Phosphoryl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphorylation by, of (arabinofuranosyl)methoxypurine)
IT 121032-50-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and benzoyloxylation of, by ammonium benzoate)
IT 121032-54-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and desilylation of)
IT 121032-61-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenation of)
IT 20535-83-5P, 2-Amino-6-methoxypurine 117761-02-1P 121057-95-2P, 6-(Ethylmethylamino)purine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and transglycosidation of, with arabinofuranosyluracil in presence of purine nucleoside phosphorylase and uridine phosphorylase)
IT 121032-62-0P 121032-63-1P 121032-64-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for virucide)
IT 7770-17-4P 60209-41-8P 91275-67-1P 91969-06-1P 121032-20-0P 121032-21-1P **121032-22-2P** 121032-23-3P 121032-24-4P 121032-25-5P 121032-26-6P 121032-27-7P 121032-28-8P 121032-29-9P 121032-30-2P 121032-31-3P 121032-32-4P 121032-33-5P 121032-34-6P 121032-35-7P **121032-36-8P 121032-37-9P** **121032-38-0P** 121032-39-1P **121032-40-4P** **121032-41-5P 121032-42-6P 121032-43-7P** **121032-44-8P 121032-45-9P 121032-46-0P** **121032-47-1P 121032-48-2P** 121032-49-3P 121032-51-7P 121032-52-8P 121032-53-9P 121032-55-1P 121032-56-2P 121032-57-3P 121032-58-4P **121032-59-5P 121032-60-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as virucide)
IT 50859-18-2, Tributylammonium pyrophosphate

RL: RCT (Reactant); RACT (Reactant or reagent)
(pyrophosphorylation by, of (arabinofuranosyl)methoxypurine monophosphate)

IT 69304-37-6, 1,3-Dichloro-1,1,3,3-tetraisopropyldisiloxane
RL: RCT (Reactant); RACT (Reactant or reagent)
(silylation by, of (arabinofuranosyl)methoxypurine)

IT 9030-22-2, Uridine phosphorylase
RL: RCT (Reactant); RACT (Reactant or reagent)
(transglycosidation by purine nucleoside phosphorylase and, of arabinofuranosyluracil with purine derivs.)

IT 9030-21-1, Purine nucleoside phosphorylase
RL: RCT (Reactant); RACT (Reactant or reagent)
(transglycosidation by uridine phosphorylase and, of arabinofuranosyluracil with purine derivs.)

IT 938-55-6, 6-Dimethylaminopurine 1928-89-8, 6-Pyrrolidinopurine 2545-26-8, 6-Iodopurine 5417-86-7, 6-n-Propoxypurine 17861-06-2, 6-Ethoxypurine 19690-23-4, 2-Amino-6-iodopurine
RL: RCT (Reactant); RACT (Reactant or reagent)
(transglycosidation of, with arabinofuranosyluracil in presence of purine nucleoside phosphorylase and uridine phosphorylase)

IT 1074-89-1, 6-Methoxypurine
RL: RCT (Reactant); RACT (Reactant or reagent)
(transglycosidation of, with arabinofuranosyluracil, in presence of purine nucleoside phosphorylase and uridine phosphorylase)

IT 3083-77-0, Uracil arabinoside
RL: RCT (Reactant); RACT (Reactant or reagent)
(transglycosidation of, with purine derivs. in presence of purine nucleoside phosphorylase and uridine phosphorylase)

IT 9002-06-6, Thymidine kinase
RL: RCT (Reactant); RACT (Reactant or reagent)
(transphosphorylation by, of ATP with arabinofuranosylpurine deriv.)

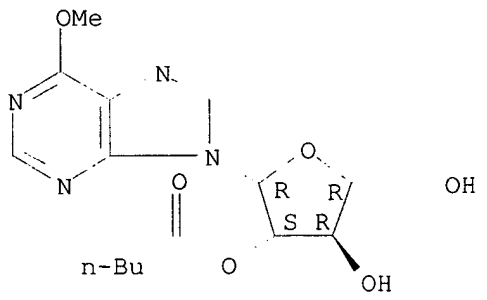
IT 56-65-5, Adenosine 5'-triphosphate, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(transphosphorylation of, with arabinofuranosylpurine deriv. in presence of thymidine kinase)

IT **121032-22-2P 121032-36-8P 121032-37-9P**
121032-38-0P 121032-40-4P 121032-41-5P
121032-42-6P 121032-43-7P 121032-44-8P
121032-45-9P 121032-46-0P 121032-47-1P
121032-48-2P 121032-59-5P 121032-60-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as virucide)

RN 121032-22-2 HCAPLUS

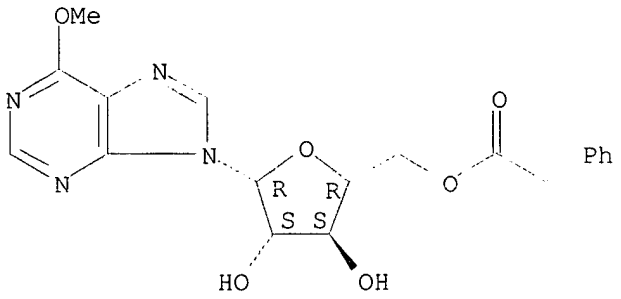
CN 9H-Purine, 6-methoxy-9-[2-O-(1-oxopentyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



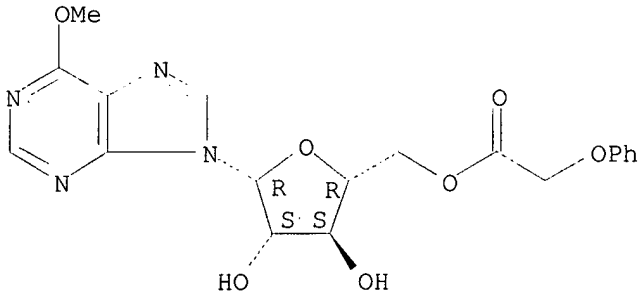
RN 121032-36-8 HCAPLUS
CN 9H-Purine, 6-methoxy-9-[5-O-(phenylacetyl)-.beta.-D-arabinofuranosyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



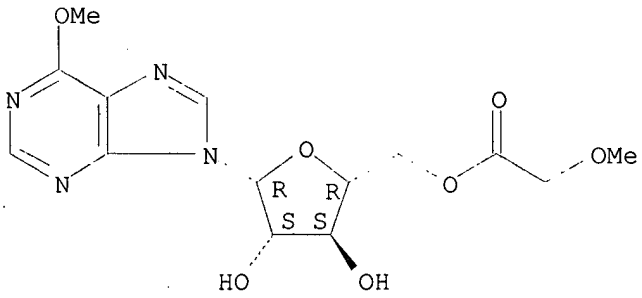
RN 121032-37-9 HCAPLUS
CN 9H-Purine, 6-methoxy-9-[5-O-(phenoxyacetyl)-.beta.-D-arabinofuranosyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



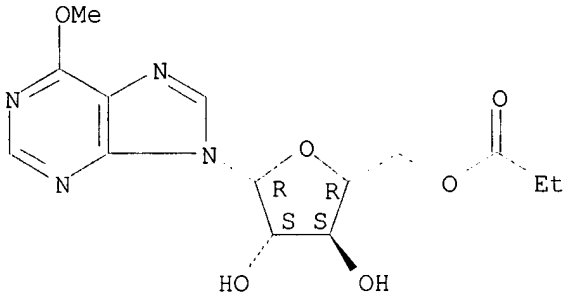
RN 121032-38-0 HCAPLUS
CN 9H-Purine, 6-methoxy-9-[5-O-(methoxyacetyl)-.beta.-D-arabinofuranosyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



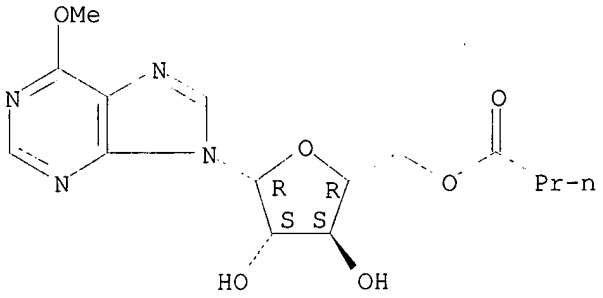
RN 121032-40-4 HCAPLUS
CN 9H-Purine, 6-methoxy-9-[5-O-(1-oxopropyl)-.beta.-D-arabinofuranosyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



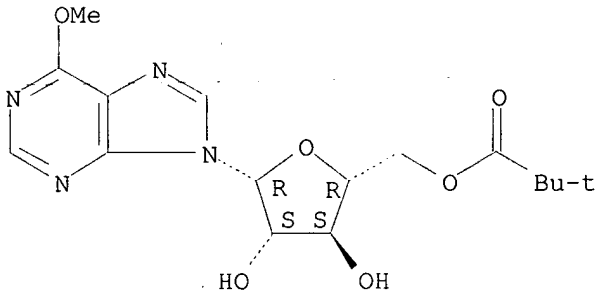
RN 121032-41-5 HCAPLUS
CN 9H-Purine, 6-methoxy-9-[5-O-(1-oxobutyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



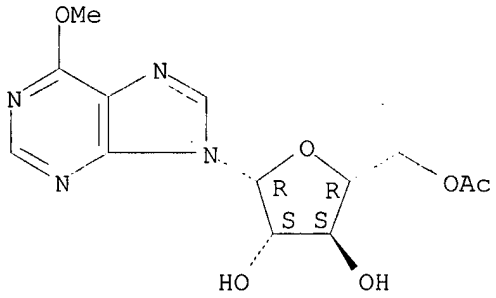
RN 121032-42-6 HCAPLUS
CN 9H-Purine, 9-[5-O-(2,2-dimethyl-1-oxopropyl)-.beta.-D-arabinofuranosyl]-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



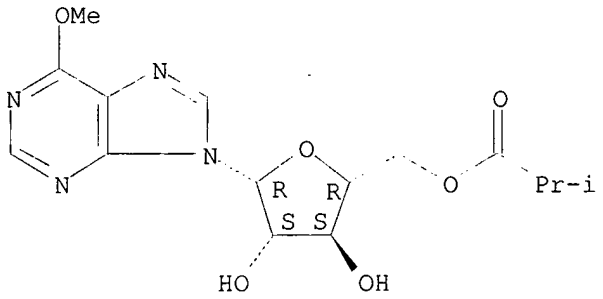
RN 121032-43-7 HCAPLUS
CN 9H-Purine, 9-(5-O-acetyl-.beta.-D-arabinofuranosyl)-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



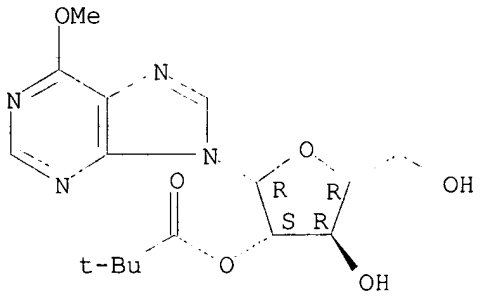
RN 121032-44-8 HCAPLUS
CN 9H-Purine, 6-methoxy-9-[5-O-(2-methyl-1-oxopropyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



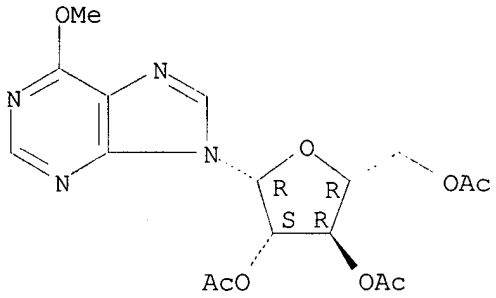
RN 121032-45-9 HCAPLUS
CN 9H-Purine, 9-[2-O-(2,2-dimethyl-1-oxopropyl)-.beta.-D-arabinofuranosyl]-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



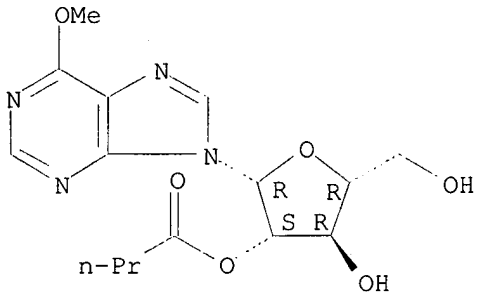
RN 121032-46-0 HCAPLUS
CN 9H-Purine, 6-methoxy-9-(2,3,5-tri-O-acetyl-.beta.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



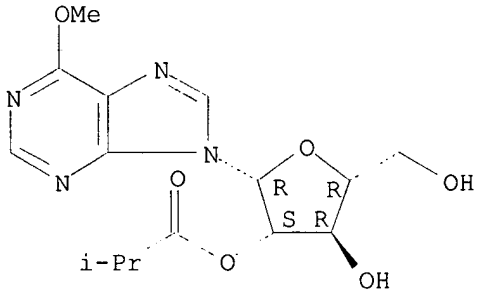
RN 121032-47-1 HCAPLUS
CN 9H-Purine, 6-methoxy-9-[2-O-(1-oxobutyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



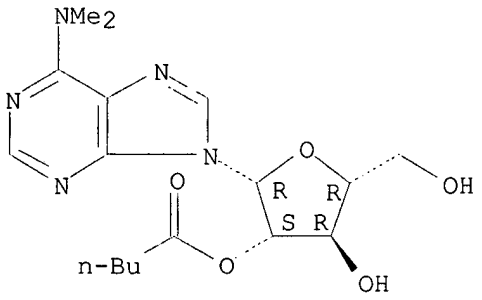
RN 121032-48-2 HCAPLUS
CN 9H-Purine, 6-methoxy-9-[2-O-(2-methyl-1-oxopropyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



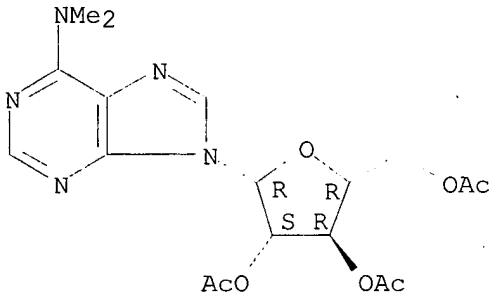
RN 121032-59-5 HCAPLUS
CN 9H-Purin-6-amine, N,N-dimethyl-9-[2-O-(1-oxopentyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 121032-60-8 HCAPLUS
CN 9H-Purin-6-amine, N,N-dimethyl-9-(2,3,5-tri-O-acetyl-.beta.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



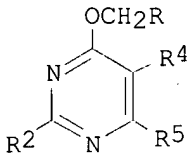
=> d bib abs hitstr tot l76

L76 ANSWER 1 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 2000:531657 HCAPLUS
DN 133:135165
TI Preparation of pyrimidine derivatives and guanine derivatives, and their use in treating tumor cells
IN McMurry, Thomas Brian Hamilton; McElhinney, Robert Stanley; McCormick, Joan Elizabeth; Donnelly, Dorothy Josephine; Murray, Paul; Carola, Christophe; Elder, Rhoderick Hugh; Kelly, Jane; Margison, Geoffrey Paul; Watson, Amanda Jean; Rafferty, Joseph Anthony; Willington, Mark Andrew; Middleton, Mark Ross
PA Cancer Research Campaign Technology Limited, UK
SO U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 568,576.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 6096724	A	20000801	US 1998-88740	19980602	<--
	US 6043228	A	20000328	US 1995-568576	19951207	<--
	US 5929046	A	19990727	US 1995-572966	19951215	<--
	WO 9720843	A1	19970612	WO 1996-IE84	19961209	<--

W: AL, AM, AT, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, CZ, DE, DE, DK, DK, EE, EE, ES, FI, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, TJ, TM, TR, TT, UA,

UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
PRAI US 1995-568576 A2 19951207 <--
US 1995-572966 A2 19951215 <--
WO 1996-IE84 A2 19961209 <--
IE 1993-432 A 19930608 <--
GB 1994-10421 A 19940523 <--
WO 1994-IE31 A2 19940608 <--
OS MARPAT 133:135165
GI



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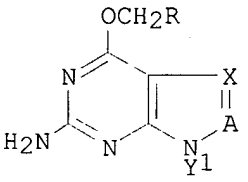
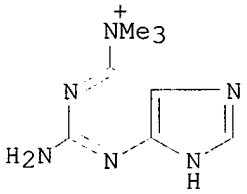


II



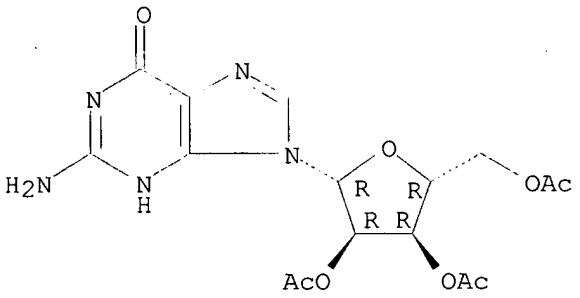
III

AB The present invention provides certain 6-hetarylalkyloxy pyrimidine derivs. I [R is a cyclic group having at least one 5- or 6-membered heterocyclic ring, optionally with a carbocyclic or heterocyclic ring fused thereto, the or each heterocyclic ring having at least one hetero atom chosen from O, N, or S, or a substituted deriv. thereof, or an (un)substituted Ph; R2 = H, C1-5-alkyl, halogen or NHY1; R4, R5 = H, NH2 or NOn; n = 1, 2; or R4 and R5 together with the pyrimidine ring form a 5- or 6-membered ring structure contg. one or more heterocyclic atoms; Y1 = H, ribosyl, deoxyribosyl, arabinosyl, CH(XR'')R'''; X = O, S; R'', R''' = (un)sunstituted alkyl] and guanine analogs II [X = CH, N;A = CH, N, provided that if X = N and A = CH, Y1 is not H or ribosyl, deoxyribosyl, CH(XR'')R'''] and pharmaceutically acceptable salts thereof, which exhibit the ability to deplete O6-alkylguanine-DNA alkyltransferase (ATase) activity. Thus, O6-(4-bromothenyl)guanine (II; R = 4-bromo-2-thienyl, A = CH, X = N, Y1 = H) was prepd. via reaction of 4-bromothenyl alc. with guanine ammonium salt III.cntdot.Cl. II (R = 4-bromo-2-thienyl, A = CH, X = N, Y1 = H) was active against ATase from various tissues of NU/NU mice [36 fm/mg (tumor); 89.7 fm/mg (liver); 24.3 fm/mg (kidney); 42 fm/mg (bone marrow)] and showed 93% survival rate in mice after 14 days vs. 20 mg/kg BCNU in DBA.

IT **6979-94-8**, 2',3',5'-Tri-O-acetylguanosine **69992-10-5**, 3',5'-Di-O-acetyl-2'-deoxyguanosine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of pyrimidine derivs. and guanine analogs for treating tumor cells and as inhibitors of DNA alkyltransferase)

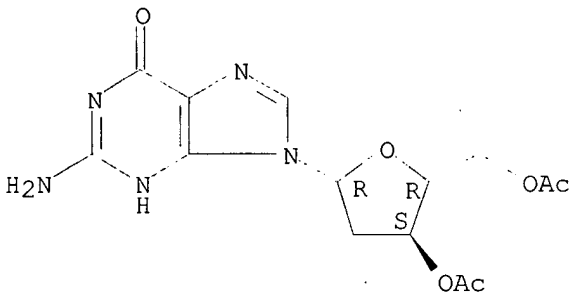
RN 6979-94-8 HCAPLUS
CN Guanosine, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 69992-10-5 HCAPLUS
CN Guanosine, 2'-deoxy-, 3',5'-diacetate (6CI, 7CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L76 ANSWER 2 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 2000:78901 HCAPLUS
DN 132:93587
TI Preparation of acyl 2'-deoxyribonucleoside derivatives for treating or preventing biological damage caused by radiation, mutagens, or sunlight
IN Von Borstel, Reid Warren; Bamat, Michael Kevin
PA Pro-Neuron, Inc., USA
SO U.S., 23 pp., Cont.-in-part of U.S. Ser. No. 149,469, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE
PI	US 6020322	A	20000201		US 1994-309572	19940921 <--
	IN 177670	A	19970215		IN 1994-CA701	19940902 <--
	US 6103701	A	20000815		US 1995-470027	19950606 <--
	US 6297222	B1	20011002		US 1995-466379	19950606 <--
	US 6306834	B1	20011023		US 1995-479516	19950607 <--
	AU 9952624	A1	19991202		AU 1999-52624	19991001 <--
PRAI	US 1993-149469	B1	19931109	<--		
	US 1987-115923	B2	19871028	<--		
	WO 1988-US3824	W	19881027	<--		
	US 1990-487984	B3	19900205	<--		
	IN 1992-CA473	A1	19920706	<--		
	US 1994-309572	A3	19940921	<--		
	AU 1995-29150	A3	19950630	<--		

OS MARPAT 132:93587

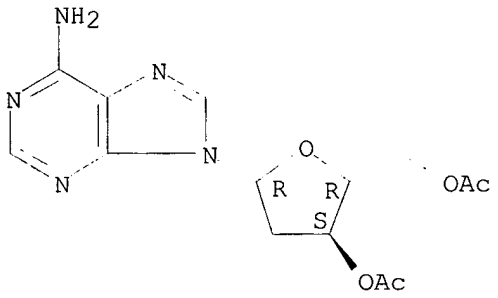
AB The invention relates to the prepn. of acyl derivs. of 2'-deoxyadenosine, 2'-deoxyguanosine, 2'-deoxycytidine, and 2'-deoxythymidine. For example, to 2'-deoxythymidine in pyridine is added an acid anhydride (e.g., acetic anhydride, lactate anhydride, butyric anhydride, etc.) and the mixt. is heated to 80-85.degree.C for 1-4 h, cooled and extd. to yield 3',5'-diacyl-2'-deoxythymidine. The invention also relates to the use of these novel acyl derivs. to treat or prevent radiation, mutagen and sunlight-induced biol. damage, and methods for improving wound healing and tissue repair, comprising administering the compns. to an animal. After receiving .gamma.-ray irradn. (cobalt 60) at 7.3 Rad/min and total doses of 750 Rad, mice administered 5'-O-palmitoyl-2'-deoxyadenosine, -deoxyguanosine, -deoxycytidine, and -thymidine at 8.mu.M/0.2.mu.M physiol. saline 3 times daily for 4 days i.p. had 100% survival rate at 30 days vs. 80% and 0% for the corresponding 3',5'-di-O-acetyl-2'-deoxyribonucleosides and saline (control).

IT **17318-24-0P 69992-10-5P 72560-67-9P**
124169-70-6P 124169-72-8P 254896-67-8P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acyl 2'-deoxyribonucleoside derivs. for treating or preventing biol. damage caused by radiation, mutagens, or sunlight)

RN 17318-24-0 HCAPLUS

CN Adenosine, 2'-deoxy-, 3',5'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

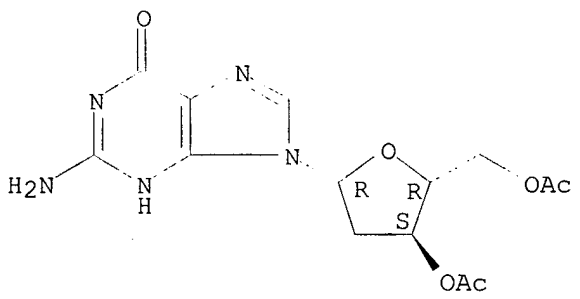
Absolute stereochemistry.



RN 69992-10-5 HCAPLUS

CN Guanosine, 2'-deoxy-, 3',5'-diacetate (6CI, 7CI, 9CI) (CA INDEX NAME)

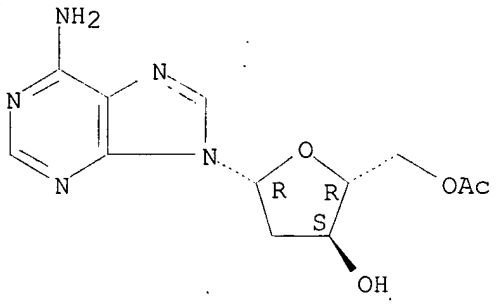
Absolute stereochemistry.



RN 72560-67-9 HCAPLUS

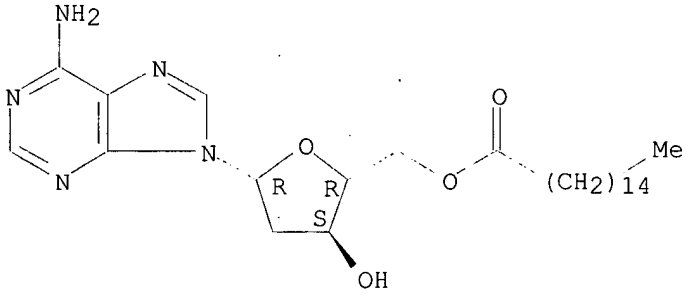
CN Adenosine, 2'-deoxy-, 5'-acetate (6CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



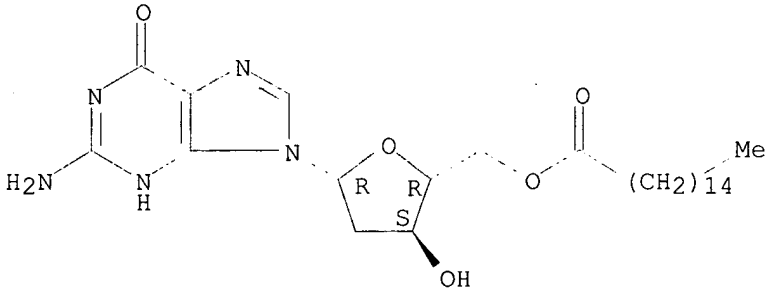
RN 124169-70-6 HCAPLUS
CN Adenosine, 2'-deoxy-, 5'-hexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



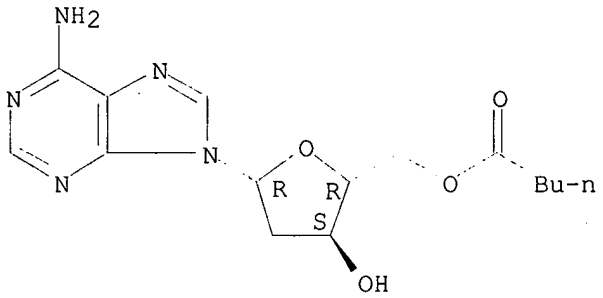
RN 124169-72-8 HCAPLUS
CN Guanosine, 2'-deoxy-, 5'-hexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254896-67-8 HCAPLUS
CN Adenosine, 2'-deoxy-, 5'-pentanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

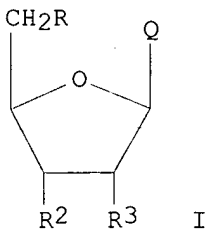


RE.CNT 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L76 ANSWER 3 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1999:130387 HCAPLUS
DN 130:139587
TI Preparation of 5'-substituted-ribofuranosyl benzimidazoles as **antiviral** and antitumor agents
IN Townsend, Leroy B.; Drach, John C.
PA University of Michigan, USA
SO U.S., 25 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5874413	A	19990223	US 1996-698715	19960816 <--
PRAI US 1996-698715		19960816 <--		

OS MARPAT 130:139587
GI



I

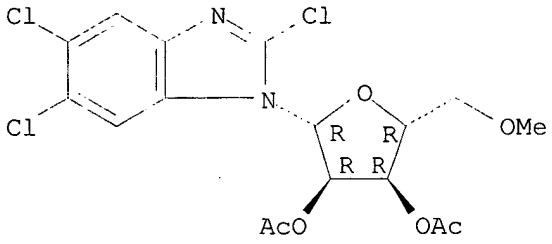
AB Nucleoside benzimidazoles I, wherein Q is a substituted benzimidazole group attached at the benzimidazole 1-position; R is a halogen of at. no. 9 to 53, inclusive (i.e., F, Cl, Br, or I); azido (i.e., N3) or XR1, wherein X is a chalcogen of at. no. 8 to 16, inclusive (i.e., O or S), and R1 may be straight or branched chain alkyl of 1 to 8 carbon atoms; and R2 and R3 may be the same or different and are sep. OC(:O)CH3 (i.e., OAc) or hydroxy (i.e., OH); were prepd. as **antiviral** and antitumor agents. Thus, 2,5,6-trichloro-1-(5'-O-methyl-.beta.-D-ribofuranosyl)benzimidazole was prepd. via Vorbruggen condensation of sugar to 2,5,6-trichlorobenzimidazole. **Antiviral**, antitumor, and cytotoxic activities of I were reported.

IT **185453-56-9P 185453-57-0P 185453-58-1P**
185453-59-2P 185453-60-5P 185453-61-6P
185453-62-7P 185453-75-2P 188580-22-5P
188580-67-8P

RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted-ribofuranosyl benzimidazoles as **antiviral** and antitumor agents)

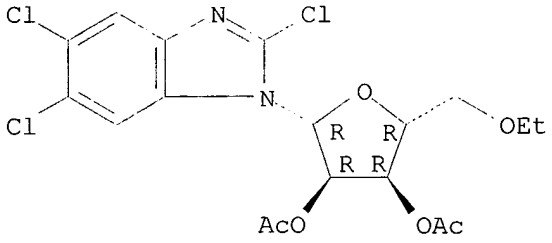
RN 185453-56-9 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-O-methyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



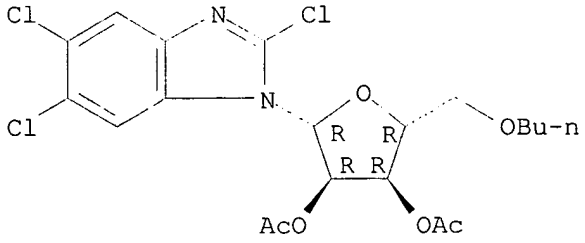
RN 185453-57-0 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-O-ethyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



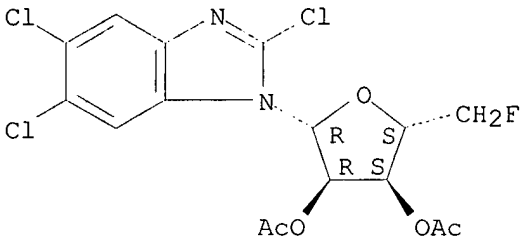
RN 185453-58-1 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-O-butyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



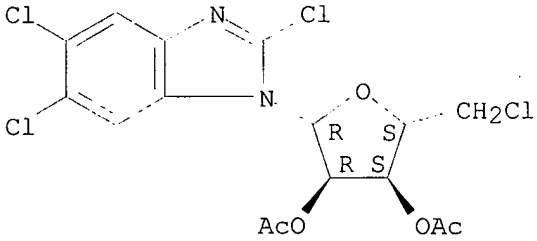
RN 185453-59-2 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-deoxy-5-fluoro-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



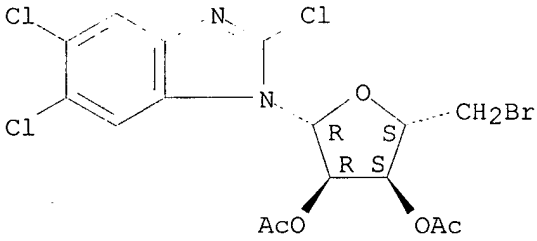
RN 185453-60-5 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-chloro-5-deoxy-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



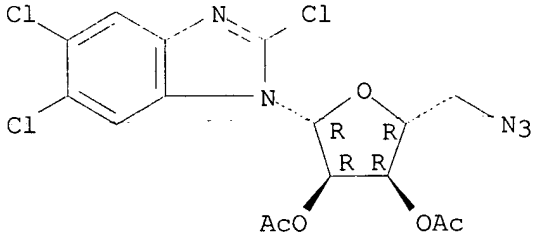
RN 185453-61-6 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-bromo-5-deoxy-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 185453-62-7 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-azido-5-deoxy-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

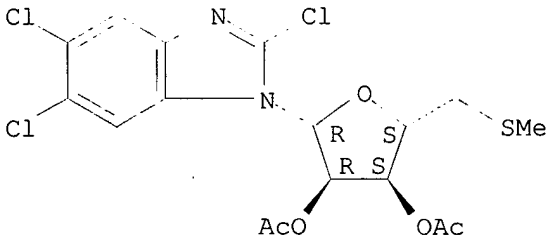
Absolute stereochemistry.



RN 185453-75-2 HCAPLUS

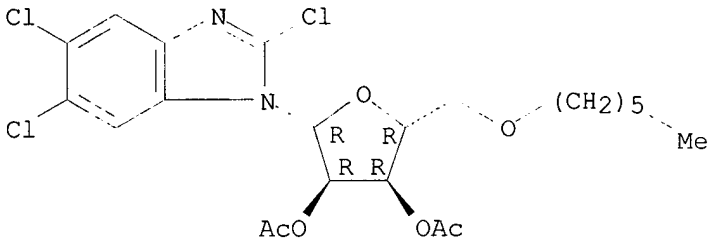
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-S-methyl-5-thio-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



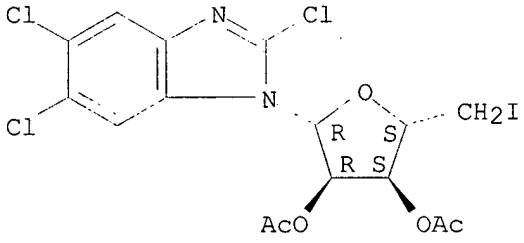
RN 188580-22-5 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-O-hexyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188580-67-8 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-deoxy-5-iodo-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



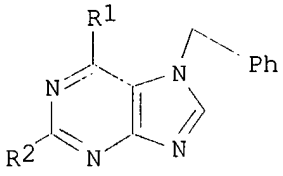
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L76 ANSWER 4 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1998:180661 HCAPLUS
DN 128:230192
TI Process for producing purine derivatives
IN Shiragami, Hiroshi; Uchida, Yumiko; Izawa, Kunisuke; Yamashita, Keizo; Katayama, Satoshi
PA Ajinomoto Co., Inc., Japan
SO Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 827960	A1	19980311	EP 1997-115642	19970909 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 10087664	A2	19980407	JP 1996-239031	19960910 <--
	JP 10120682	A2	19980512	JP 1996-282216	19961024 <--
	US 5942617	A	19990824	US 1997-926471	19970910 <--
	US 6252075	B1	20010626	US 1999-320835	19990526 <--
PRAI	JP 1996-239031	A	19960910 <--		
	JP 1996-282216	A	19961024 <--		
	US 1997-926471	A	19970910		

OS CASREACT 128:230192; MARPAT 128:230192
GI



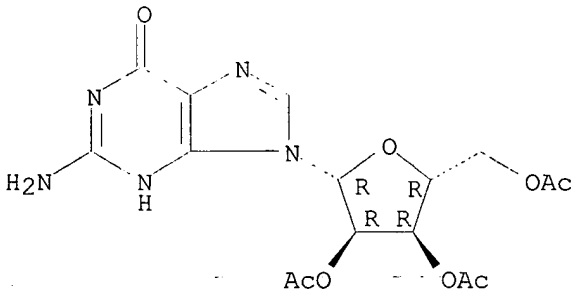
I

AB A process for industrially producing 7-benzylpurine derivs. (I) [R1, R2 = H, OH, (un)satd. alkoxy, (un)satd. acyloxy, siloxy, F, Cl, Br, I, NH2, (un)substituted NH] is provided. A triacetylpurine nucleoside or a tetraacetylpurine nucleoside formed from a purine nucleoside or its alkali salt is reacted with a benzyl halide to benzylate the 7-position, and an acid is then added to the reaction mixt. to hydrolyze a glycoside bond. Finally, a crystn. solvent is added to the hydrolyzate for crystn., and the crystals formed are isolated.

IT **6979-94-8P**, Triacetylguanosine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for industrial prodn. of purine derivs. for use as **virucides**)

RN 6979-94-8 HCAPLUS
CN Guanosine, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



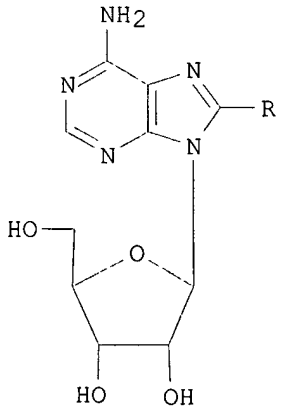
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L76 ANSWER 5 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1998:149514 HCAPLUS

DN 128:192881
TI Palladium catalyzed nucleoside modifications methods using nucleophiles and carbon monoxide
IN Tu, Chi; Dewey, Torin M.; Eaton, Bruce
PA NeXstar Pharmaceuticals, Inc., USA
SO U.S., 18 pp., Cont.-in-part of U.S. 5,428,149.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5719273	A	19980217	US 1995-458421	19950602 <--
	US 5428149	A	19950627	US 1993-76735	19930614 <--
	CA 2164935	AA	19941222	CA 1994-2164935	19940531 <--
	US 5633361	A	19970527	US 1995-407893	19950321 <--
	US 5591843	A	19970107	US 1995-423395	19950419 <--
	CA 2221279	AA	19961205	CA 1996-2221279	19960530 <--
	WO 9638460	A1	19961205	WO 1996-US8026	19960530 <--
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
	AU 9661468	A1	19961218	AU 1996-61468	19960530 <--
	AU 721747	B2	20000713		
	EP 828750	A1	19980318	EP 1996-919015	19960530 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11506107	T2	19990602	JP 1996-536652	19960530 <--
PRAI	US 1993-76735	A2	19930614 <--		
	US 1995-458421	A	19950602 <--		
	US 1995-459073	A	19950602 <--		
	WO 1996-US8026	W	19960530 <--		

OS CASREACT 128:192881; MARPAT 128:192881
GI

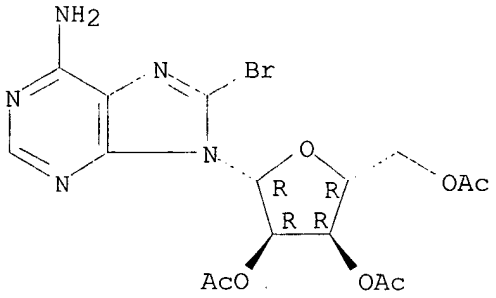


I

AB This invention discloses a method for the prepn. modified nucleosides using a palladium catalyst coupling of nucleoside, a nucleophile, and carbon monoxide. Thus, coupling of nucleoside I (R = Br) with CO and NH2CMe3 in presence of palladium gave I (R = CONHCMe3) in 98 % yield.

IT **31281-86-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling-palladium catalyzed nucleosides using nucleophile amines and carbon monoxide)
RN 31281-86-4 HCAPLUS
CN Adenosine, 8-bromo-, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L76 ANSWER 6 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:576691 HCAPLUS
DN 127:243272
TI Method and composition using purines and other compounds for inhibiting cellular irreversible changes due to stress
IN Miller, Guy; Lou, Lillian; Nakamura, John
PA Galileo Laboratories, Inc., USA
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9730713	A1	19970828	WO 1997-US2945	19970220 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5801159	A	19980901	US 1996-607022	19960223 <--
	CA 2247461	AA	19970828	CA 1997-2247461	19970220 <--
	AU 9719749	A1	19970910	AU 1997-19749	19970220 <--
	EP 935466	A1	19990818	EP 1997-907855	19970220 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2000506834	T2	20000606	JP 1997-530408	19970220 <--
	NO 9803823	A	19981001	NO 1998-3823	19980820 <--
PRAI	US 1996-607022		19960223 <--		
	WO 1997-US2945		19970220		

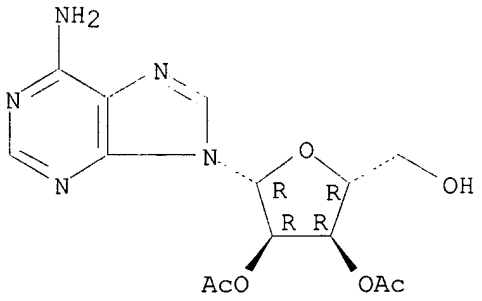
OS MARPAT 127:243272
AB Formulations of naturally occurring physiol. acceptable compds. and their derivs. are provided for treatment of cellular stress, particularly hypoxia. By administering the formulations, comprising for the most part purines, sugars, amino acids and physiol. acceptable derivs. thereof, by

themselves or in combination with each other and with other compds., particularly electron acceptor compds., the time to irreversible cellular changes, particularly mortality, can be greatly extended.

IT **29886-19-9**, 2', 3'-Di-O-acetyladenosine
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(purines and other compds. for inhibition of cellular irreversible changes due to stress)

RN 29886-19-9 HCAPLUS
CN Adenosine, 2',3'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



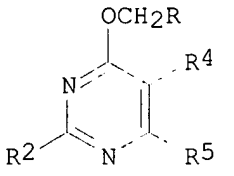
L76 ANSWER 7 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:496835 HCAPLUS
DN 127:108802
TI Preparation of pyrimidine and guanine derivatives, and their use in treating tumor cells
IN McMurry, Thomas Brian Hamilton; McElhinney, Robert Stanley; McCormick, Joan Elizabeth; Donnelly, Dorothy Josephine; Murray, Paul; Carola, Christophe; Elder, Rhoderick Hugh; Kelly, Jane; et al.
PA UK
SO PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9720843	A1	19970612	WO 1996-IE84	19961209 <--
	W: AL, AM, AT, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, CZ, DE, DE, DK, DK, EE, EE, ES, FI, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6043228	A	20000328	US 1995-568576	19951207 <--
	US 5929046	A	19990727	US 1995-572966	19951215 <--
	AU 9720142	A1	19970627	AU 1997-20142	19961209 <--
	AU 715016	B2	20000113		
	EP 874848	A1	19981104	EP 1996-943278	19961209 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2000501415	T2	20000208	JP 1997-521129	19961209 <--
	US 6096724	A	20000801	US 1998-88740	19980602 <--
PRAI	US 1995-568576	A	19951207 <--		

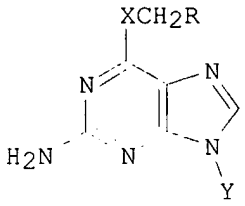
	US 1995-572966	A	19951215	<--
	IE 1993-432	A	19930608	<--
	GB 1994-10421	A	19940523	<--
	WO 1994-IE31	A2	19940608	<--
	WO 1996-IE84	W	19961209	<--

OS MARPAT 127:108802

GI



I



II

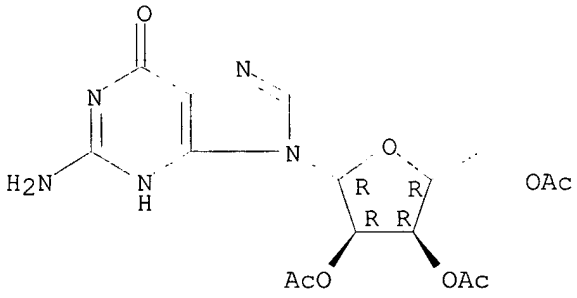
AB Pyrimidines I (R = heterocyclic ring, Ph, substituted Ph; R2 = H, alkyl, halogen, NH2; R4, R5 = H, NH-Y' or NOn; Y = H, ribosyl, deoxyribosyl, arabinosyl; n = 1 or 2; R4R5 = 5- or 6-membered heterocyclic ring) and guanines II (X = O, S; R = heterocyclic ring, Ph, substituted Ph; Y = H, ribosyl, deoxyribosyl, arabinosyl) were prepd. and exhibited the ability to deplete O6-alkylguanine-DNA alkyltransferase (ATase) activity in tumor cells. Thus, guanine II (X = O, Y = H, R = 4-bromothenyl) was prepd. and showed ATase mean activity of ~36 fm/mg, compared to 125 fm/mg in the control, when tested in tumor tissue of NU/NU mice.

IT **6979-94-8 69992-10-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of pyrimidine and guanine derivs. for use in treating tumor cells)

RN 6979-94-8 HCAPLUS

CN Guanosine, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

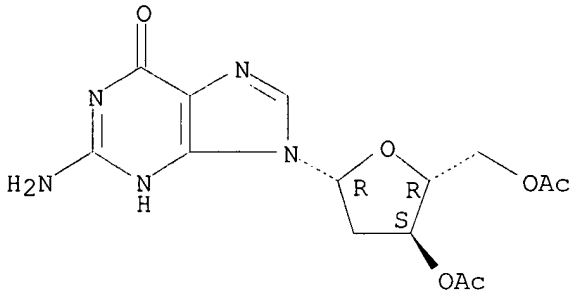
Absolute stereochemistry.



RN 69992-10-5 HCAPLUS

CN Guanosine, 2'-deoxy-, 3',5'-diacetate (6CI, 7CI, 9CI) (CA INDEX NAME)

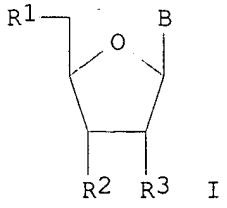
Absolute stereochemistry.



L76 ANSWER 8 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:262329 HCAPLUS
DN 126:251361
TI Preparation of 5'-substituted-ribofuranosylbenzimidazole nucleosides as **antiviral** and antitumor agents
IN Townsend, Leroy B.; Drach, John C.
PA The Regents of the University of Michigan, USA; Townsend, Leroy B.; Drach, John C.
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9707125	A1	19970227	WO 1996-US13187	19960816 <--
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
	CA 2223814	AA	19970227	CA 1996-2223814	19960816 <--
	AU 9667745	A1	19970312	AU 1996-67745	19960816 <--
	AU 721277	B2	20000629		
	EP 845001	A1	19980603	EP 1996-928176	19960816 <--
	EP 845001	B1	20021113		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11511170	T2	19990928	JP 1996-509455	19960816 <--
	AT 227734	E	20021115	AT 1996-928176	19960816 <--
PRAI	US 1995-2542P	P	19950818 <--		
	WO 1996-US13187	W	19960816 <--		

OS MARPAT 126:251361
GI

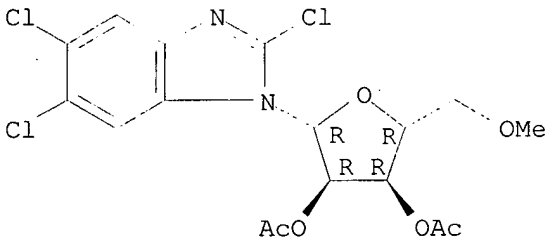


AB Title nucleosides I (R1 = halo, N3, XY, X = O, S, Y = straight or branched chain alkyl; R2, R3 = OH, OAc ; B = substituted 1-benzimidazolyl) were prepd. as **antiviral** and antitumor agents. Thus, I (R-R3 = OAc; B = 2,5,6-trichlorobenzimidazol-1-yl) was prepd. and tested for its **antiviral** activity [IC50 = 2.8 .mu.M (plaque) and > 100 .mu.M (ELISA)] and cytotoxicity (IC50 = 26-80 .mu.M).

IT **185453-56-9P 185453-57-0P 185453-58-1P**
185453-59-2P 185453-60-5P 185453-61-6P
185453-62-7P 185453-75-2P 188580-22-5P
188580-67-8P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 5'-substituted-ribofuranosylbenzimidazole nucleosides as **antiviral** and antitumor agents)

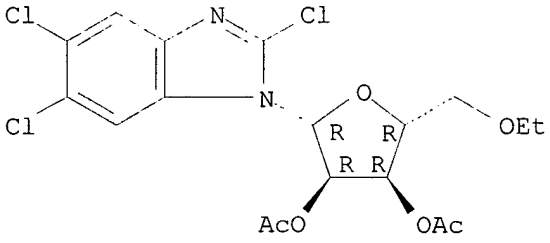
RN 185453-56-9 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-O-methyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



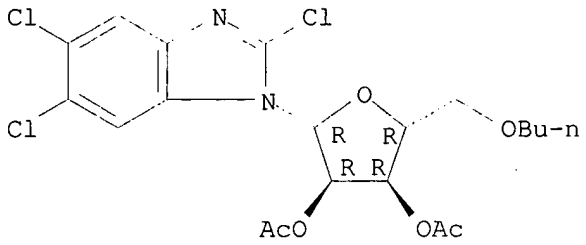
RN 185453-57-0 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-O-ethyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



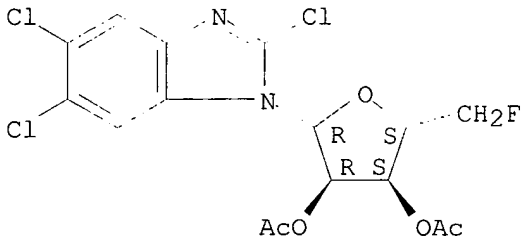
RN 185453-58-1 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-O-butyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



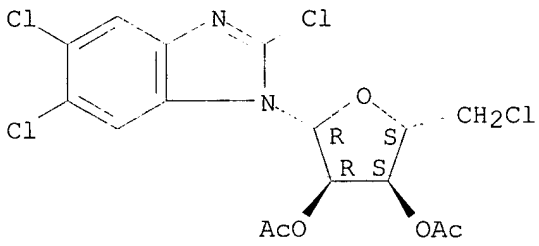
RN 185453-59-2 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-deoxy-5-fluoro-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



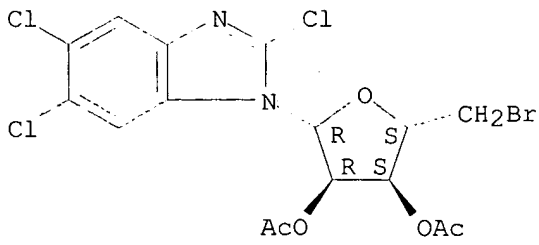
RN 185453-60-5 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-chloro-5-deoxy-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



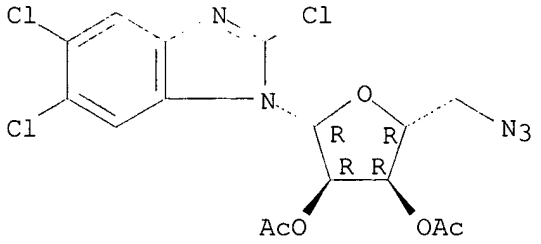
RN 185453-61-6 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-bromo-5-deoxy-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



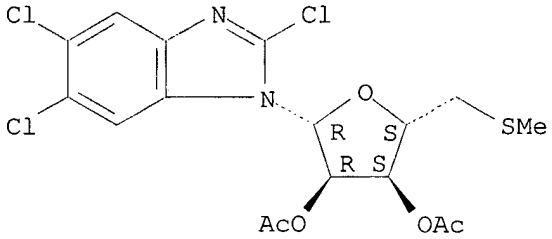
RN 185453-62-7 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-azido-5-deoxy-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



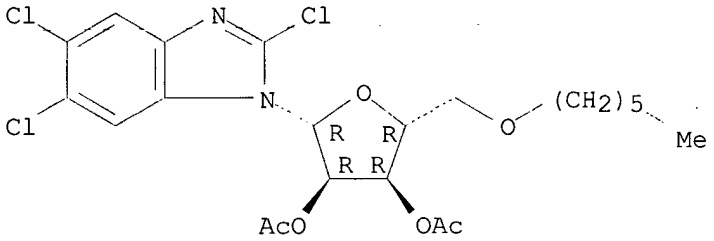
RN 185453-75-2 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-S-methyl-5-thio-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



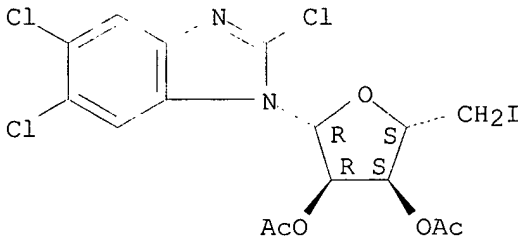
RN 188580-22-5 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-O-hexyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188580-67-8 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-deoxy-5-iodo-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 9 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:88785 HCAPLUS
DN 126:104370
TI Palladium catalyzed nucleoside modification methods using nucleophiles and carbon monoxide
IN Tu, Chi; Dewey, Torin; Eaton, Bruce
PA Nexstar Pharmaceuticals, Inc., USA; Tu, Chi; Dewey, Torin; Eaton, Bruce
SO PCT Int. Appl., 50 pp.
CODEN:.PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9638460	A1	19961205	WO 1996-US8026	19960530	<--
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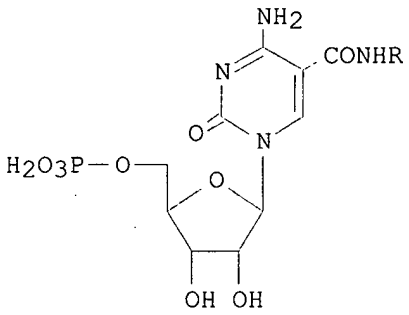
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA					
	US 5719273	A	19980217	US 1995-458421	19950602	<--
	AU 9661468	A1	19961218	AU 1996-61468	19960530	<--
	AU 721747	B2	20000713			
	EP 828750	A1	19980318	EP 1996-919015	19960530	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
	JP 11506107	T2	19990602	JP 1996-536652	19960530	<--
	US 5945527	A	19990831	US 1997-952338	19971104	<--
PRAI	US 1995-458421	A	19950602	<--		
	US 1995-459073	A	19950602	<--		
	US 1993-76735	A2	19930614	<--		
	WO 1996-US8026	W	19960530	<--		

OS MARPAT 126:104370
GI



I

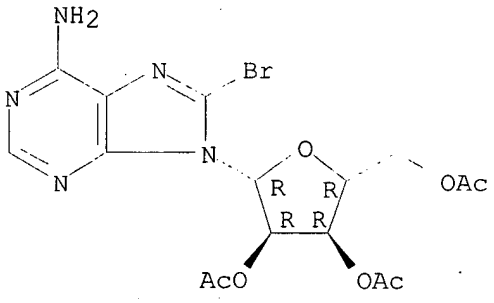
AB This invention discloses a method for the prepn. modified nucleosides and nucleotides, e.g. I (R = Bu, iPr), using a palladium catalyst, a nucleophile and carbon monoxide. Thus, I (R = Bu) was prepd. and tested for its **antiviral** and cytotoxicity activities. I exhibited EC50 < 0.03 .mu.g/mL against the **virus** CMV and IC50 > 100 .mu.g/mL against the cell with selectivity index SI > 3333.

IT **31281-86-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(palladium catalyzed nucleoside modification methods using nucleophiles and carbon monoxide)

RN 31281-86-4 HCAPLUS

CN Adenosine, 8-bromo-, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

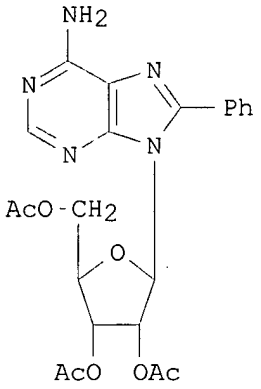
Absolute stereochemistry.



L76 ANSWER 10 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1997:15548 HCAPLUS
DN 126:104368
TI Process for the preparation of purine nucleosides using palladium-catalyzed coupling reaction
IN Tu, Chi; Eaton, Bruce
PA Nexstar Pharmaceuticals, Inc., USA
SO U.S., 7 pp., Cont.-in-part of U.S. 5,428,149.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5580972	A	19961203	US 1994-347600	19941201 <--
	US 5428149	A	19950627	US 1993-76735	19930614 <--
	CA 2164935	AA	19941222	CA 1994-2164935	19940531 <--
	US 5633361	A	19970527	US 1995-407893	19950321 <--
	US 5591843	A	19970107	US 1995-423395	19950419 <--

US 5783679 A 19980721 US 1995-441881 19950516 <--
WO 9616972 A1 19960606 WO 1995-US15124 19951120 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9642412 A1 19960619 AU 1996-42412 19951120 <--
PRAI US 1993-76735 A2 19930614 <--
US 1994-347600 A1 19941201 <--
WO 1995-US15124 W 19951120 <--
OS CASREACT 126:104368; MARPAT 126:104368
GI



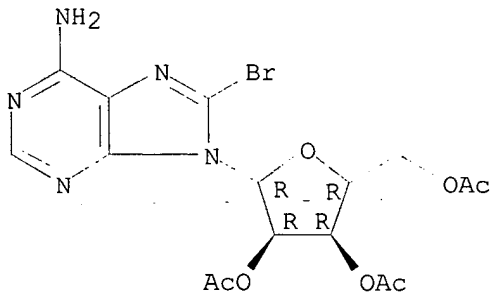
I

AB Improved method for the prepn. of modified purine nucleosides at the 2-, 6-, or 8-position of the purine ring, e.g. I, using a palladium-catalyzed coupling reaction, is reported.

IT **31281-86-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the prepn. of purine nucleosides using palladium-catalyzed coupling reaction)

RN 31281-86-4 HCAPLUS
CN Adenosine, 8-bromo-, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



AN 1996:717012 HCAPLUS
DN 126:60293
TI Preparation of poly-substituted benzimidazole nucleosides as **antiviral** agents
IN Townsend, Leroy B.; Drach, John C.
PA University of Michigan, USA
SO U.S., 44 pp., Cont.-in-part of U.S. 5,248,672.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5574058	A	19961112	US 1993-50470	19930503	<--
	US 5248672	A	19930928	US 1990-607899	19901101	<--
	CA 2100098	AA	19920502	CA 1991-2100098	19911031	<--
	ZA 9108685	A	19920826	ZA 1991-8685	19911031	<--
	IL 99933	A1	19960912	IL 1991-99933	19911101	<--
	WO 9408456	A1	19940428	WO 1993-US10104	19931020	<--
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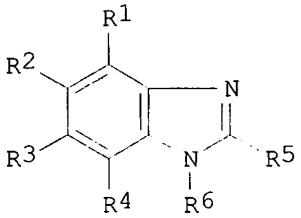
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	AU 9454470	A1	19940509	AU 1994-54470	19931020	<--
	US 5712255	A	19980127	US 1995-457391	19950601	<--
	US 5646125	A	19970708	US 1995-473037	19950606	<--
	US 5654283	A	19970805	US 1995-467946	19950606	<--
	US 5705490	A	19980106	US 1995-471059	19950606	<--
	US 5665709	A	19970909	US 1995-472982	19950607	<--
	US 6093698	A	20000725	US 1997-959246	19971028	<--
PRAI	US 1990-607899	A2	19901101	<--		
	US 1992-964345	A	19921021	<--		
	US 1993-50470	A	19930503	<--		
	WO 1993-US10104	W	19931020	<--		
	US 1995-471059	A1	19950606	<--		

GI



I

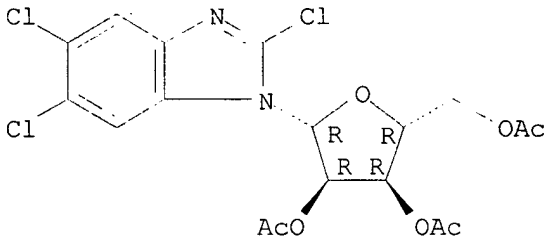
AB Polysubstituted benzimidazole nucleosides I (R1 = H, Cl; R2 = halogen, NO2; R3 = H, halogen, NO2; R4 = H, Cl; R5 = halogen, NH2; R6 = .beta.-D-ribofuranosyl, 2'-deoxy.beta.-D-erythropentofuranosyl, 2-hydroxyethoxymethyl), were prepd. as **virucides**. Thus, 2-chloro-5,6-diiodo-1-.beta.-D-ribofuranosylbenzimidazole was prepd. and tested for its **antiviral** activity against **virus** of the herpes family, particularly human **cytomegalovirus** and herpes simplex **viruses** (HSV).

IT **142356-41-0P 142356-46-5P 142356-51-2P**
142356-74-9P 142356-80-7P 142371-80-0P
142371-87-7P 142371-90-2P 142371-93-5P

142371-94-6P 142372-02-9P 142372-05-2P
142372-10-9P 142408-81-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of poly-substituted benzimidazole nucleosides as **antiviral** agents)

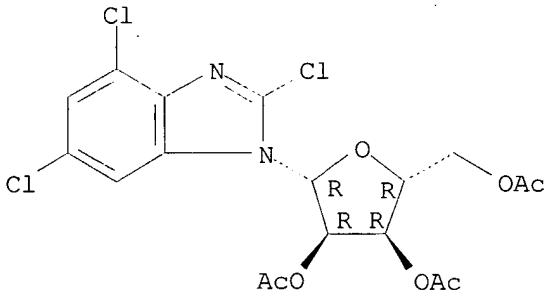
RN 142356-41-0 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



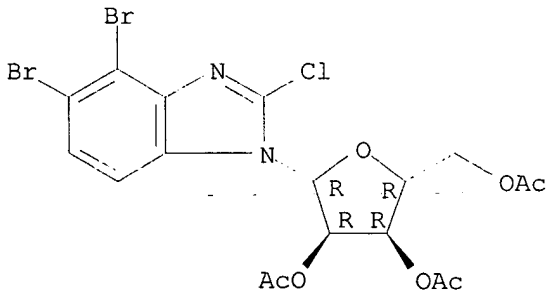
RN 142356-46-5 HCAPLUS
CN 1H-Benzimidazole, 2,4,6-trichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142356-51-2 HCAPLUS
CN 1H-Benzimidazole, 4,5-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

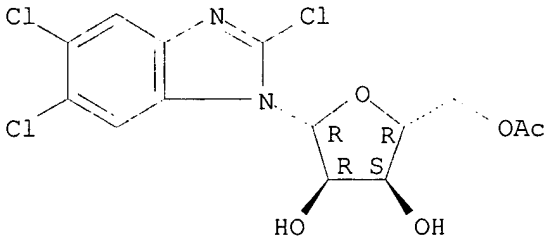
Absolute stereochemistry.



RN 142356-74-9 HCAPLUS
CN 1H-Benzimidazole, 1-(5-O-acetyl-.beta.-D-ribofuranosyl)-2,5,6-trichloro-

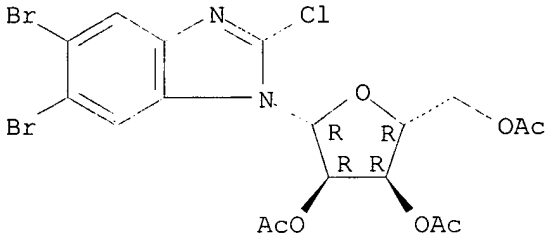
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



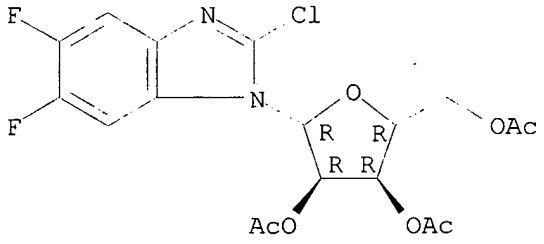
RN 142356-80-7 HCAPLUS
CN 1H-Benzimidazole, 5,6-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



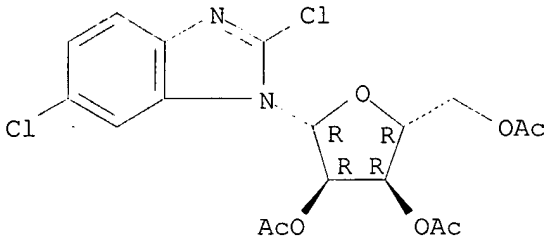
RN 142371-80-0 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5,6-difluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



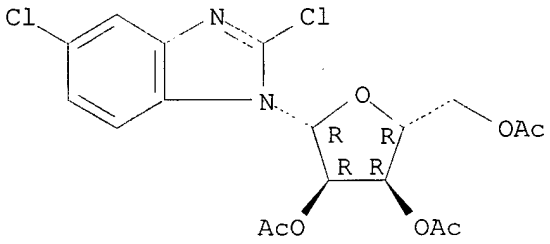
RN 142371-87-7 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



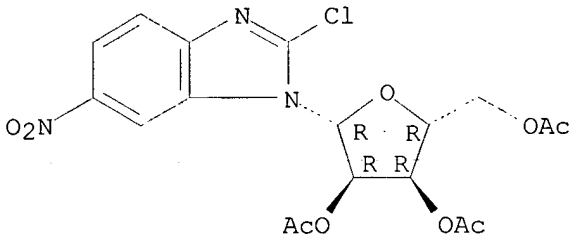
RN 142371-90-2 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



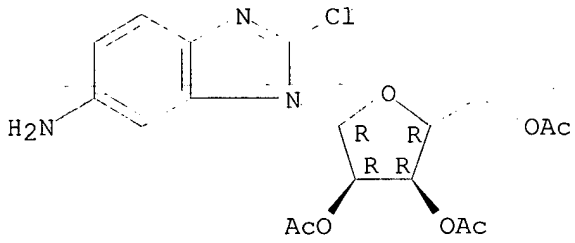
RN 142371-93-5 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-6-nitro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



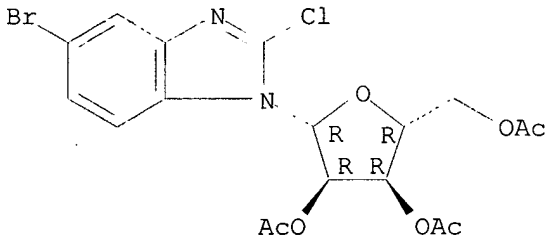
RN 142371-94-6 HCAPLUS
CN 1H-Benzimidazol-6-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



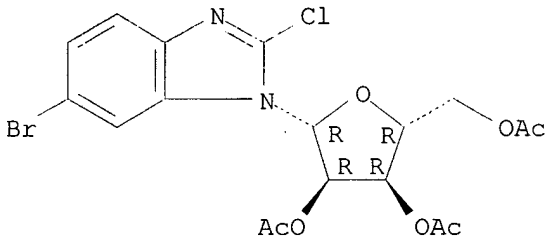
RN 142372-02-9 HCAPLUS
CN 1H-Benzimidazole, 5-bromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



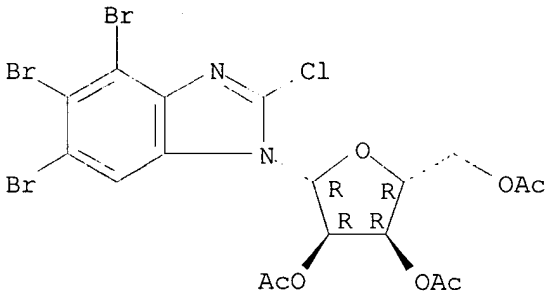
RN 142372-05-2 HCAPLUS
CN 1H-Benzimidazole, 6-bromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



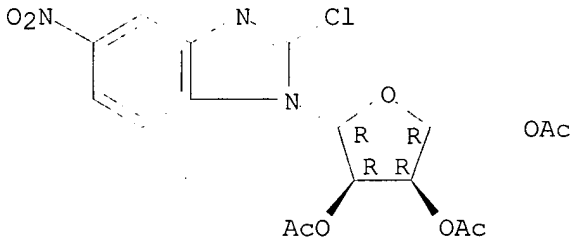
RN 142372-10-9 HCAPLUS
CN 1H-Benzimidazole, 4,5,6-tribromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



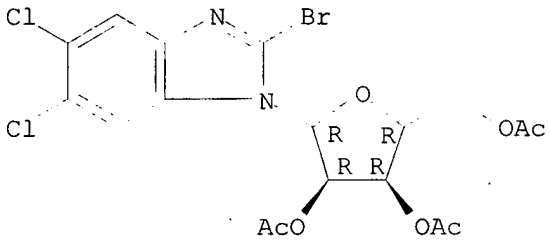
RN 142408-81-9 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5-nitro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



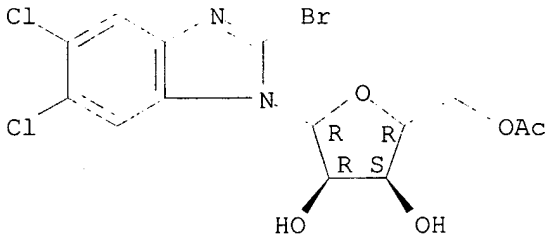
IT **142356-42-1P 142356-76-1P 142371-78-6P**
142371-81-1P 142371-82-2P 142371-83-3P
142371-84-4P 142372-04-1P 142395-44-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of poly-substituted benzimidazole nucleosides as **antiviral** agents)
RN 142356-42-1 HCAPLUS
CN 1H-Benzimidazole, 2-bromo-5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



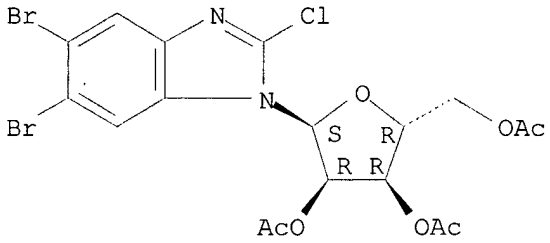
RN 142356-76-1 HCAPLUS
CN 1H-Benzimidazole, 1-(5-O-acetyl-.beta.-D-ribofuranosyl)-2-bromo-5,6-dichloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



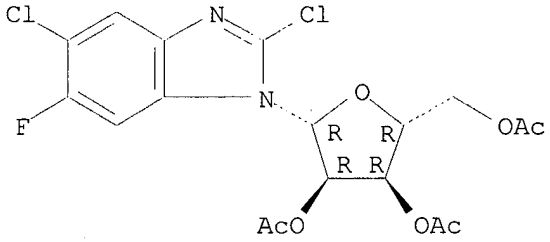
RN 142371-78-6 HCAPLUS
CN 1H-Benzimidazole, 5,6-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



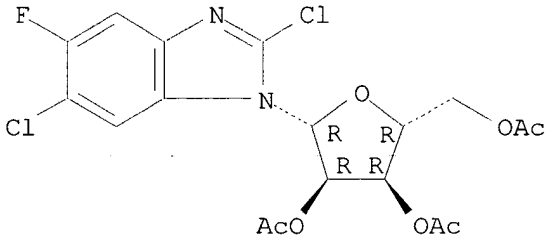
RN 142371-81-1 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-6-fluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



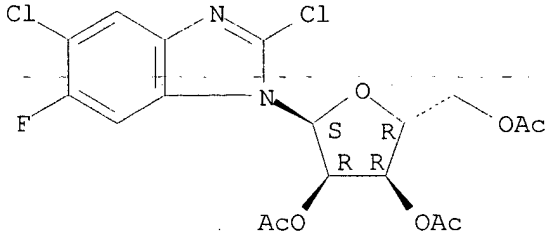
RN 142371-82-2 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-5-fluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



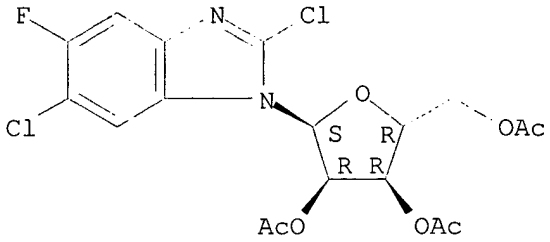
RN 142371-83-3 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-6-fluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



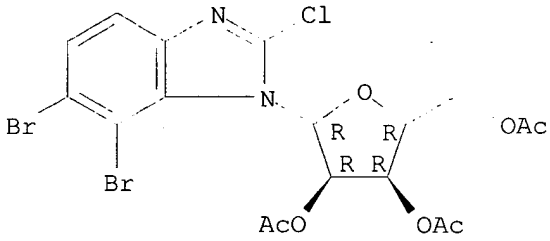
RN 142371-84-4 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-5-fluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



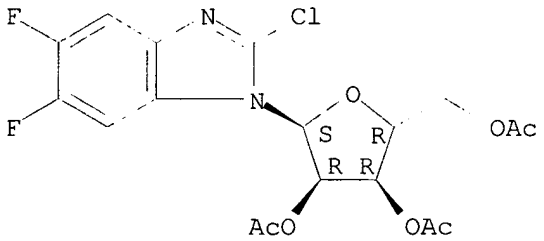
RN 142372-04-1 HCAPLUS
CN 1H-Benzimidazole, 6,7-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



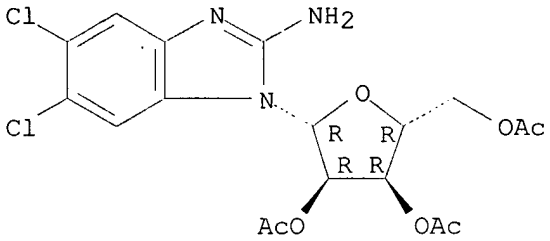
RN 142395-44-6 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5,6-difluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



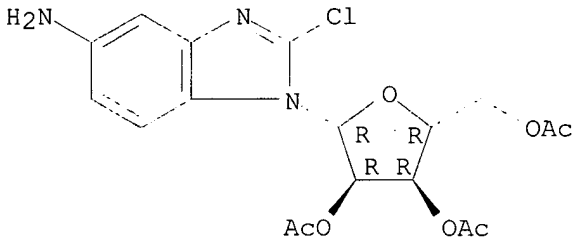
IT **142356-50-1 142356-52-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of poly-substituted benzimidazole nucleosides as **antiviral** agents)
RN 142356-50-1 HCAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

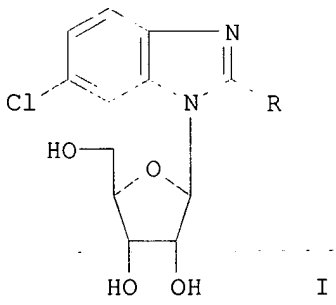


RN 142356-52-3 HCAPLUS
CN 1H-Benzimidazol-5-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 12 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1996:483604 HCAPLUS
DN 125:168560
TI Synthesis and **Antiviral** Evaluation of Certain Disubstituted Benzimidazole Ribonucleosides
AU Zou, Ruiming; Ayres, Kevin R.; Drach, John C.; Townsend, Leroy B.
CS College of Pharmacy, University of Michigan, Ann Arbor, MI, 48109-1065, USA
SO Journal of Medicinal Chemistry (**1996**), 39(18), 3477-3482
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI



I

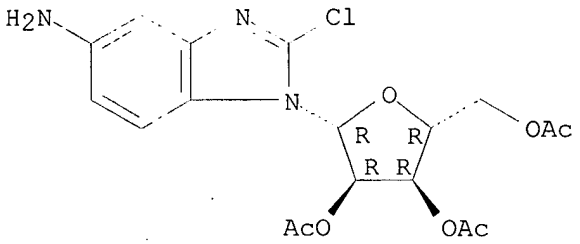
AB Title benzimidazole nucleosides, e.g. I (R = OMe, SMe, SBn), were prepd. and tested for their **antiviral** activity. These data further substantiate the conclusion that activity against HCMV at non-cytotoxic

concns. by benzimidazole ribonucleosides requires a halogen not only at the 2-position, but also more than one halogen on the benzene moiety.

IT **142356-52-3P 142371-87-7P 142371-90-2P**
142371-93-5P 142371-94-6P 142408-81-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and **antiviral** evaluation of disubstituted benzimidazole ribonucleosides)

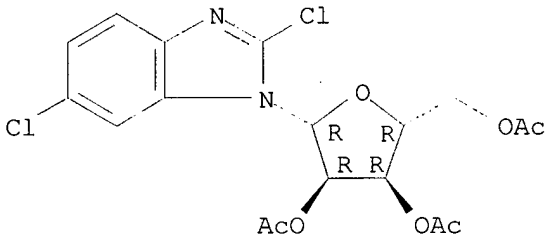
RN 142356-52-3 HCAPLUS
CN 1H-Benzimidazol-5-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



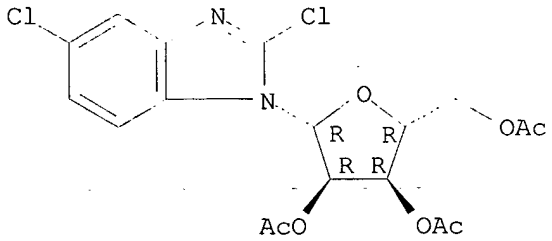
RN 142371-87-7 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



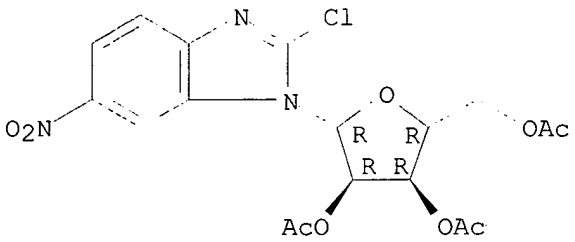
RN 142371-90-2 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



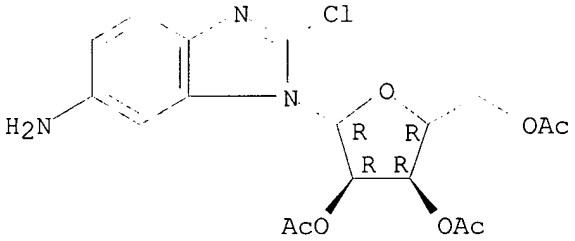
RN 142371-93-5 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-6-nitro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



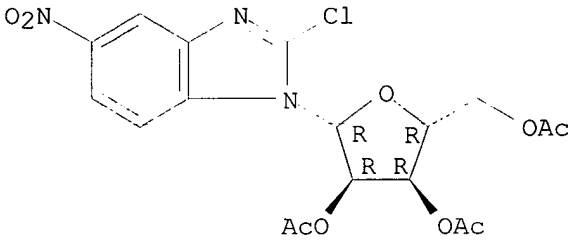
RN 142371-94-6 HCAPLUS
CN 1H-Benzimidazol-6-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142408-81-9 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5-nitro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 13 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1996:476827 HCAPLUS
DN 125:143238
TI Palladium-catalyzed C-alkenylation of purine nucleosides with organotins
IN Tu, Chi; Eaton, Bruce
PA Nexstar Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

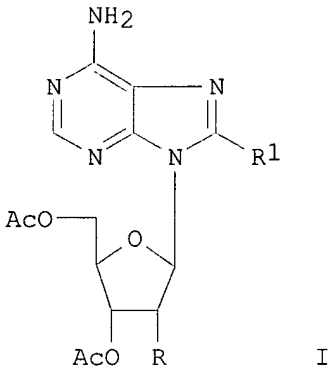
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9616972	A1	19960606	WO 1995-US15124	19951120 <--

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,

GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
TM, TT
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
NE, SN, TD, TG

	US 5580972	A	19961203	US 1994-347600	19941201 <--
	AU 9642412	A1	19960619	AU 1996-42412	19951120 <--
PRAI	US 1994-347600	A	19941201 <--		
	US 1993-76735	A2	19930614 <--		
	WO 1995-US15124	W	19951120 <--		

OS CASREACT 125:143238; MARPAT 125:143238
GI



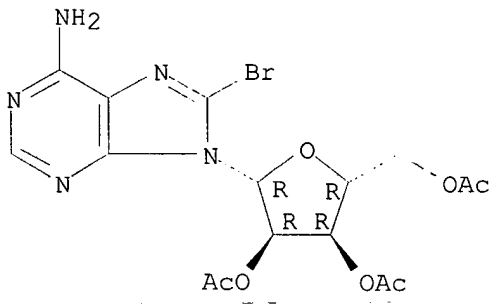
I

AB Palladium-catalyzed C-alkenylation of nucleosides, e.g. I (R = H, OAc, R1 = Br), with organotin R1SnR23 [R1 = Ph, CH2:CH, CH2:C(OEt), R2 = Me, Bu] gave the corresponding I [R = H, OAc, R1 = Ph, CH2:CH, CH2:C(OEt)] in good yields.

IT **31281-86-4**, 2',3',5'-Tri-O-acetyl-8-bromoadenosine
RL: RCT (Reactant); RACT (Reactant or reagent)
(palladium-catalyzed C-alkenylation of purine nucleosides with organotins)

RN 31281-86-4 HCAPLUS
CN Adenosine, 8-bromo-, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 14 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1996:391672 HCAPLUS
DN 125:49346
TI Methods using oxypurine nucleosides for treating sepsis, inflammatory diseases, or hematopoietic disorders or modifying hematopoiesis

IN Vonborstel, Reid W.; Bamat, Michael K.; Hiltbrand, Bradley M.; Butler, James C.; Shirali, Shyam
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9604923	A1	19960222	WO 1995-US10078	19950808	<--
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT					
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	IN 177670	A	19970215	IN 1994-CA701	19940902	<--
	US 6054441	A	20000425	US 1995-463790	19950605	<--
	US 6060459	A	20000509	US 1995-465016	19950605	<--
	CA 2197205	AA	19960222	CA 1995-2197205	19950808	<--
	AU 9532784	A1	19960307	AU 1995-32784	19950808	<--
	AU 712835	B2	19991118			
	EP 771204	A1	19970507	EP 1995-929425	19950808	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
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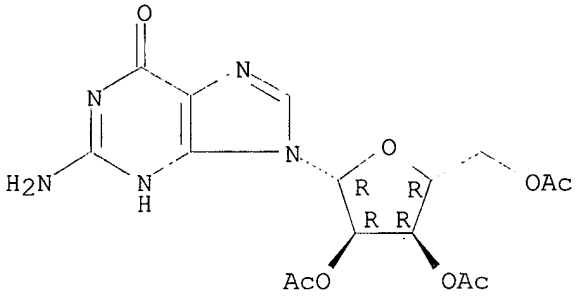
	EP 1157698	A2	20011128	EP 2001-117657	19950808	<--
	EP 1157698	A3	20020502			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE					
	NO 9700631	A	19970411	NO 1997-631	19970211	<--
	FI 9700588	A	19970411	FI 1997-588	19970212	<--
	AU 9952624	A1	19991202	AU 1999-52624	19991001	<--
	NO 2001006068	A	19970411	NO 2001-6068	20011212	<--

PRAI	US 1994-289214	A	19940812	<--
	US 1987-115923	B2	19871028	<--
	US 1990-487984	B2	19900205	<--
	US 1991-653882	B2	19910208	<--
	IN 1992-CA473	A1	19920706	<--
	US 1992-925931	B2	19920807	<--
	AU 1995-29150	A3	19950630	<--
	EP 1995-929425	A3	19950808	<--
	WO 1995-US10078	W	19950808	<--

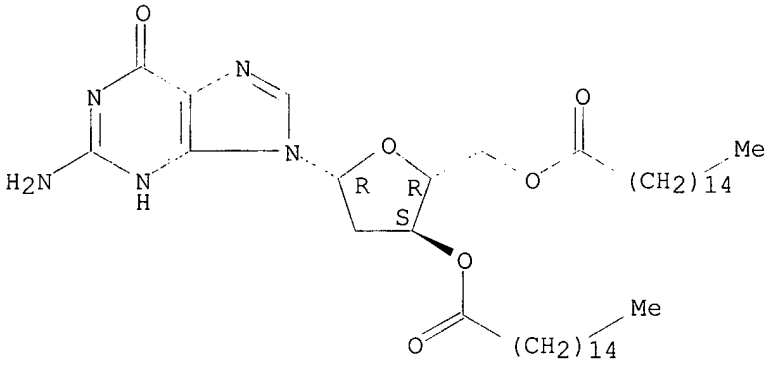
OS MARPAT 125:49346
AB Oxypurine nucleosides, congeners of such oxypurine nucleosides, acyl derivs. thereof, and compns. which contain .gtoreq.1 of these compds., are disclosed. Also disclosed are methods of treating or preventing hematopoietic disorders and modifying hematopoiesis, and treating or preventing inflammatory diseases and bacterial infections by administering a compd. or compn. of the invention to an animal. Palmitoylguanosine (prepn. described) improved survival of irradiated mice and increased colony-forming units in bone marrow of mice recovering from cyclophosphamide treatment.
IT **6979-94-8**, Triacetylguanosine **124169-78-4** **146573-51-5**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(oxypurine nucleosides and derivs., and their prepn., for treating sepsis, inflammatory diseases, or hematopoietic disorders or modifying hematopoiesis)
RN 6979-94-8 HCAPLUS
CN Guanosine, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



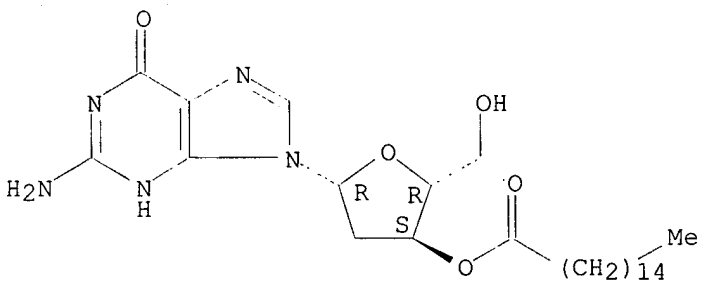
RN 124169-78-4 HCAPLUS
CN Guanosine, 2'-deoxy-, 3',5'-dihexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 146573-51-5 HCAPLUS
CN Guanosine, 2'-deoxy-, 3'-hexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

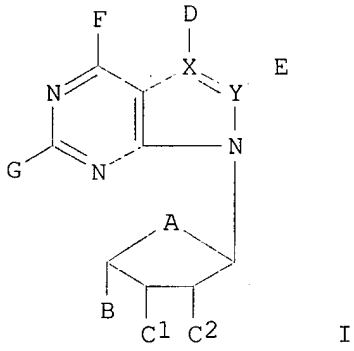


L76 ANSWER 15 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1996:275101 HCAPLUS
DN 125:34046
TI Lyxofuranosyl analogs of adenosine which selectively inhibit adenosine kinase
IN Erion, Mark D.; Ugarkar, Bheemarao G.; Castellino, Angelo J.
PA Gensia, Inc., USA
SO U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 182,381, abandoned.
CODEN: USXXAM

DT Patent
LA English
FAN.CNT 14

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	US 5506347	A	19960409		US 1994-191282	19940203	<--
	US 5674998	A	19971007		US 1995-486161	19950607	<--
	US 5763596	A	19980609		US 1996-660505	19960607	<--
PRAI	US 1993-14159	B2	19930203	<--			
	US 1994-182381	B2	19940114	<--			
	US 1989-408707	B2	19890918	<--			
	US 1990-466979	B2	19900118	<--			
	US 1991-647117	B2	19910123	<--			
	US 1991-812916	B2	19911223	<--			
	US 1994-191282	A2	19940203	<--			
	US 1995-486161	A2	19950607	<--			

OS MARPAT 125:34046
GI

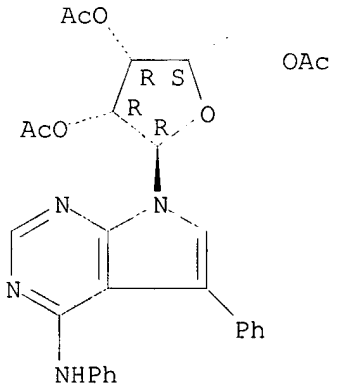


I

AB Novel lyxose derivs. I wherein A is oxygen, methylene or sulfur; B is carboxyl, carboxyalkyl, carboxamido, alkenyl, or (CH2)nB' wherein n is an integer from 1 to 5 and B' is hydrogen, hydroxy, lower alkyl esters or carbonate esters thereof, alkyl, alkoxy, amino, alkylamino, mercapto, alkylthio, halogen, azido, cyano, aminocarboxyalkyl, or amidoalkyl; C1 and C2 are independently hydrogen, hydroxyl or lower alkyl esters or carbonate esters thereof, or when taken together form a lower cyclic ring contg. two or more oxygen atoms; X and Y are independently carbon or nitrogen, however both X and Y cannot be nitrogen; D is halogen, alkyl, aryl, aralkyl, alkenyl, alkynyl, alkoxy, cyano, cyanoalkyl, carboxamido, aryloxy, amino, alkylamino, arylamino, aralkylamino, alkylthio, or arylthio when X is carbon, and is null when X is nitrogen; E is hydrogen, halogen, alkyl, alkylamino, alkylthio or azido when Y is carbon and is null when Y is nitrogen; F is amino, hydrogen, halogen, alkoxy, alkylthio, aryl, alkyl, alkylamino, arylamino, or aralkylamino; G is hydrogen, lower alkyl, halogen, alkoxy or alkylthio; and pharmaceutically acceptable salts thereof; with the proviso that when X is nitrogen and Y is carbon, E and G are hydrogen and F is amino, then B is not Me, hydroxymethyl or vinyl; which selectively inhibit adenosine kinase and methods of prepg. these compds. are provided. These compds. are useful in treating certain conditions in vivo which may be ameliorated by increased local concns. of adenosine. Thus, e.g., 4-(phenylamino)-5-phenyl-7-(1-.alpha.-L-lyxofuranosyl)pyrrolo[2,3-d]pyrimidine (I; A = O, B = CH2OH, C1 and C2 are OH; E and G are H; X and Y are C; D is Ph; F is anilino) was a highly potent inhibitor of adenosine kinase activity with IC50 = 0.46 nM vs. > 10,000 nM for 9-(.alpha.-L-lyxofuranosyl)adenine. Data are presented showing that I derivs. improved functional recovery in ischemic hearts and were beneficial in a model of chronic arthritis.

IT **164514-23-2P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(lyxofuranosyl analogs of adenosine which selectively inhibit adenosine kinase)
RN 164514-23-2 HCAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N,5-diphenyl-7-(2,3,5-tri-O-acetyl-.alpha.-L-lyxofuranosyl)- (9CI) (CA INDEX NAME)

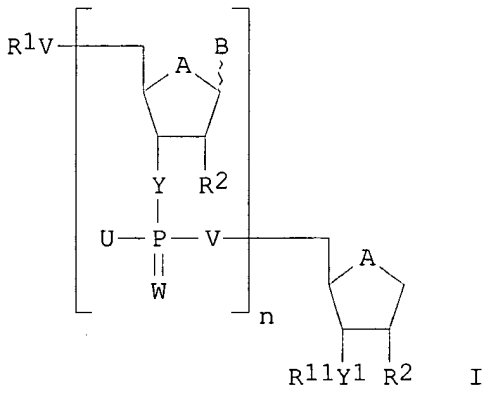
Absolute stereochemistry.



L76 ANSWER 16 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:997214 HCAPLUS
DN 124:146758
TI Preparation of modified oligonucleotides as drugs, diagnostic agents, and reagents for molecular biology.
IN Seela, Frank; Lampe, Sigrid
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	EP 680969	A2	19951108		EP 1995-106230	19950426	<--
	EP 680969	A3	19970122				
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE						
	DE 4415370	A1	19951109		DE 1994-4415370	19940502	<--
	AU 9517782	A1	19951109		AU 1995-17782	19950501	<--
	AU 698442	B2	19981029				
	JP 08003186	A2	19960109		JP 1995-107299	19950501	<--
	US 5789562	A	19980804		US 1997-940196	19970929	<--
	US 6066720	A	20000523		US 1998-94405	19980610	<--
PRAI	DE 1994-4415370		19940502	<--			
	US 1995-431777		19950501	<--			
	US 1997-940196		19970929				

OS MARPAT 124:146758
GI



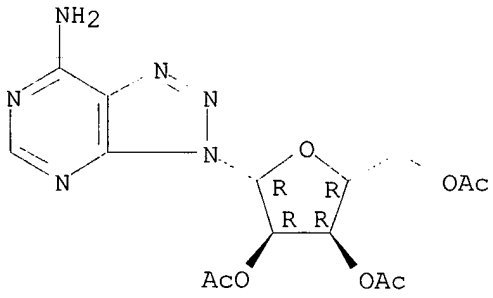
AB Title compds. [I; R1 = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, aralkyl, protecting group, P(Z)(Z1)(:W); R11 = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, aralkyl, protecting group, P(Z)(X)(:W); R2 = H, OH, alkoxy, alkenyloxy, halo, N3, NH2; A = O, CH2; n = 3-99; W = O, S, Se; V = O, S, imino; Y = O, S, imino, CH2; Y1 = O, S, imino, (CH2)m, V(CH2)m; m = 1-18; X = OH, SH; U = OH, SH, SeH, alkoxy, alkyl, aryl, aralkyl, amino; Z, Z1 = OH, SH, SeH, alkoxy, aminoalkoxy, etc.; B = (un)natural nucleotide base], were prepd. Thus, d(Cz8GCz8GCG) (z8G = 8-azadexoxyguanosine) showed Tm = 52.degree..

IT **92516-90-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of modified oligonucleotides as drugs, diagnostic agents, and reagents for mol. biol.)

RN 92516-90-0 HCAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-7-amine, 3-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 17 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1995:965631 HCAPLUS

DN 124:45025

TI Augmentation of tumor immunity by 6-mercaptopurine (6-MP) and its analogs in the double grafted tumor system in mice

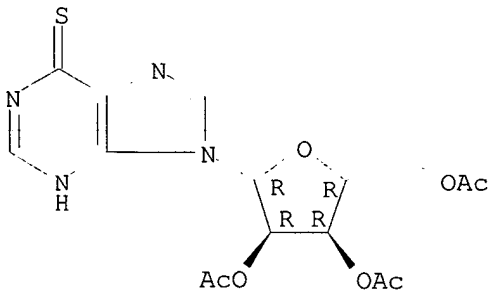
AU Kashida, Tatsuo; Narasaki, Naoko; Sakai, Atsuko; Tsujihara, Kenji; Tsuzurahara, Kei; Takeyama, Shigeyuki

CS Res. Lab., Tanabe Seiyaku Co., Ltd., Saitama, 335, Japan

SO Biological & Pharmaceutical Bulletin (**1995**), 18(11), 1492-7
CODEN: BPBLEO; ISSN: 0918-6158

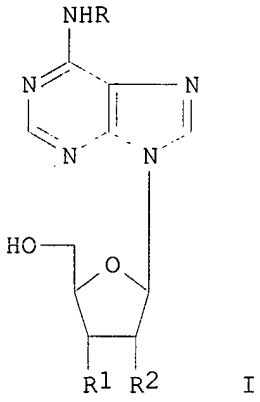
PB Pharmaceutical Society of Japan
DT Journal
LA English
AB We investigated the antitumor effect of 6-mercaptopurine (6-MP) and its analogs using the double grafted tumor technique. BALB/c mice were inoculated intradermally with MethA fibrosarcoma cells at the right inguinal region on day 0 (the primary tumor) and at the left on day 10 (the secondary tumor). I.p. or intra-lesional administration of 6-MP, 6-mercaptopurine riboside (6-MP-r) and 6-mercaptopurine riboside triacetate (6-MPRTA) from day 3 to 7 dose-dependently inhibited growth of the secondary tumor. Without the primary inoculation, 6-MP showed no effect on growth of the tumor inoculated on day 10, indicating that the antitumor effect of 6-MP could not be attributable to its direct antimetabolic or tumoricidal action only, and that the primary tumor inoculation is necessary for these compds. to inhibit growth of the challenging tumor. 6-MP did not inhibit the secondary MethA growth in the BALB/c (nu/nu) mouse. Both CD4+ and CD8+ T cells increased in the spleen of mice treated with 6-MP. Meanwhile, delayed-type hypersensitivity (DTH) reaction to the methylated bovine serum albumin (MBSA) antigen at the footpad was not augmented but inhibited by 6-MP, 6-MP-r and 6-MPRTA in both normal and tumor-bearing mice. Thus, the immunomodulatory activity of 6-MP could be obsd. in two opposite directions, augmentation of tumor immunity and inhibition of DTH to MBSA. This indicates that the immune mechanism and/or the type of effector cells induced in these two cell-mediated immune systems are different from each other.
IT **3021-21-4**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(mercaptopurine and analog augmentation of tumor immunity in double grafted tumor system in mice)
RN 3021-21-4 HCAPLUS
CN Inosine, 6-thio-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 18 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:790899 HCAPLUS
DN 124:30229
TI Ribose-Modified Adenosine Analogs as Potential Partial Agonists for the Adenosine Receptor
AU van der Wenden, Eleonora M.; von Frijtag Drabbe Kuenzel, Jacobien K.; Mathot, Ron A. A.; Danhof, Meindert; IJzerman, Adriaan P.; Soudijn, Willem
CS Leiden-Amsterdam Center for Drug Research, Leiden University, Leiden, 2300 RA, Neth.
SO Journal of Medicinal Chemistry (**1995**), 38(20), 4000-6
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal

LA English
GI



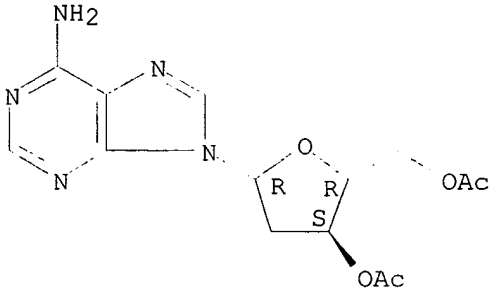
I

AB We have adopted a practical three-step route for the synthesis of 2'- and 3'-deoxy analogs of N6-substituted adenosines, e.g. I (R = cyclopentyl, cyclohexyl), via protection of the hydroxyl groups, replacement of the N6-amino by a better leaving group, and combined deprotection and N6-amination in the last step. The compds. were tested on the adenosine A1 and A2a receptors in our search for partial agonists for these receptors. Thus, it was shown that the hydroxyl groups are determinants for the affinity and intrinsic activity of these analogs. Removal of the 2'- and 3'-hydroxyl groups affects affinity and intrinsic activity, whereas removal of the 5'-hydroxyl group decreases only affinity.

IT **17318-24-0P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of ribose-modified adenosine analogs as potential partial agonists for the adenosine receptor)

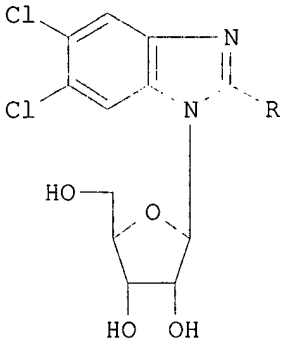
RN 17318-24-0 HCAPLUS
CN Adenosine, 2'-deoxy-, 3',5'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 19 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:790817 HCAPLUS
DN 123:199281
TI Design, Synthesis, and **Antiviral** Activity of Certain 2,5,6-Trihalo-1-(.beta.-D-ribofuranosyl)benzimidazoles
AU Townsend, Leroy B.; Devivar, Rodrigo V.; Turk, Steven R.; Nassiri, M.

Reza; Drach, John C.
CS College of Pharmacy, University of Michigan, Ann Arbor, MI, 48109-1065, USA
SO Journal of Medicinal Chemistry (**1995**), 38(20), 4098-105
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI .



I

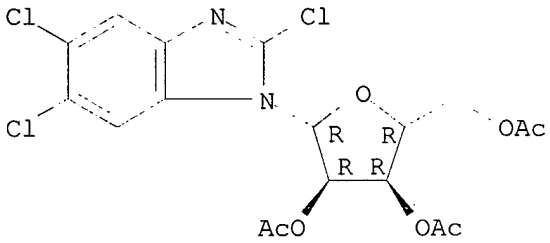
AB A new series of 2-substituted 5,6-dichlorobenzimidazole ribonucleosides, e.g. I (R = Br, Cl, iodo), has been synthesized and tested for activity against two human herpes **viruses** and for cytotoxicity. 2,5,6-Trichloro-1-(.beta.-D-ribofuranosyl)benzimidazole (TCRB) was prepd. by ribosylation of the heterocycle 2,5,6-trichlorobenzimidazole followed by removal of the protecting groups. The 2-bromo deriv. (BDCRB) was made in as similar fashion from 2-bromo-5,6-dichlorobenzimidazole. In contrast, the 2-iodo deriv. presented a more difficult problem since the appropriate heterocycle was unavailable. This prompted us to prep. the 2-amino deriv. followed by nonaq. diazotization and removal of the blocking groups. Biol. evaluation revealed marked differences in the activities of these compds. and the closely related known compd. 5,6-dichloro-1-(.beta.-D-ribofuranosyl)benzimidazole (DRB). DRB was weakly active against both human **cytomegalovirus** (HCMV) and herpes simplex **virus** type 1 (HSV-1), (IC50's = 42 and 30 .mu.M, resp.) but was cytotoxic to uninfected human foreskin fibroblasts and KB cells in the same dose range. Similar results were obtained with the heterocycle 2,5,6-trichlorobenzimidazole. In marked contrast, the ribonucleoside of 2,5,6-trichlorobenzimidazole (TCRB) was active against HCMV (IC50 = 2.9 .mu.M, plaque assay; IC90 = 1.4 .mu.M, yield assay) but only weakly active against HSV-1 (IC50 = 102 .mu.M, plaque assay). Little to no cytotoxicity was obsd. in HFF and KB cells at concns. up to 100 .mu.M. By changing the substituent at the 2-position from chlorine to bromine (BDCRB), a 4-fold increase in activity against HCMV was obsd. without any significant increase in cytotoxicity. In contrast, the 2-I and 2-NH2 derivs. were only weakly active against HCMV and HSV-1 with activity not well-sepd. from cytotoxicity. These data establish that for max. activity against HCMV with sepn. from cytotoxicity, ribose is preferred at the 1-position and that Cl or Br is apparently preferred at the 2-position. The activity and selectivity of both TCRB and BDCRB were better than that obsd. with either ganciclovir or foscarnet.

IT **142356-41-0P 142356-42-1P 142356-50-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and **antiviral** activity and cytotoxicity of trihaloribofuranosylbenzimidazoles)

RN 142356-41-0 HCAPLUS

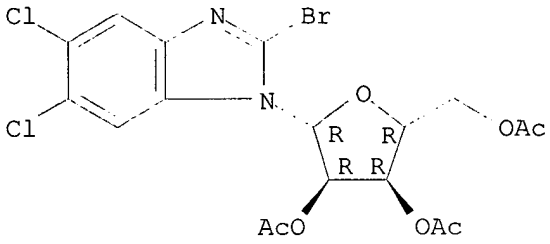
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



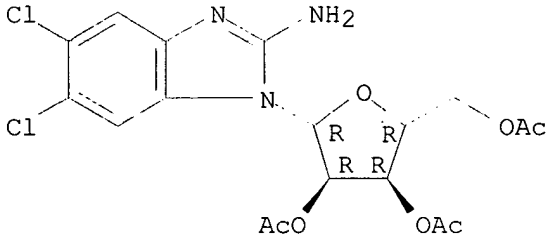
RN 142356-42-1 HCAPLUS
CN 1H-Benzimidazole, 2-bromo-5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142356-50-1 HCAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 20 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:772553 HCAPLUS
DN 123:199300
TI Preparation of diaminopurinylribofuranuronamide derivatives as antiinflammatories.
IN Gregson, Michael; Ayres, Barry Edward; Ewan, George Blanch; Ellis, Frank; Knight, John
PA Glaxo Group Ltd., UK
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	WO 9417090	A1	19940804		WO 1994-EP145	19940118	<--
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN						
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG						
	CA 2153688	AA	19940804		CA 1994-2153688	19940118	<--
	AU 9458851	A1	19940815		AU 1994-58851	19940118	<--
	AU 679714	B2	19970710				
	ZA 9400335	A	19941024		ZA 1994-335	19940118	<--
	EP 680488	A1	19951108		EP 1994-905100	19940118	<--
	EP 680488	B1	19980408				
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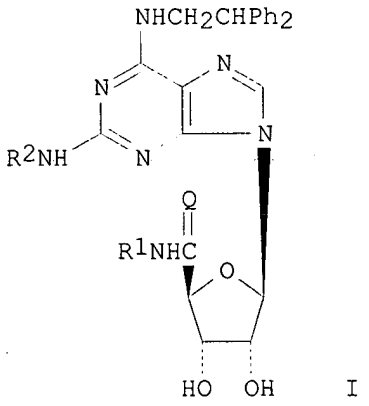
	CN 1119440	A	19960327		CN 1994-191527	19940118	<--
	CN 1043997	B	19990707				
	JP 08505864	T2	19960625		JP 1994-516652	19940118	<--
	AT 164849	E	19980415		AT 1994-905100	19940118	<--
	ES 2117249	T3	19980801		ES 1994-905100	19940118	<--
	RU 2129561	C1	19990427		RU 1995-122754	19940118	<--
	SK 281229	B6	20010118		SK 1995-918	19940118	<--
	IL 108372	A1	19980615		IL 1994-108372	19940119	<--
	FI 9503489	A	19950913		FI 1995-3489	19950719	<--
	NO 9502872	A	19950913		NO 1995-2872	19950719	<--
	US 5925624	A	19990720		US 1995-446727	19950918	<--
	US 5889178	A	19990330		US 1997-934540	19970922	<--
PRAI	GB 1993-1000	A	19930120	<--			
	WO 1994-EP145	W	19940118	<--			
	US 1995-446727	A3	19950918	<--			

OS MARPAT 123:199300

GI



I

AB Title compds. [I; R1 = H, C3-8 cycloalkyl, C1-6 alkyl; R2 = (substituted) C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, pyrrolidin-3-yl, 2-oxopyrrolidin-4-yl, 2-oxopyrrolidin-5-yl, piperidin-3-yl, piperidin-4-yl, etc.; Q = O, S], were prepd. Title compds. are useful as antiinflammatory agents, particularly in the treatment of patients with inflammatory conditions who are susceptible to leukocyte-induced tissue damage. Thus, (trans)-1-[2-[(4-aminocyclohexyl)amino]-6-[(2,2-diphenylethyl)amino]-9H-purin-9-yl]-1-deoxy-N-ethyl-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranuronamide was stirred with aq. CF3CO2H to give (trans)-1-[2-[(4-aminocyclohexyl)amino]-6-[(2,2-diphenylethyl)amino]-9H-purin-9-yl]-1-deoxy-N-ethyl-.beta.-D-

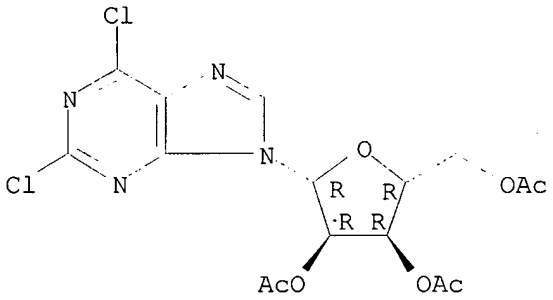
ribofuranonamide. The latter was 25 times more potent than NECA for inhibiting O2- generation from neutrophils stimulated with fMLP, and inhibited ovalbumin-induced eosinophil accumulation in sensitized guinea pigs with ED50 = 10 .mu.g/kg i.p.

IT **3056-18-6**, 2,6-Dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-9H-purine
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of diaminopurinylribofuranuronamide derivs. as antiinflammatories)

RN 3056-18-6 HCAPLUS

CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 21 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1995:687098 HCAPLUS

DN 124:9334

TI Method for the treatment of protozoa infections with 2'-deoxy-2'-fluoropurine nucleosides

IN Tisdale, Sylvia M.; Van, Tuttle Joel; Slater, Martin J.; Daluge, Susan M.; Miller, Wayne H.; Krenitsky, Thomas A.; Koszalka, George W.

PA Burroughs Wellcome Co., USA

SO U.S., 21 pp. Cont. of u.S. Ser. No. 580, 105, abandoned.
CODEN: USXXAM

DT Patent

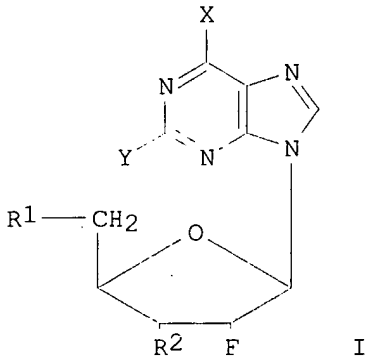
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	US 5420115	A	19950530		US 1992-940304	19920902	<--
PRAI	US 1990-580105		19900910	<--			

OS MARPAT 124:9334

GI



I

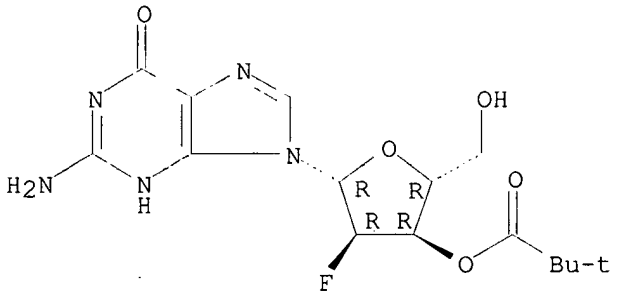
AB 2'-Deoxy-2'-fluoropurine nucleosides I wherein: Y = N, NH2; X is a group NR3R4 in which R3 and R4 may be the same or different and each represent hydrogen, C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, each group optionally being substituted by one or more halogen, or X is a group ZR5 in which Z is oxygen or sulfur and R5 has the same definition as R3, or X is halogen or hydrogen; R1 and R2, which may be the same or different, each represent: e.g., a hydroxy group; a group OCOR6H where R6 is a divalent group which is straight or branched C1-6 alkylene, C2-6 alkenylene or C3-7 cycloalkylene, each being optionally substituted by one or more hydroxy groups; and their pharmaceutically acceptable salts are anti-infective agents, particularly against **viruses** [influenza **virus**, particularly influenza A and B and RSV (respiratory syncytial **virus**) infections], and certain protozoa, for example, Trichomonas vaginalis and Giardia lamblia. Trichomonas vaginalis and Giardia lamblia are infections are treated by administration to a mammal in need thereof one of the following purine nucleosides: 2,6-diamino-9-(2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)-9H-purine, 2-amino-9-(2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)-9H-purine, and 2-amino-9-(2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)-6-methoxy-9H-purine. Reaction of 1-(2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)uracil with 2,6-diaminopurine in potassium phosphate buffer which contained potassium azide, thymidine phosphorylase, and purine nucleoside phosphorylase afforded 2,6-diamino-9-(2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)-9H-purine which exhibited anti-influenza activity of IC50 = 0.6 .mu.M. Pharmaceutical formulations were given.

IT **170784-52-8P**, 9-(2-Deoxy-2-fluoro-3-O-pivaloyl-.beta.-D-ribofuranosyl)guanine
RL: **BAC (Biological activity or effector, except adverse)**; BPN (Biosynthetic preparation); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of protozoa, influenza, and respiratory syncytial **virus** infections with 2'-deoxy-2'-fluoropurine nucleosides)

RN 170784-52-8 HCAPLUS

CN Guanosine, 2'-deoxy-2'-fluoro-, 3'-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

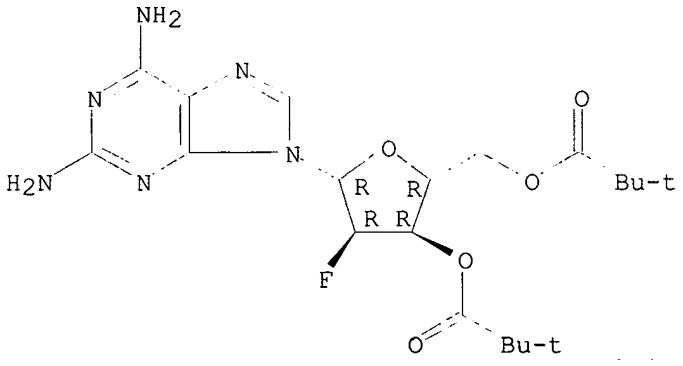
Absolute stereochemistry.



IT **134444-69-2P**, 2,6-Diamino-9-(2-deoxy-2-fluoro-3,5-di-O-pivaloyl-.beta.-D-ribofuranosyl)-9H-purine **134444-70-5P**, 2,6-Diamino-9-(2-deoxy-2-fluoro-5-O-pivaloyl-.beta.-D-ribofuranosyl)-9H-purine **134444-74-9P**, 9-(2-Deoxy-3,5-di-O propionyl-2-fluoro-.beta.-D-ribofuranosyl)guanine **134444-75-0P**, 9-(2-Deoxy-3,5-di-O-acetyl-2-fluoro-.beta.-D-ribofuranosyl)guanine **134444-76-1P 134444-79-4P**, 9-(2-Deoxy-2-fluoro-3,5-di-O-pivaloyl-.beta.-D-ribofuranosyl)adenine **134444-80-7P**, 9-(2-Deoxy-2-fluoro-3-O-pivaloyl-.beta.-D-ribofuranosyl)adenine **134444-81-8P**, 9-(2-Deoxy-2-fluoro-5-O-pivaloyl-.beta.-D-ribofuranosyl)adenine **134444-83-0P**, 9(2-Deoxy-2-fluoro-3-O-valeryl-.beta.-D-ribofuranosyl)adenine **134444-84-1P**, 9(2-Deoxy-2-fluoro-5-O-valeryl-.beta.-D-ribofuranosyl)adenine **170784-53-9P**, 9-(2-Deoxy-2-fluoro-3,5-di-O-pivaloyl-.beta.-D-ribofuranosyl)guanine **170784-54-0P**, 9(2-Deoxy-2-fluoro-3,5-di-O-valeryl-.beta.-D-ribofuranosyl)adenine
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of protozoa, influenza, and respiratory syncytial **virus** infections with 2'-deoxy-2'-fluoropurine nucleosides)

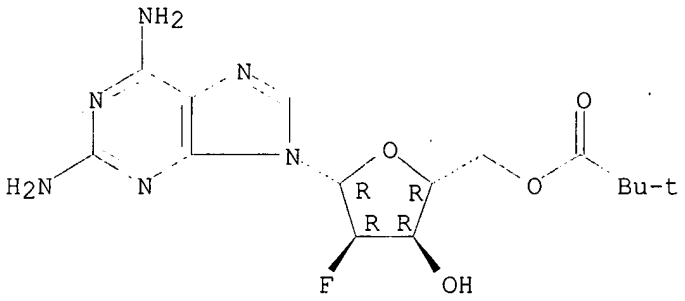
RN 134444-69-2 HCAPLUS
CN Adenosine, 2-amino-2'-deoxy-2'-fluoro-, 3',5'-bis(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



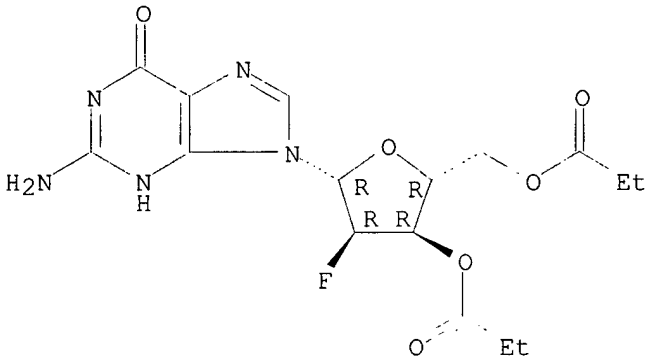
RN 134444-70-5 HCAPLUS
CN Adenosine, 2-amino-2'-deoxy-2'-fluoro-, 5'-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



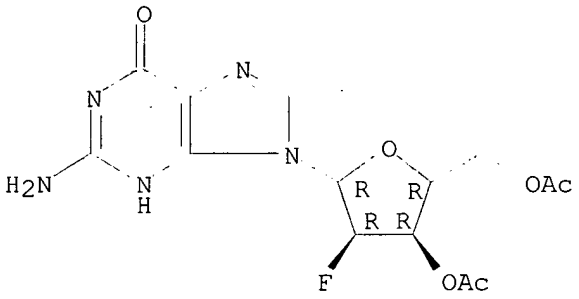
RN 134444-74-9 HCAPLUS
CN Guanosine, 2'-deoxy-2'-fluoro-, 3',5'-dipropanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



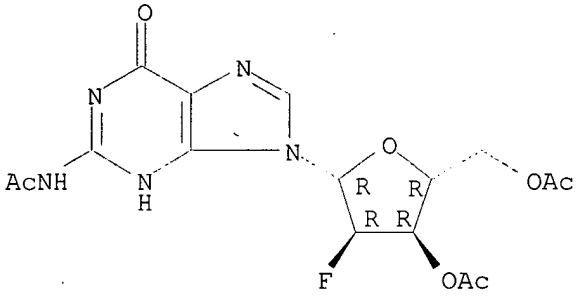
RN 134444-75-0 HCAPLUS
CN Guanosine, 2'-deoxy-2'-fluoro-, 3',5'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



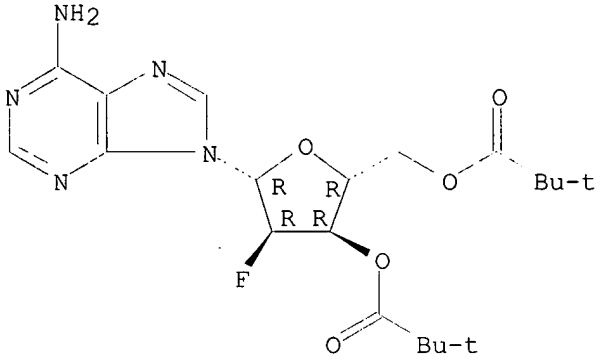
RN 134444-76-1 HCAPLUS
CN Guanosine, N-acetyl-2'-deoxy-2'-fluoro-, 3',5'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



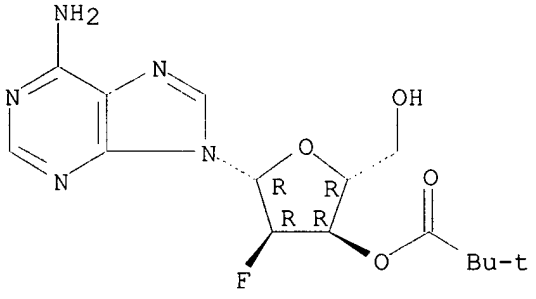
RN 134444-79-4 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 3',5'-bis(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



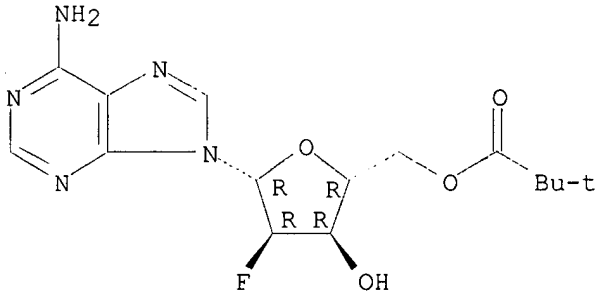
RN 134444-80-7 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 3'-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



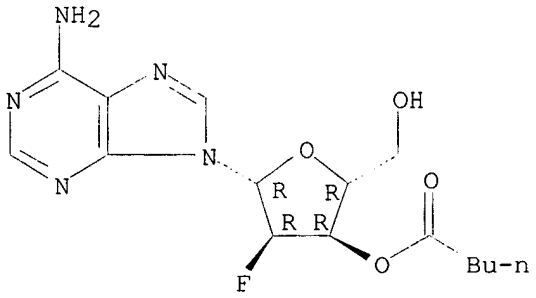
RN 134444-81-8 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 5'-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



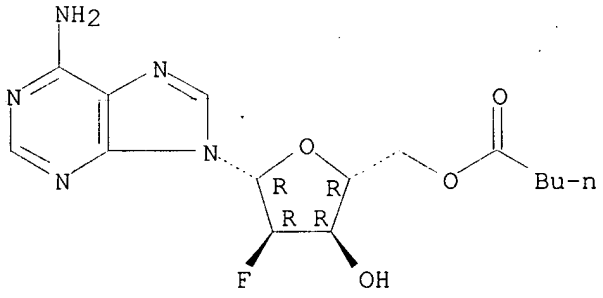
RN 134444-83-0 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 3'-pentanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



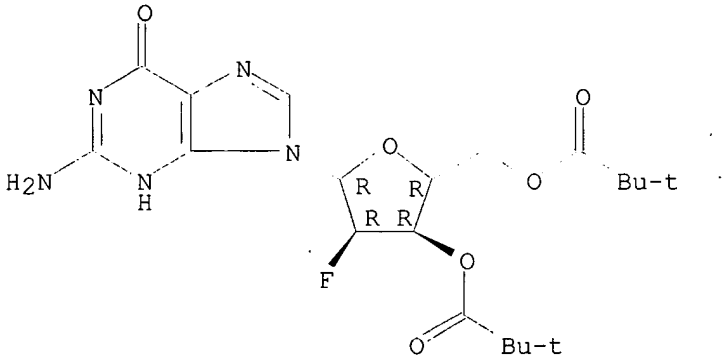
RN 134444-84-1 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 5'-pentanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



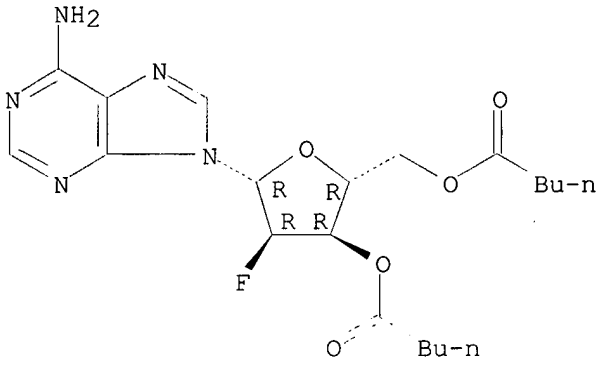
RN 170784-53-9 HCAPLUS
CN Guanosine, 2'-deoxy-2'-fluoro-, 3',5'-bis(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

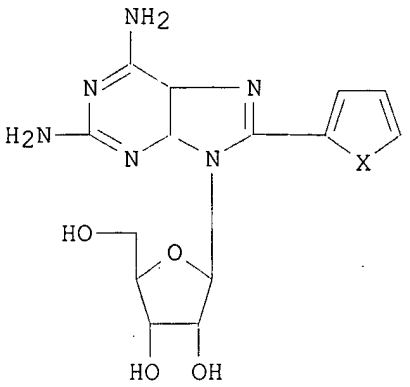


RN 170784-54-0 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 3',5'-dipentanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 22 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:658508 HCAPLUS
DN 123:314362
TI On the syntheses of 8-heteroaryl-substituted 9-(.beta.-D-ribofuranosyl)-2,6-diaminopurines through Pd-catalyzed coupling in the presence of cupric oxide
AU Ozola, Vita; Persson, Tina; Gronowitz, Salo; Hoernfeldt, Anna-Britta
CS Chemical Center, University of Lund, Lund, S-221 00, Swed.
SO Journal of Heterocyclic Chemistry (**1995**), 32(3), 863-6
CODEN: JHTCAD; ISSN: 0022-152X
PB HeteroCorporation
DT Journal
LA English
GI



I

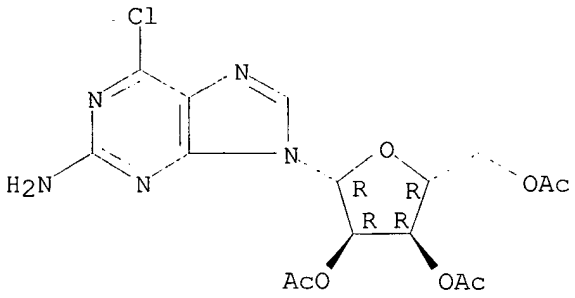
AB Convenient methods for the prepn. of 9-(.beta.-D-ribofuranosyl) derivs. of 8-(2- and 3-thienyl)-2,6-diaminopurine and of 8-(2- and 3-furyl)-2,6-diaminopurine, e.g. I (X = O, S), which are potential **antiviral** agents has been worked out. The key step was a Pd(0)-catalyzed Stille coupling between 2- and 3-tributylstannylthiophene and 2- and 3-tributylstannylfuran and trimethylsilyl protected 9-(.beta.-D-ribofuranosyl)-2,6-diamino-8-bromopurine. The use of N,N-dimethylformamide as solvent at 110.degree. and dichloro(diphenylphosphinepropane)palladium(II) with cupric oxide as co-reagent was essential in order to obtain a fast reaction and high yields.

IT **16321-99-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(syntheses of heteroaryl-substituted ribofuranosyldiaminopurines through Pd-catalyzed coupling in the presence of cupric oxide)

RN 16321-99-6 HCAPLUS

CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 23 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1995:657539 HCAPLUS

DN 123:56506

TI Preparation of lyxofuranosylpyrrolopyrimidines and -pyrazolopyrimidines as adenosine kinase inhibitors.

IN Erion, Mark David; Ugarkar, Bheemarao Ganapatrao; Castellino, Angelo John

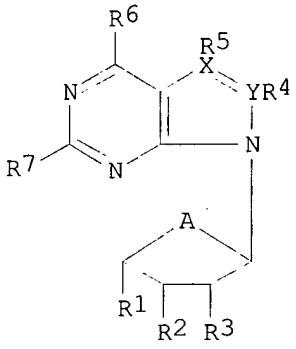
PA Gensia, Inc., USA

SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9418215	A1	19940818	WO 1994-US1260	19940203 <--
	W: AT, AU, BB, BG, BR, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9461332	A1	19940829	AU 1994-61332	19940203 <--
	AU 673055	B2	19961024		
	EP 684953	A1	19951206	EP 1994-907966	19940203 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 08506343	T2	19960709	JP 1994-518227	19940203 <--
PRAI	US 1993-14159	A	19930203 <--		
	US 1994-182381	A	19940114 <--		
	WO 1994-US1260	W	19940203 <--		

OS MARPAT 123:56506
GI



I

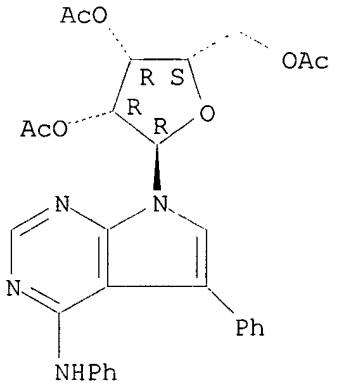
AB Title compds. (I; A = O, CH2, S; R1 = CO2H, carboxyalkyl, carboxamido, alkenyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, etc.; R2, R3 = H, OH or alkyl esters or carbonates thereof; R2R3 = atoms to form a ring contg. .gtoreq.2 O atoms; X, Y = C, N; X and Y cannot both simultaneously = N; R4 = null, H, halo, alkyl, alkylamino, alkylthio, N3; R5 = null, halo, alkyl, aryl, aralkyl, alkenyl, alkynyl, alkoxy, cyano, cyanoalkyl, carboxamido, aryloxy, amino, alkylamino, arylamino, aralkylamino, alkylthio, arylthio; R6 = H, amino, halo, alkoxy, alkylthio, aryl, alkyl, alkylamino, arylamino, aralkylamino; R7 = H, alkyl, halo, alkoxy, alkylthio; with addnl. provisos), were prepd. Thus, 2,3-isopropylidene-5-tert-butyldimethylsilyl-L-lyxofuranose (prepn. given) and CCl4 in THF at -78.degree. were treated with HMPT in THF; the mixt. was warmed to -30.degree., stirred 30 min., cooled to -78.degree., and stirred a further 2 h. The chlorosugar mixt. was added to 4-chloro-5-iodopyrrolo[2,3-d]pyrimidine and NaH in MeCN and the mixt. was stirred overnight at room temp. to give 4-chloro-5-iodo-7-(5-tert-butyldimethylsilyl-2,3-isopropylidene-1.alpha.-L-lyxofuranosyl)pyrrolo[2,3-d]pyrimidine. This was stirred with CF3CO2H at 40.degree. and the product was heated with NH3 in MeOH in a bomb at 105.degree. to give 4-amino-5-iodo-(1.alpha.-L-lyxofuranosyl)pyrrolo[2,3-d]pyrimidine. The latter at 1 .mu.M in isolated guinea pig heart increased post-ischemic function to 71.4% of pre-ischemic left ventricular developed pressures, vs. 62.1% for untreated controls.

IT **164514-23-2P**

RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of lyxofuranosylpyrrolopyrimidines and -pyrazolopyrimidines as adenosine kinase inhibitors)

RN 164514-23-2 HCAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N,5-diphenyl-7-(2,3,5-tri-O-acetyl-.alpha.-L-lyxofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 24 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:630115 HCAPLUS
DN 123:33587
TI Preparation of nucleosides and nucleoside derivatives with enzymically cleavable protecting groups for the synthesis of oligonucleotides.
IN Waldmann, Herbert; Reidel, Armin; Heuser, Axel; Muehlegger, Klaus; von der Eltz, Herbert; Birkner, Christian Dr
PA Boehringer Mannheim G.m.b.H., Germany
SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 649855	A1	19950426	EP 1994-116219	19941014 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	DE 4335729	A1	19950427	DE 1993-4335729	19931020 <--
	CA 2118153	AA	19950421	CA 1994-2118153	19941014 <--
	JP 07188278	A2	19950725	JP 1994-253314	19941019 <--
	US 5677441	A	19971014	US 1994-326490	19941020 <--
	US 5756704	A	19980526	US 1997-844127	19970418 <--
PRAI	DE 1993-4335729		19931020 <--		
	US 1994-326490		19941020 <--		

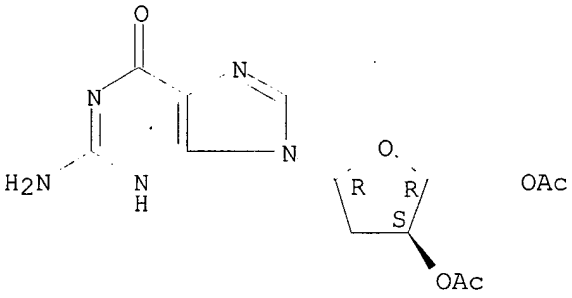
OS CASREACT 123:33587; MARPAT 123:33587
GI For diagram(s), see printed CA Issue.
AB Title compds. (I; B = adenine, guanine, cytosine, 7-desazaguanine, 7-desazaadenine; R1 = H, acyl protecting group, 4,4'-dimethoxytrityl; R2 = H, acyl protecting group, phosphoramidite group, phosphonate group, solid support; R3 = H, OH, OR'; R' = acyl, alkyl, alkenyl, silyl protecting group; exocyclic amino groups of B are protected with phenylacetyl groups), were prepd. as intermediates for oligonucleotides (II; R2 = H, alkali metal, protecting group; n = 3-100; other variables as above). Thus, 2'-desoxyadenosine in MeCN was treated with Et3N,

dimethylaminopyridine, and Ac2O to give 92% 3',5'-di-O-acetyl-2'-desoxyadenosine, which was stirred with phenylacetic anhydride in pyridine at 120.degree. to give 87% 3',5'-di-O-acetyl-N6-phenylacetyl-2'-desoxyadenosine (III). III in aq. NaCl at pH 6.5 was treated with acetylesterase to give 41% 3'-O-acetyl-N6-phenylacetyl-2'-desoxyadenosine.

IT **69992-10-5P 72560-67-9P**
RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of nucleosides and nucleoside derivs. with enzymically cleavable protecting groups for the synthesis of oligonucleotides)

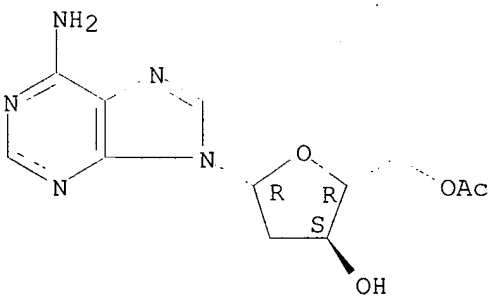
RN 69992-10-5 HCAPLUS
CN Guanosine, 2'-deoxy-, 3',5'-diacetate (6CI, 7CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 72560-67-9 HCAPLUS
CN Adenosine, 2'-deoxy-, 5'-acetate (6CI, 9CI) (CA INDEX NAME)

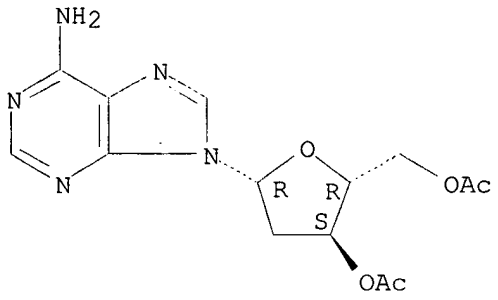
Absolute stereochemistry. Rotation (-).



IT **17318-24-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of nucleosides and nucleoside derivs. with enzymically cleavable protecting groups for the synthesis of oligonucleotides)

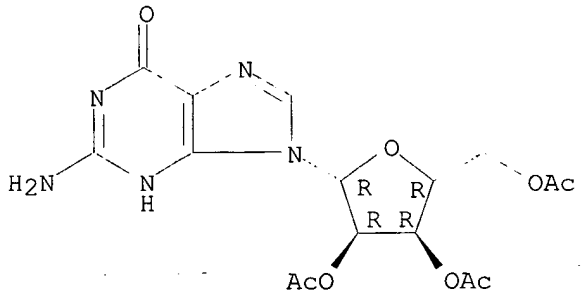
RN 17318-24-0 HCAPLUS
CN Adenosine, 2'-deoxy-, 3',5'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 25 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:609544 HCAPLUS
DN 123:132150
TI 2-Amino-9-(3-azido-2,3-dideoxy-.beta.-D-erythro-pentofuranosyl)-6-substituted -9H-purines: synthesis and anti-HIV activity
AU Freeman, G. A.; Shaver, S. R.; Rideout, J. L.; Short, S. A.
CS Burroughs Wellcome Co., Div. Org. Chem., Research Triangle Park, NC, 27709, USA
SO Bioorganic & Medicinal Chemistry (**1995**), 3(4), 447-58
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier
DT Journal
LA English
AB A series of 2-amino-9-(3-azido-2,3-dideoxy-.beta.-D-erythro-pentofuranosyl)-6-substituted-9H-purines was synthesized and tested for the ability to protect MT4 cells from the cytopathic effect of HIV-1IIIB. These compds. were prepd. by a combination of chem. and enzymic reactions. Some of the nucleoside analogs with 6-alkoxy, 6-alkylamino, or 6-arylamino substituents were active against HIV-1IIIB. Their IC50 values were in the range of 2-60 .mu.M. In contrast, analogs with 6-thio, 6-alkylthio, 6-Me, or 6-carbonitrile substituents did not protect cells from the cytopathic effect of HIV infection.
IT **6979-94-8**, 2',3',5'-O-Triacetylguanosine
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminoazidodideoxyerythropentofuranosylpurine deriv. prepn. and anti-HIV activity)
RN 6979-94-8 HCAPLUS
CN Guanosine, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 26 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:605377 HCAPLUS
DN 123:9872

TI Preparation of N-alkyl-2-substituted ATP analogs as platelet aggregation inhibitors.
IN Ingall, Anthony Howard; Cage, Peter Alan; Kindon, Nicholas David
PA Fisons PLC, UK
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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PI	WO 9418216	A1	19940818		WO 1994-GB237	19940208	<--
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG						
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	AU 9459770	A1	19940829		AU 1994-59770	19940208	<--
	AU 679721	B2	19970710				
	EP 683789	A1	19951129		EP 1994-905810	19940208	<--
	EP 683789	B1	19971105				
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	CN 1119869	A	19960403		CN 1994-191559	19940208	<--
	CN 1042430	B	19990310				
	HU 72464	A2	19960429		HU 1995-2348	19940208	<--
	HU 221501	B	20021028				
	JP 08506335	T2	19960709		JP 1994-517805	19940208	<--
	JP 3083156	B2	20000904				
	AT 159950	E	19971115		AT 1994-905810	19940208	<--
	ES 2108425	T3	19971216		ES 1994-905810	19940208	<--
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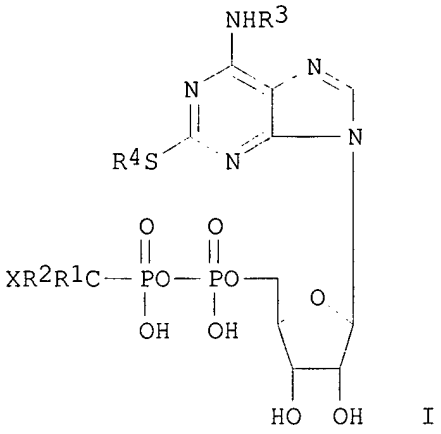
	US 5721219	A	19980224		US 1995-512979	19950809	<--
	FI 9503794	A	19950810		FI 1995-3794	19950810	<--
	US 5955447	A	19990921		US 1997-918670	19970828	<--
PRAI	GB 1993-2636	A	19930210	<--			
	GB 1993-25712	A	19931216	<--			
	WO 1994-GB237	W	19940208	<--			
	US 1995-512979	A3	19950809	<--			

OS MARPAT 123:9872
GI



I

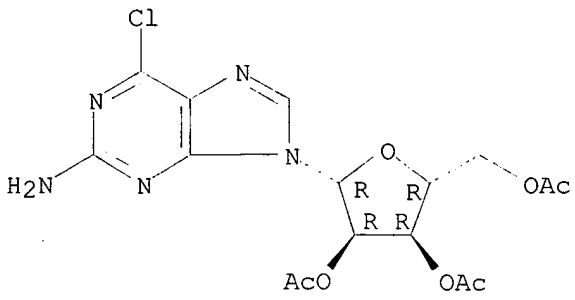
AB Title compds. (I; R1, R2 = H, halo; R3, R4 = Ph, alkyl optionally substituted by OR5, alkythio, NR6R7, Ph, COOR8, halo; R5-R8 = H, alkyl; X = acidic moiety), were prepd. as platelet aggregation inhibitors. Thus, 9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-6-chloro-2-(propylthio)purine was heated in an autoclave with EtNH2 and H2O at 110.degree. for 20 h to give N-ethyl-2-(propylthio)adenosine. This was stirred with POCl3 and (EtO)3PO at 0.degree. to give, after workup and chromatog., monoammonium N-ethyl-2-(propylthio)-5'-adenylate. This was evapd. with Bu3N and pyridine followed by azeotropic drying with pyridine and then DMF to give a residue which in DMF was treated with carbonyldiimidazole and then dichloromethylenebisphosphonic acid, mono(tributylammonium) salt to give after chromatog. and salification N-ethyl-2-(propylthio)-5'-adenylic acid, monoanhydride with dichloromethylenebisphosphonic acid, tetrasodium salt. The latter antagonized ADP response in human platelets with pIC50 = 9.04.

IT **16321-99-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-alkyl-2-substituted ATP analogs as platelet aggregation inhibitors)

RN 16321-99-6 HCAPLUS

CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 27 OF 86 HCAPLUS COPYRIGHT 2003 ACS

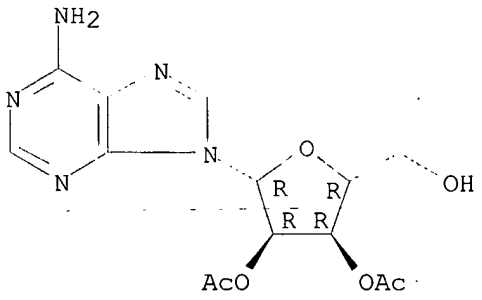
AN 1995:590191 HCAPLUS

DN 123:52110

TI Structure-activity relationship for the binding of nucleoside ligands to adenosine kinase from Toxoplasma gondii

AU Iltzsch, Max H.; Uber, Sheri S.; Tankersley, Kevin O.; el Kouni, Mahmoud H.
CS Dept. Biol. Sci., Univ. Cincinnati, Cincinnati, OH, 45221, USA
SO Biochemical Pharmacology (**1995**), 49(10), 1501-12
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier
DT Journal
LA English
AB One hundred and twenty-eight purine nucleoside analogs were evaluated as ligands of Toxoplasma gondii adenosine kinase (EC 2.7.1.20) by examg. their ability to inhibit this enzyme in vitro. Inhibition was quantified by detg. apparent Ki (appKi) values for those compds. that inhibited this enzyme by greater than 10% at a concn. of 1 mM. Two compds., N6-(p-methoxybenzoyl)adenosine and 7-iodo-7-deazaadenosine (iodotubercidin), were found to bind to the enzyme (appKi = 3.9 and 1.6 .mu.M, resp.) better than adenosine. On the basis of these data, a structure-activity relationship for the binding of ligands to T. gondii adenosine kinase was formulated using adenosine as a ref. compd. It was concluded that the following structures features of purine nucleoside analogs are required or strongly preferred for binding: (1) "pyridine-type" endocyclic nitrogens at the 1- and 3-positions; (2) an exocyclic hydrogen at the 2-position; (3) 6-position exocyclic substituents in the lactim tautomeric form; (4) a "pyridine-type" endocyclic nitrogen at the 7-position or hydrophobic exocyclic substituents attached to an endocyclic carbon at the 7-position; (5) an endocyclic methine or "pyridine-type" nitrogen at the 8-position; (6) an endocyclic nitrogen at the 9-position; (7) a pentose or "pentose-like" (e.g. hydroxylated cyclopentene) moiety attached to the 9-position nitrogen; (8) hydroxyl groups at the 2'- and 3'-positions in a ribose configuration; (9) a hydroxymethyl or Me (i.e. 5'-deoxy) group at the 5'-position; (10) a .beta.-D-nucleoside configuration; and (11) an anti conformation around the N-glycosidic bond. In addn., there appears to be a "pocket" in the catalytic site of T. gondii adenosine kinase, adjacent to the 6-position of adenosine, that can accommodate large (preferably unsatd. or arom.) substituents (e.g. phenyl). These findings provide the basis for the rational design of addnl. ligands of T. gondii adenosine kinase.
IT **29886-19-9**, 2',3'-Di-O-acetyladenosine
RL: **BAC (Biological activity or effector, except adverse)**; BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(structure-activity relationship for the binding of nucleoside ligands to adenosine kinase from Toxoplasma gondii)
RN 29886-19-9 HCAPLUS
CN Adenosine, 2',3'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L76 ANSWER 28 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1995:518560 HCAPLUS
DN 122:265929
TI Preparation of N-(heterocyclylalkyl)purine derivatives as adenosine A1 agonists.
IN Lau, Jesper; Knutsen, Lars Jacob Stray; Sheardown, Malcolm; Hansen, Anker Jon
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9414832	A1	19940707	WO 1993-DK434	19931221	<--
	W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	US 5484774	A	19960116	US 1993-169097	19931217	<--
	CA 2152341	AA	19940707	CA 1993-2152341	19931221	<--
	AU 9458093	A1	19940719	AU 1994-58093	19931221	<--
	AU 690532	B2	19980430			
	EP 675896	A1	19951011	EP 1994-903747	19931221	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
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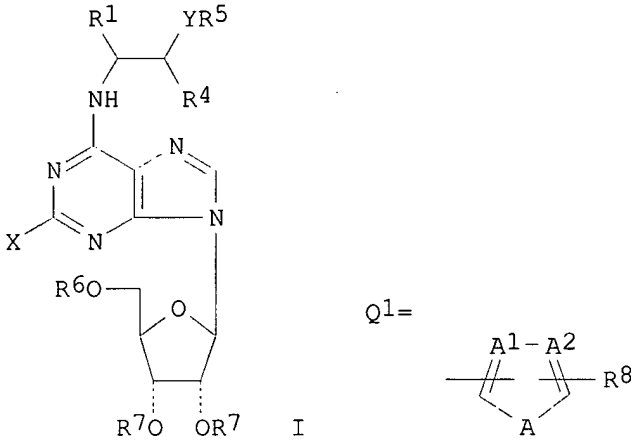
	JP 08504777	T2	19960521	JP 1993-514703	19931221	<--
	PL 175029	B1	19981030	PL 1993-309610	19931221	<--
	ZA 9309663	A	19950623	ZA 1993-9663	19931223	<--
	FI 9503127	A	19950821	FI 1995-3127	19950622	<--
	NO 9502508	A	19950822	NO 1995-2508	19950622	<--
	US 5683989	A	19971104	US 1995-504811	19950720	<--
PRAI	DK 1992-1552		19921223	<--		
	US 1993-169097		19931217	<--		
	WO 1993-DK434		19931221	<--		

OS MARPAT 122:265929
GI



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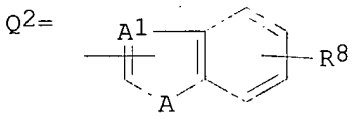
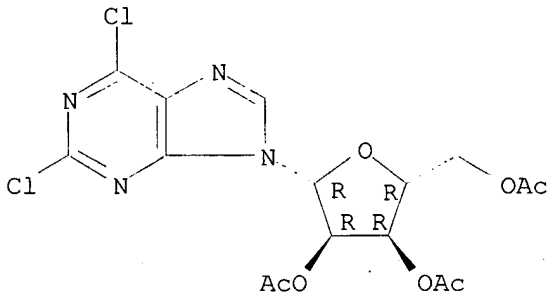


AB Title compds. (I; X = halo, CF3, cyano, alkyl, alkoxy, alkylthio, alkylamino, dialkylamino; R1 = H, alkyl, CF3; R4 = H, alkyl; R1R4 = atoms to form cyclobutyl, cyclopentyl, or cyclohexyl rings; Y = O, S, SO2, NH, alkylimino; R5 = Q1, Q2; R6, R7 = H, PhCO, alkanoyl; R8 = H, Ph, alkyl, CF3, amino, OH, alkoxy, cyano, halo; A = NH, O, S; A1, A2 = CH, N), were prepd. Thus, N-[(R)-1-(2-benzothiazolyl)thio-2-propyl]-2-fluoroadenosine, prepd. from 9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-2-amino-6-chloro-9H-purine, showed Ki = 3.4 nM and 2570 nM for binding to adenosine A1 and A2 receptors, resp.

IT **3056-18-6 16321-99-6 40896-58-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-(heterocyclylalkyl)purine derivs. as adenosine A1 agonists)

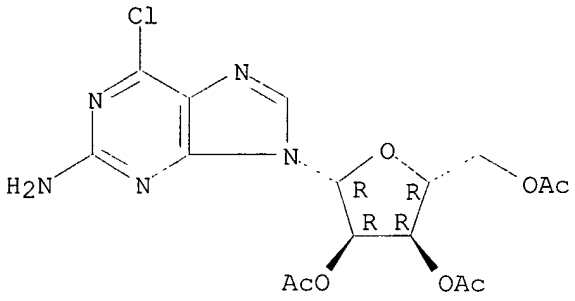
RN 3056-18-6 HCAPLUS
CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



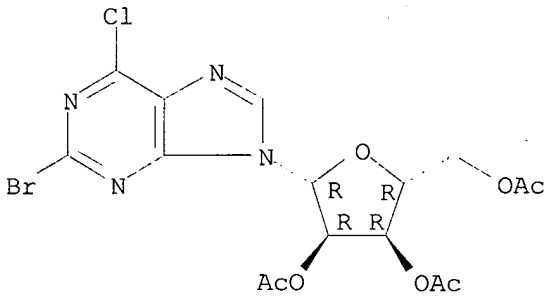
RN 16321-99-6 HCAPLUS
CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



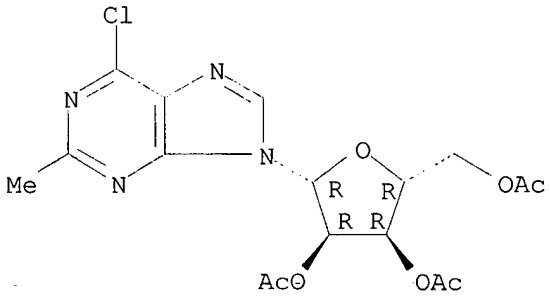
RN 40896-58-0 HCAPLUS
CN 9H-Purine, 2-bromo-6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



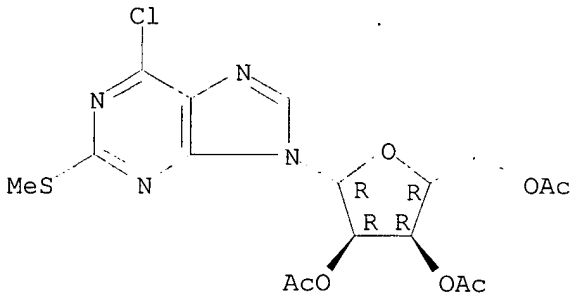
IT **42890-31-3P 42890-36-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-(heterocyclylalkyl)purine derivs. as adenosine A1 agonists)
RN 42890-31-3 HCAPLUS
CN 9H-Purine, 6-chloro-2-methyl-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 42890-36-8 HCAPLUS
CN 9H-Purine, 6-chloro-2-(methylthio)-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 29 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:511464 HCAPLUS
DN 122:265932
TI Preparation of nucleoside analog fatty esters as **antiviral** compounds
IN Boerretzen, Bernt; Dalen, Are; Myhren, Finn; Stokke, Kjell Torgeir
PA Norsk Hydro A/S, Norway
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

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PI	WO 9422887	A1	19941013	WO 1994-NO71	19940405 <--
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	AU 685104	B2	19980115		
	EP 693077	A1	19960124	EP 1994-912709	19940405 <--
	EP 693077	B1	19980923		
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	JP 3049258	B2	20000605		
	HU 73659	A2	19960930	HU 1995-2896	19940405 <--
	AT 171457	E	19981015	AT 1994-912709	19940405 <--
	ES 2124883	T3	19990216	ES 1994-912709	19940405 <--
	RU 2139884	C1	19991020	RU 1995-119386	19940405 <--
	PL 177263	B1	19991029	PL 1994-310970	19940405 <--
	CZ 287755	B6	20010117	CZ 1995-2593	19940405 <--
	SK 281231	B6	20010118	SK 1995-1233	19940405 <--
	NO 9503768	A	19951110	NO 1995-3768	19950922 <--
	FI 9504727	A	19951004	FI 1995-4727	19951004 <--
	US 6153594	A	20001128	US 1995-532754	19951129 <--
	US 6335322	B1	20020101	US 1999-435641	19991109 <--
PRAI	GB 1993-7043	A	19930405 <--		
	WO 1994-NO71	W	19940405 <--		
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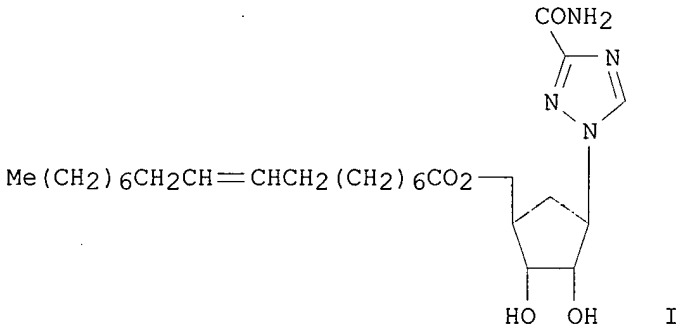
	US 1995-532754	A2	19951129 <--		
	US 1998-983483	A3	19980526		

OS CASREACT 122:265932; MARPAT 122:265932
GI



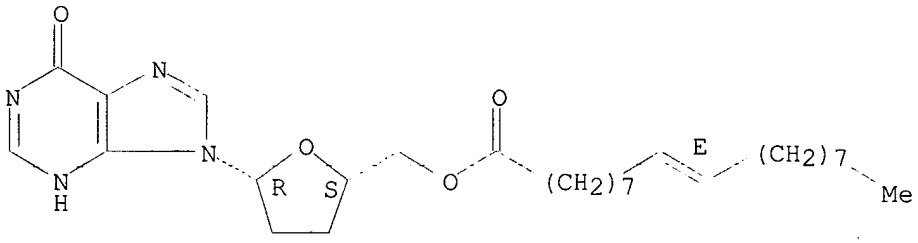
AB Title compds. BSOFa (B = (substituted)heterocyclyl; S = monosaccharide deriv.; Fa = acyl group of a mono-unsatd. C18-20 fatty acid which fatty acid is esterified with a HO of the sugar moiety of the nucleoside analog or with a HO group of the noncyclic group of the nucleoside analog), are prepd. To ribavirin in DMF and pyridine was added cis-9-octadecenoyl chloride in CH2Cl2 to give the title compd. cis-I. **Antiviral** activity was demonstrated.

IT **162546-06-7P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nucleoside analog fatty esters as **antiviral** compds.)

RN 162546-06-7 HCAPLUS

CN Inosine, 2',3'-dideoxy-, 5'-(9-octadecenoate), (E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L76 ANSWER 30 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:374636 HCAPLUS
DN 122:133691
TI Process for the preparation of fludarabine phosphate from guanosine
IN Bauman, John G.; Wirsching, Randolph C.
PA Schering A.-G., Germany
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9412514	A1	19940609	WO 1993-US11472	19931124 <--

W: AU, CA, JP
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 5602246	A	19970211	US 1992-981114	19921125	<--
CA 2085969	AA	19940622	CA 1992-2085969	19921221	<--
CA 2149117	AA	19940609	CA 1993-2149117	19931124	<--
AU 9456792	A1	19940622	AU 1994-56792	19931124	<--
AU 676874	B2	19970327			
EP 670845	A1	19950913	EP 1994-902410	19931124	<--
EP 670845	B1	19980114			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 08505608	T2	19960618	JP 1993-513391	19931124	<--
AT 162197	E	19980115	AT 1994-902410	19931124	<--
ES 2114173	T3	19980516	ES 1994-902410	19931124	<--
US 5668270	A	19970916	US 1995-466524	19950606	<--
PRAI US 1992-981114		19921125 <--			
WO 1993-US11472		19931124 <--			

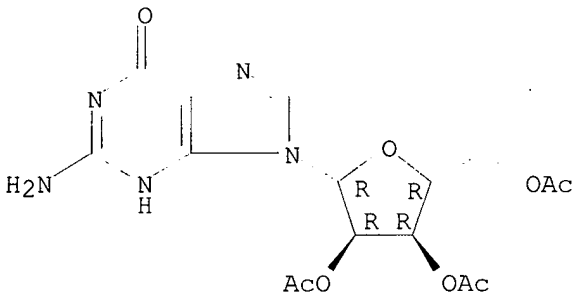
AB A process for the prodn. of fludarabine from guanosine comprises: (a) conversion of the 6-keto group into a 6-amino group, (b) conversion of the 2-amino group to a 2-fluoro group, and (c) conversion of the ribofuranosyl moiety to an arabinofuranosyl moiety. Fludarabine phosphate can be obtained by phosphorylation of fludarabine using conventional procedures. Steps (a), (b), and (c) can be performed individually or concomitantly and in any sequence.

IT **6979-94-8P**, 2',3',5'-Tri-O-acetylguanosine **16321-99-6P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of fludarabine from guanosine)

RN 6979-94-8 HCAPLUS

CN Guanosine, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

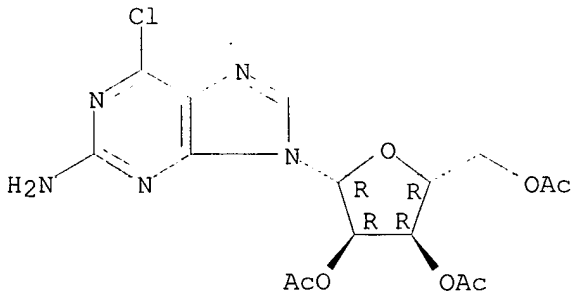
Absolute stereochemistry.



RN 16321-99-6 HCAPLUS

CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

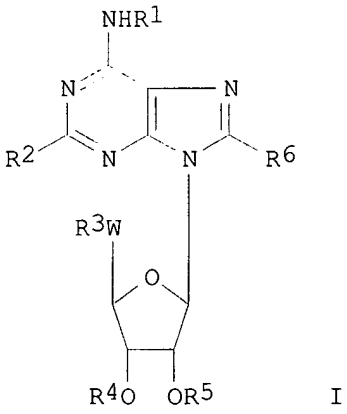
Absolute stereochemistry.



L76 ANSWER 31 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:346678 HCAPLUS
DN 122:106395
TI preparation of adenosine sulfohydrocarbon radicals for treatment of ischemia or hypoxia in mammals
IN Jacobson, Kenneth A.; Maillard, Michel C.
PA United States Dept. of Health and Human Services, USA
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9402497	A1	19940203	WO 1993-US6590	19930713 <--
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9347724	A1	19940214	AU 1993-47724	19930713 <--
	US 5498605	A	19960312	US 1994-278704	19940721 <--
PRAI	US 1992-914428	A	19920715 <--		
	WO 1993-US6590	W	19930713 <--		

OS MARPAT 122:106395
GI



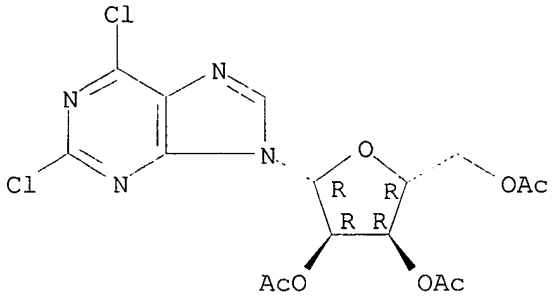
I

AB The adenosine derivs., e.g. I, wherein at least one of R1-R6 is a sulfohydrocarbon radical, the remaining R groups are non-sulfohydrocarbon radicals, and W is -OCH2-, -NHCH2-, -SCH2-, or -NH(CO)-. Thus, 6-chloropurine riboside reacted with sulfonylamine in BuOH and NEt3 gave N6-p-sulfophenyladenosine. Methods of prepg. such compds., as well as methods of using such compds. to treat ischemia or hypoxia in mammals and pharmaceutical compns. contg. such compds. as the active ingredients, are also described. Binding of I with A1 and A2 adenosine receptors at rat brain is reported.

IT **3056-18-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of adenosine sulfohydrocarbon radicals)

RN 3056-18-6 HCAPLUS
CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

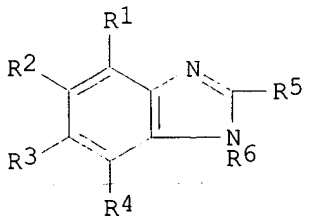
Absolute stereochemistry.



L76 ANSWER 32 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:229450 HCAPLUS
DN 122:291453
TI Polysubstituted benzimidazole nucleosides as **antiviral** agents
IN Townsend, Leroy B.; Drach, John C.
PA University of Michigan, USA
SO U.S., 50 pp. Cont.-in-part of U.S. 5,248,672.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5360795	A	19941101	US 1992-964345	19921021 <--
	US 5248672	A	19930928	US 1990-607899	19901101 <--
	CA 2100098	AA	19920502	CA 1991-2100098	19911031 <--
	ZA 9108685	A	19920826	ZA 1991-8685	19911031 <--
	IL 99933	A1	19960912	IL 1991-99933	19911101 <--
	WO 9408456	A1	19940428	WO 1993-US10104	19931020 <--
	W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9454470	A1	19940509	AU 1994-54470	19931020 <--
	US 6093698	A	20000725	US 1997-959246	19971028 <--
PRAI	US 1990-607899	A2	19901101 <--		
	US 1992-964345	A	19921021 <--		
	US 1993-50470	A	19930503 <--		
	WO 1993-US10104	W	19931020 <--		
	US 1995-471059	A1	19950606 <--		

GI



I

AB This invention relates to novel polysubstituted benzimidazoles I where R1 is H, R2 is Cl, R3 is Cl, R4 is H, R5 is Cl and R6 is .beta.-D-5'-deoxyribofuranosyl and R1 is H, R2 is Cl, R3 is Cl, R4 is H, R5 is Br and

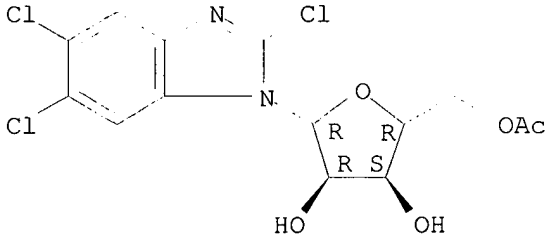
R6 is .beta.-D-5'-deoxyribofuranosyl and pharmaceutically acceptable salts thereof and compns. and their use in the treatment of **viral** infections. The polysubstituted benzimidazoles and compns. of the present invention exhibit **antiviral** properties against **viruses** of the herpes family, particularly human **cytomegalovirus** (HCMV) and herpes simplex **viruses** (HSV). **Antiviral** activity in plaque redn. assay: IC50(.mu.M) = 0.3 to >100 for HCMV and 19 to >100 for HSV-1. Pharmaceutical formulations were given. Safety is advised in the synthesis of halobenzimidazole reactants.

IT **142356-74-9P**, 1-(5-O-Acetyl-..beta..-D-ribofuranosyl)-2,5,6-trichlorobenzimidazole
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(polysubstituted benzimidazole nucleosides as **antiviral** agents)

RN 142356-74-9 HCAPLUS

CN 1H-Benzimidazole, 1-(5-O-acetyl-.beta.-D-ribofuranosyl)-2,5,6-trichloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

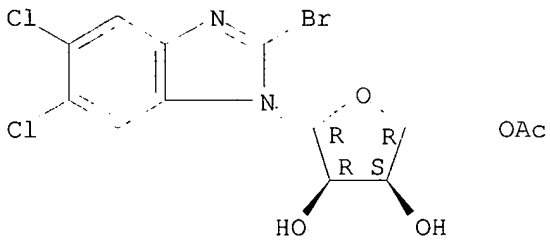


IT **142356-76-1P**, 1-(5-O-Acetyl-..beta..-D-ribofuranoxyl)-2-bromo-5,6-dichlorobenzimidazole
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(polysubstituted benzimidazole nucleosides as **antiviral** agents)

RN 142356-76-1 HCAPLUS

CN 1H-Benzimidazole, 1-(5-O-acetyl-.beta.-D-ribofuranosyl)-2-bromo-5,6-dichloro- (9CI) (CA INDEX NAME)

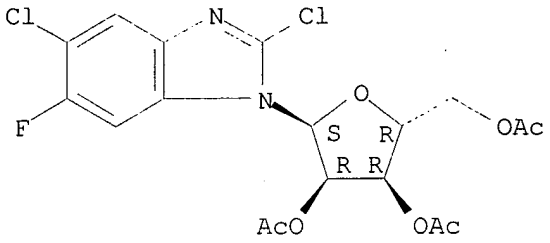
Absolute stereochemistry.



IT **142371-83-3P 142371-84-4P 142395-44-6P**, 2-Chloro-5,6-difluoro-1-(2,3,5-tri-O-acetyl-..alpha..-D-ribofuranosyl)benzimidazole
RL: BYP (Byproduct); PREP (Preparation)
(polysubstituted benzimidazole nucleosides as **antiviral**

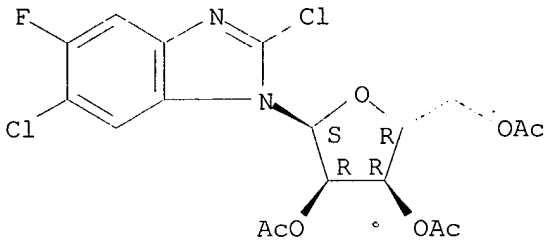
agents)
RN 142371-83-3 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-6-fluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



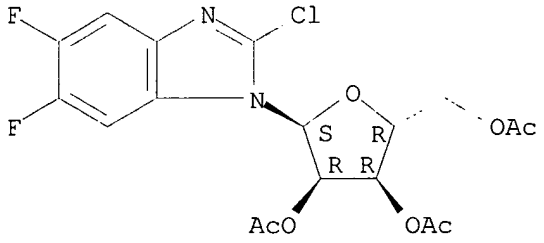
RN 142371-84-4 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-5-fluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



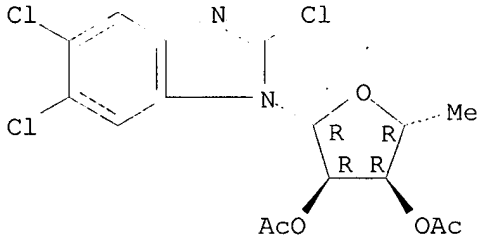
RN 142395-44-6 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5,6-difluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



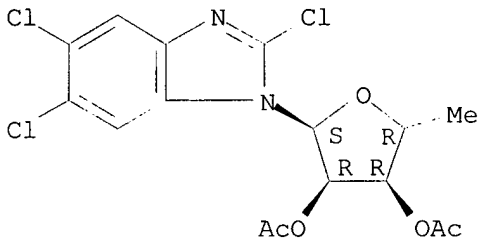
IT **156519-51-6P**
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polysubstituted benzimidazole nucleosides as **antiviral** agents)
RN 156519-51-6 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-deoxy-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **156519-50-5P**
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(polysubstituted benzimidazole nucleosides as **antiviral** agents)
RN 156519-50-5 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-deoxy-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

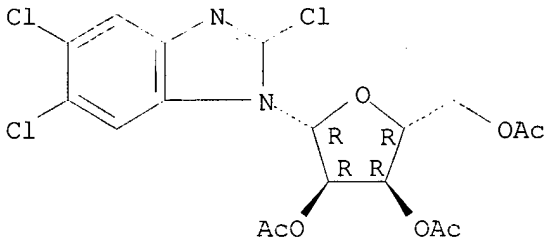
Absolute stereochemistry.



IT **142356-41-0P**, 2,5,6-Trichloro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **142356-42-1P**, 2-Bromo-5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)benzimidazole **142356-46-5P**, 1-(2,3,5-Tri-O-acetyl-..beta..-D-ribofuranosyl)-2,4,6-trichlorobenzimidazole **142356-50-1P**, 2-Amino-5,6-dichloro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl) benzimidazole **142356-52-3P**, 5-Amino-2-chloro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)-benzimidazole **142356-78-3P**, 5,6-Dichloro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole-2-thione **142356-80-7P**, 2-Chloro-5,6-dibromo-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **142371-80-0P**, 2-Chloro-5,6-difluoro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **142371-81-1P 142371-82-2P 142371-87-7P**, 2,6-Dichloro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **142371-90-2P**, 2,5-Dichloro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **142371-93-5P**, 2-Chloro-6-nitro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **142371-94-6P**, 6-Amino-2-chloro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **142372-02-9P**, 2-Chloro-5-bromo-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **142372-05-2P**, 2-Chloro-6-bromo-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **142372-10-9P**, 2-Chloro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)-4,5,6-tribromobenzimidazole **142408-81-9P**, 2-Chloro-5-nitro-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **163110-40-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polysubstituted benzimidazole nucleosides as **antiviral** agents)
RN 142356-41-0 HCAPLUS

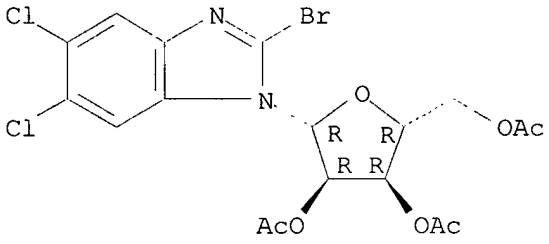
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



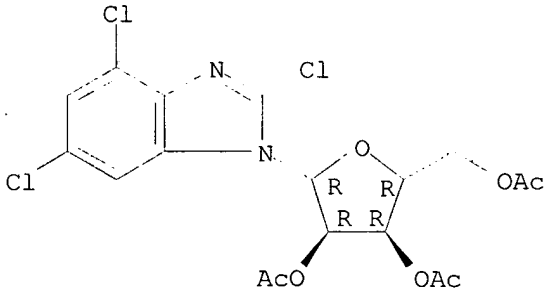
RN 142356-42-1 HCAPLUS
CN 1H-Benzimidazole, 2-bromo-5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



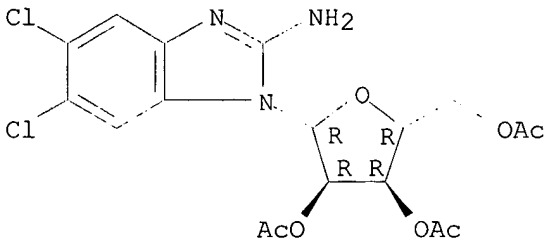
RN 142356-46-5 HCAPLUS
CN 1H-Benzimidazole, 2,4,6-trichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



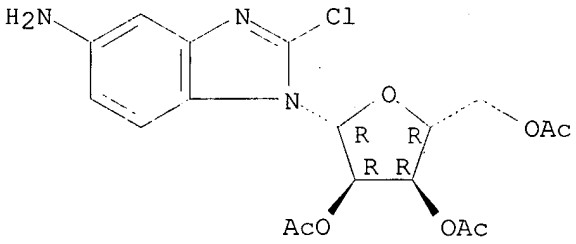
RN 142356-50-1 HCAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



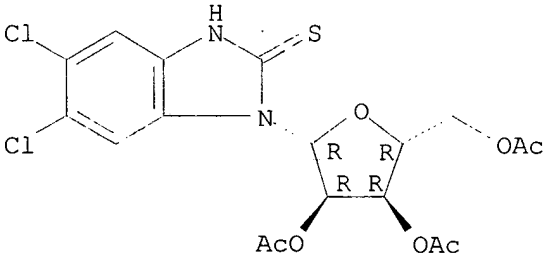
RN 142356-52-3 HCAPLUS
CN 1H-Benzimidazol-5-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



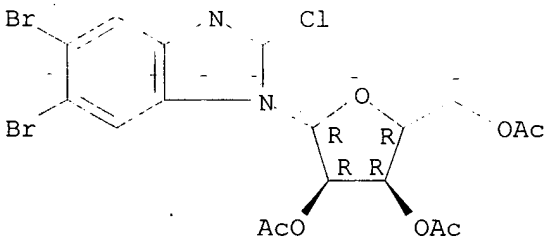
RN 142356-78-3 HCAPLUS
CN 2H-Benzimidazole-2-thione, 5,6-dichloro-1,3-dihydro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



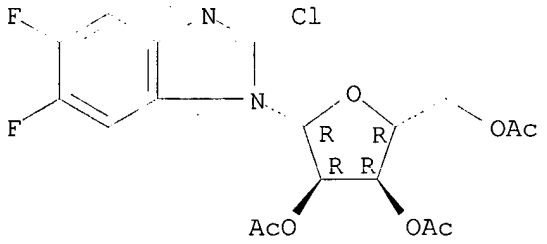
RN 142356-80-7 HCAPLUS
CN 1H-Benzimidazole, 5,6-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



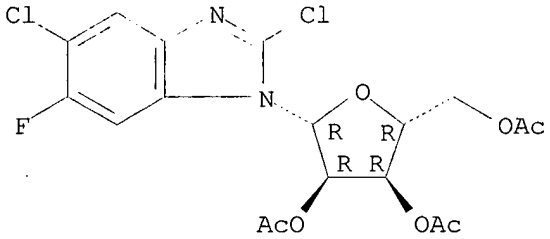
RN 142371-80-0 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5,6-difluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



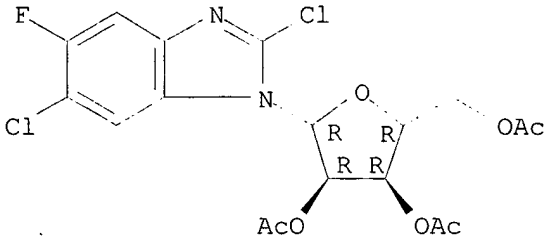
RN 142371-81-1 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-6-fluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



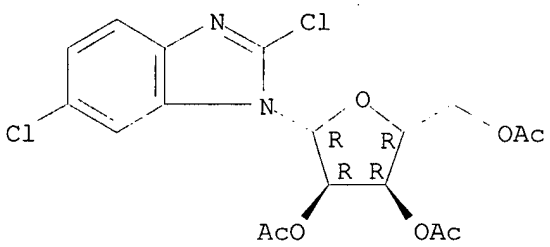
RN 142371-82-2 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-5-fluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



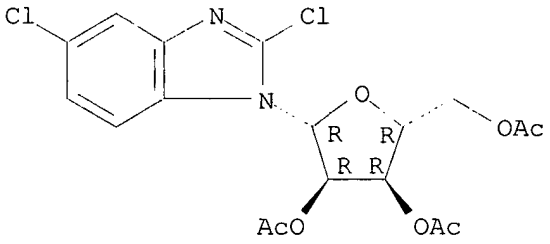
RN 142371-87-7 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



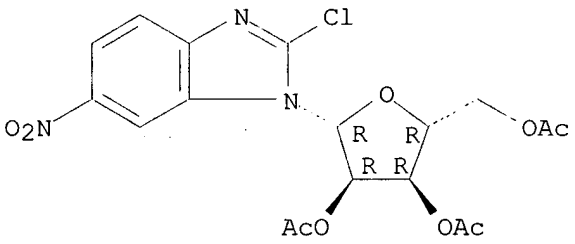
RN 142371-90-2 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



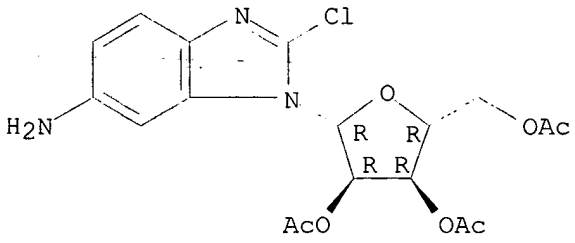
RN 142371-93-5 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-6-nitro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



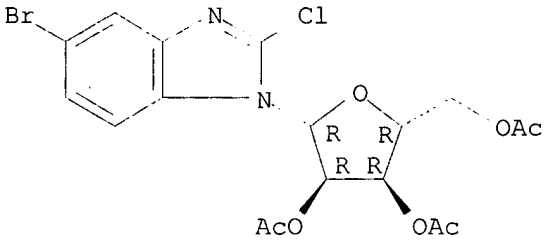
RN 142371-94-6 HCAPLUS
CN 1H-Benzimidazol-6-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



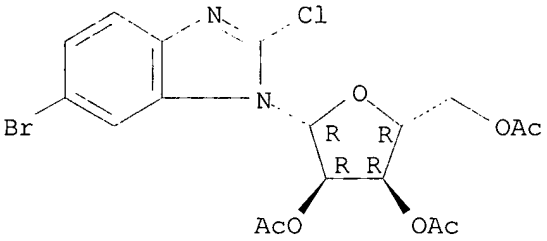
RN 142372-02-9 HCAPLUS
CN 1H-Benzimidazole, 5-bromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



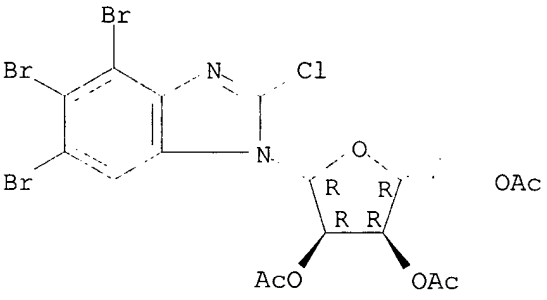
RN 142372-05-2 HCAPLUS
CN 1H-Benzimidazole, 6-bromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



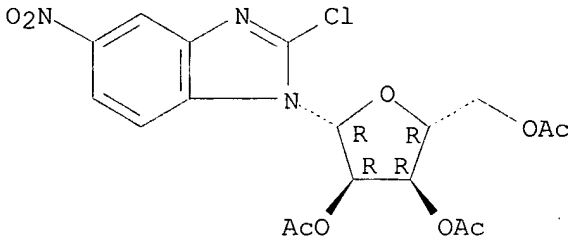
RN 142372-10-9 HCAPLUS
CN 1H-Benzimidazole, 4,5,6-tribromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



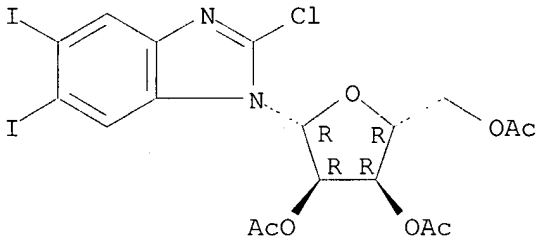
RN 142408-81-9 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5-nitro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



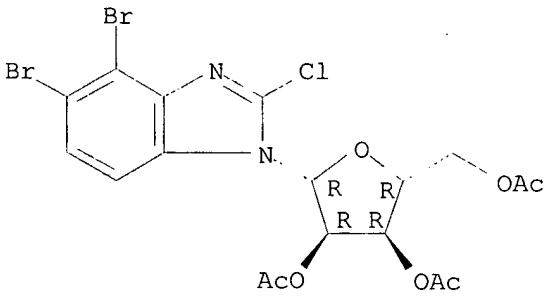
RN 163110-40-5 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5,6-diiodo-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



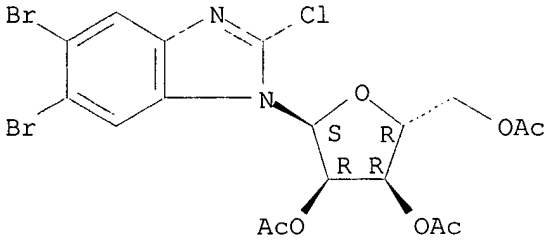
IT **142356-51-2P**, 2-Chloro-4,5-dibromo-1-(2,3,5-tri-O-acetyl-..beta..-D-ribofuranosyl)benzimidazole **142371-78-6P**, 2-Chloro-5,6-dibromo-1-(2,3,5-tri-O-acetyl-..alpha..-D-ribofuranosyl)benzimidazole **142372-04-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(polysubstituted benzimidazole nucleosides as **antiviral** agents)
RN 142356-51-2 HCAPLUS
CN 1H-Benzimidazole, 4,5-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



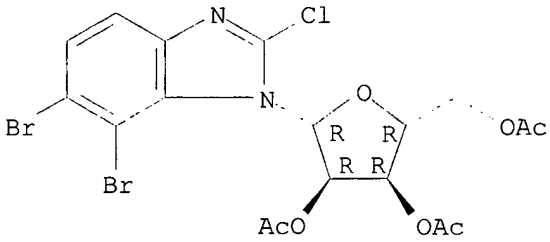
RN 142371-78-6 HCAPLUS
CN 1H-Benzimidazole, 5,6-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142372-04-1 HCAPLUS
CN 1H-Benzimidazole, 6,7-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

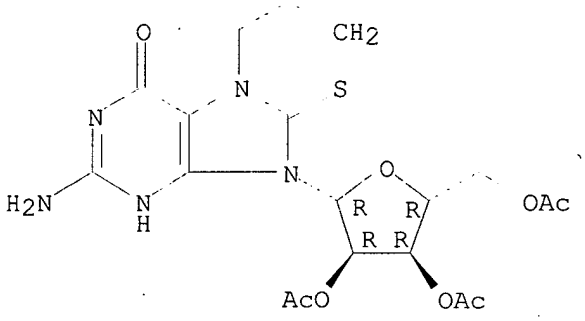
Absolute stereochemistry.



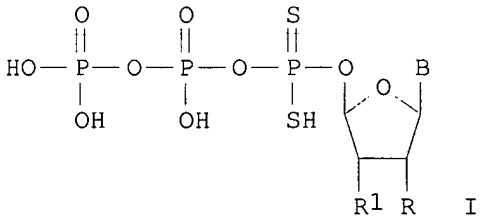
L76 ANSWER 33 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:64940 HCAPLUS
DN 123:9835
TI Small-Molecule Immunostimulants. Synthesis and Activity of 7,8-Disubstituted Guanosines and Structurally Related Compounds
AU Reitz, Allen B.; Goodman, Michael G.; Pope, Barbara L.; Argentieri, Dennis C.; Bell, Stanley C.; Burr, Levelle E.; Chourmouzis, Erika; Come, Jon; Goodman, Jacquelyn H.; et al.
CS Medicinal Chemistry Department, R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA
SO Journal of Medicinal Chemistry (**1994**), 37(21), 3561-78
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB A series of 7,8-disubstituted guanosine derivs. was designed and prepd. as potential B-cell-selective activators of the humoral immune response. These compds. were evaluated for their ability to act as B-cell mitogens and to augment the antibody response of B cells to sheep red blood cell (SRBC) challenge (adjuvanticity). In addn., they were tested for their ability to stimulate the natural killer (NK) cell response in murine in vitro cell assays. Certain of the compds. demonstrated in vivo activity when administered either i.v., s.c., or orally. Compds. bearing hydroxyalkyl, aminoalkyl, or substituted aminoalkyl substituents on this 7-position were weakly active. Oxo, thioxo, and seleno groups on C-8 of the guanosine ring all imparted strong activity, whereas other larger substituents did not (e.g., N:CN). A total of 80 compds. were prepd. and evaluated for their immunostimulating activity. Within this group, compds. could be divided into those that were active in all three assays, those that displayed some measure of selectivity for the adjuvanticity assay, and those that preferentially activated NK responses. Because of its overall biol. profile and ease of synthesis, 7-allyl-8-oxoguanosine (loxoribine, RWJ-21757) was chosen for further development. It is among the most potent compds. evaluated in the three biol. assays.

IT **126092-87-3P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and of immunostimulant activity of 7,8-disubstituted guanosines and structurally related compds.)
RN 126092-87-3 HCAPLUS
CN Guanosine, 7,8-dihydro-7-(2-propenyl)-8-thioxo-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 34 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:55082 HCAPLUS
DN 123:9833
TI The Synthesis of Nucleoside 5'-O-(1,1-Dithiotriphosphates)
AU Okruszek, Andrzej; Olesiak, Magdalena; Balzarini, Jan
CS Centre of Molecular and Macromolecular Studies, Polish Academy of Sciences, Lodz, 90-363, Pol.
SO Journal of Medicinal Chemistry (**1994**), 37(22), 3850-4
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI

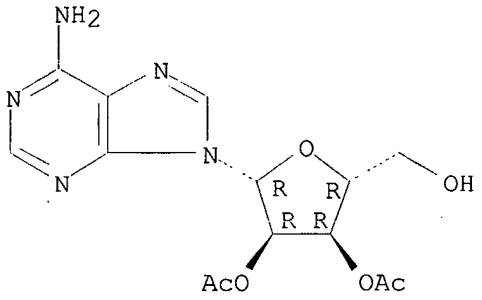


I

AB Appropriately protected nucleoside 5'-O-(2-thio-1,3,2-dithiaphospholanes) react with inorg. pyrophosphate in the presence of a strong base catalyst (DBU) to give nucleoside 5'-O-(1,1-dithiotriphosphates) I (B = adenine, cytosine, guanine, thymine, R = H, R1 = OH; B = adenine, guanine, R = R1 = OH; B = thymine, R = H, R1 = N3). The latter compds., including an AZT analog, show modest **antiviral** activity against HIV-1 and HIV-2 replication in CEM cells. The AZT and deoxyadenosine derivs. were found to be inhibitors of HIV reverse transcriptase.
IT **29886-19-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and **antiviral** activity of nucleoside dithiotriphosphates)

RN 29886-19-9 HCAPLUS
CN Adenosine, 2',3'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

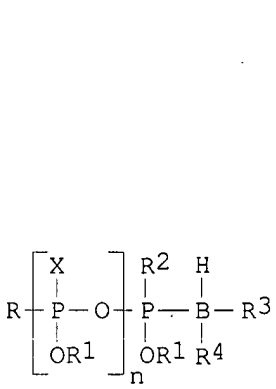
Absolute stereochemistry. Rotation (-).



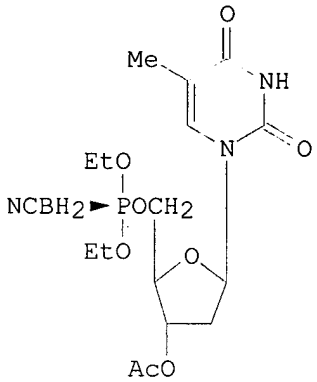
L76 ANSWER 35 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1995:15647 HCAPLUS
DN 122:56413
TI Nucleosidyl phosphite-borane compounds and method of making the same
IN Spielvogel, Bernard F.; Sood, Anup
PA Boron Biologicals, Inc., USA
SO U.S., 12 pp. Cont.-in-part of U.S. 5,143,907.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5260427	A	19931109	US 1992-908975	19920706 <--
	US 5143907	A	19920901	US 1991-701682	19910510 <--
	WO 9401444	A1	19940120	WO 1993-US6383	19930706 <--
	W: JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 651758	A1	19950510	EP 1993-915511	19930706 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	US 5434143	A	19950718	US 1994-326008	19941019 <--
PRAI	US 1991-701682		19910510 <--		
	US 1992-908975		19920706 <--		
	WO 1993-US6383		19930706 <--		
	US 1993-148986		19931108 <--		

OS CASREACT 122:56413; MARPAT 122:56413
GI



I



II

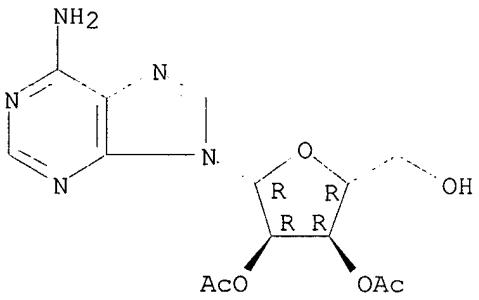
AB Nucleosidyl phosphite-borane compds. I [R = natural or synthetic nucleoside connected to the phosphorus atom via a hydroxyl oxygen; each X = independently O and BHR3R4; R1 = H, alkyl, aryl, alkyaryl, monovalent metal ions, ammonium cation; R2 = OR1, NR52; R5 = independently H, C1-10 linear or branched alky, aryl; R3 = H, CN, CO2H, carboxyl salt, CO2R6 and CONHR6; R6 = H, C1-10 alkyl, alkylaryl, aryl; R4 = H, C1-10 alkyl; n = 1, 2] were prepd. Thus, the thymidine II was obtained by treating 3'-O-acetylthymidine with (EtO)2POH.BH2CN. II had antitumor activity similar to that of AraC and other std. compds. II at 8 mg/kg day i.p. in mice for 16 days caused a 48% decrease in serum cholesterol and a 73% decrease in serum triglyceride levels. At the same dose II gave 73.9% inhibition of carrageenan edema in mice.

IT **29886-19-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of nucleoside phosphite borane deriv.)

RN 29886-19-9 HCAPLUS

CN Adenosine, 2',3'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L76 ANSWER 36 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1994:534663 HCAPLUS

DN 121:134663

TI Synthesis and biologic evaluation of 8-substituted derivatives of nebularine (9-.beta.-D-ribofuranosylpurine)

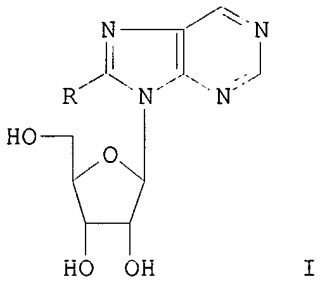
AU Secrist, John A., III; Shortnacy-Fowler, Anita; Bennett, L. Lee, Jr.; Montgomery, John A.

CS South. Res. Inst., Birmingham, AL, 35255-5305, USA

SO Nucleosides & Nucleotides (**1994**), 13(5), 1017-29
CODEN: NUNUD5; ISSN: 0732-8311

DT Journal

LA English
GI



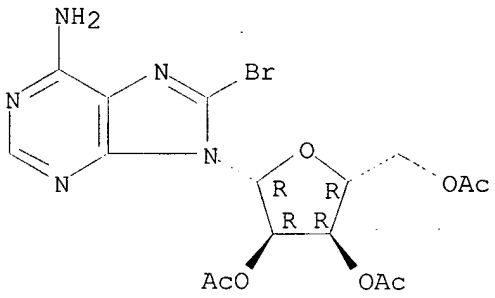
I

AB A series of 8-substituted purine ribonucleosides were prepd. from 2',3',5'-tri-O-acetyl-8-bromoadenosine and evaluated for cytotoxicity and **antiviral** activity. Four of these nucleosides I [R = Br, Cl, N3, NH2 (II)] were significantly toxic to both HEp-2 and L1210 cells in culture but the most cytotoxic one II was inactive against the P388 leukemia in mice. None of these nucleosides showed significant **antiviral** activity against Herpes Simplex 1 or 2, vaccinia, or influenza A.

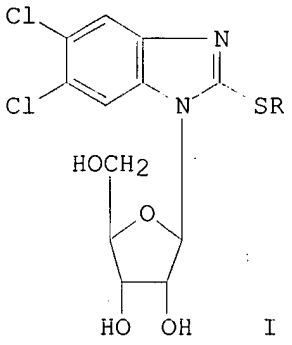
IT **31281-86-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of 8-substituted nebularine derivs.)

RN 31281-86-4 HCAPLUS
CN Adenosine, 8-bromo-, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 37 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1994:534655 HCAPLUS
DN 121:134655
TI Benzimidazole Ribonucleosides: Design, Synthesis, and **Antiviral** Activity of Certain 2-(Alkylthio)- and 2-(Benzylthio)-5,6-dichloro-1-(.beta.-D-ribofuranosyl)benzimidazoles
AU Devivar, Rodrigo V.; Kawashima, Etsuko; Revankar, Ganapathi R.; Breitenbach, Julie M.; Kreske, Edward D.; Drach, John C.; Townsend, Leroy B.
CS College of Pharmacy, University of Michigan, Ann Arbor, MI, 48109-1065, USA
SO Journal of Medicinal Chemistry (**1994**), 37(18), 2942-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I

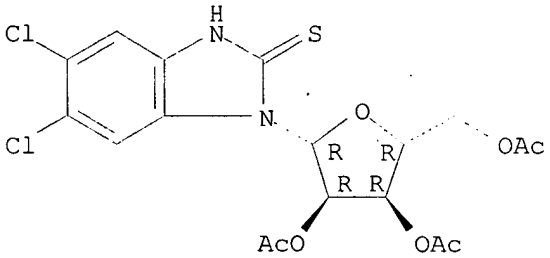
AB Title compds. I [R = (un)substituted alkyl, benzyl] were prepd. from 5,6-dichloro-1-(.beta.-D-ribofuranosyl)benzimidazole-2-thione. All compds. were evaluated for activity against human **cytomegalovirus** (HCMV) and/or herpes simplex **virus** type-1 (HSV-1). Three different cytotoxicity assays were used to det. if the compds. were toxic to uninfected cells. Most of the 2-alkylthio compds. were either inactive against HCMV and HSV-1 or were active only at concns. at or near those which produced toxicity in uninfected cells. The best sepn. between activity against HCMV and cytotoxicity was obsd. with I [R = CH2Ph]. The substituted 2-benzylthio analogs were prepd. using a Topliss Tree approach. None of these compds. were more active than I [R = CH2Ph]; most of the analogs were weakly active against both HCMV and HSV-1, but the activity was not sepd. from cytotoxicity. On the basis of both **antiviral** and cytotoxicity data, I [R = CH2Ph]. was the best compd. in the series. It was more active against HCMV than the 2-unsubstituted analog, acyclovir, and foscarnet, but it was less active than ganciclovir.

IT **142356-78-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of benzylthiobenzimidazole nucleosides)

RN 142356-78-3 HCAPLUS

CN 2H-Benzimidazole-2-thione, 5,6-dichloro-1,3-dihydro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 38 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1994:473858 HCAPLUS

DN 121:73858

TI Preparation of polysubstituted benzimidazoles as **antiviral** agents.

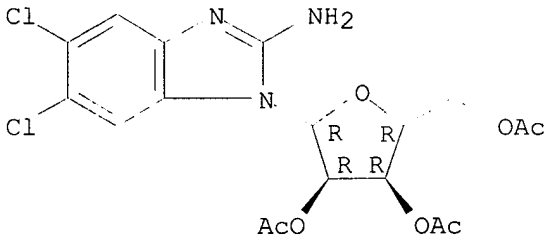
IN Townsend, Leroy B.; Drach, John C.

PA Reagents of the University of Michigan, USA
SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9408456	A1	19940428	WO 1993-US10104	19931020 <--
	W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5360795	A	19941101	US 1992-964345	19921021 <--
	US 5574058	A	19961112	US 1993-50470	19930503 <--
	AU 9454470	A1	19940509	AU 1994-54470	19931020 <--
	US 6093698	A	20000725	US 1997-959246	19971028 <--
PRAI	US 1992-964345	A	19921021 <--		
	US 1993-50470	A	19930503 <--		
	US 1990-607899	A2	19901101 <--		
	WO 1993-US10104	W	19931020 <--		
	US 1995-471059	A1	19950606 <--		

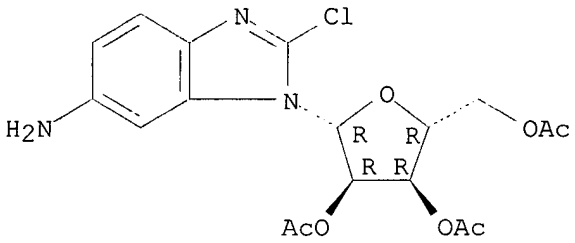
OS MARPAT 121:73858
AB 2,5,6-Trichloro- (I) and 2-bromo-5,6-dichloro-1-(5-deoxy-.beta.-D-ribofuranosyl)benzimidazole and related compds., are prepd. as **virucides**. 2,5,6-Trichlorobenzimidazole was reacted with 1,2,3-tri-O-acetyl-5-deoxyribose to give 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-deoxy-.beta.-D-ribofuranosyl)benzimidazole, which was deacetylated to give I. The compds. exhibited **antiviral** properties against **viruses** of the herpes family, particularly human **cytomegalovirus** and herpes simplex **viruses**.
IT **142356-50-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(deacetylation and iodination of)
RN 142356-50-1 HCAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **142371-94-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bromination of)
RN 142371-94-6 HCAPLUS
CN 1H-Benzimidazol-6-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

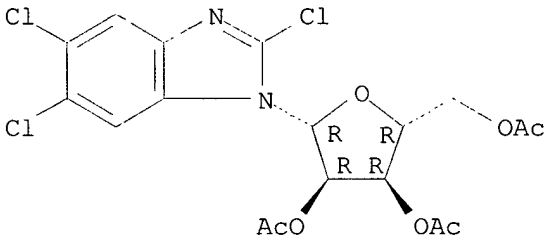
Absolute stereochemistry.



IT **142356-41-0P 142356-42-1P 142356-51-2P**
142356-52-3P 142356-74-9P 142356-76-1P
142356-80-7P 142371-78-6P 142371-80-0P
142371-81-1P 142371-82-2P 142371-83-3P
142371-84-4P 142371-87-7P 142371-90-2P
142371-94-6P 142372-02-9P 142372-04-1P
142372-05-2P 142372-10-9P 142395-44-6P
156519-42-5P 156519-50-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deacetylation of)

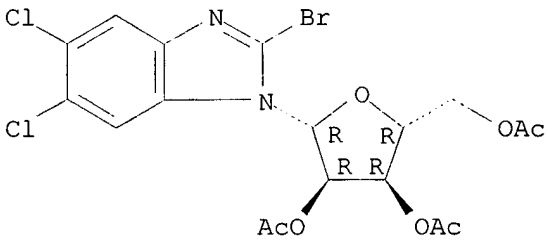
RN 142356-41-0 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



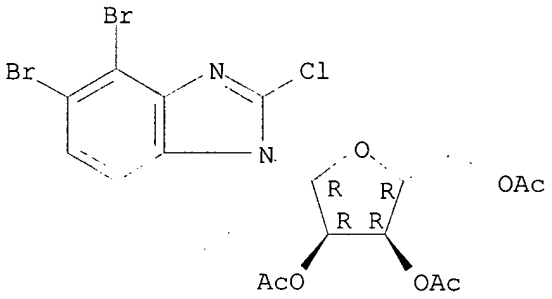
RN 142356-42-1 HCAPLUS
CN 1H-Benzimidazole, 2-bromo-5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



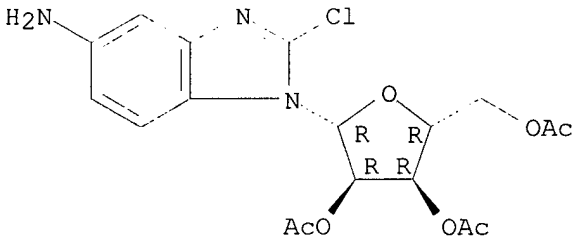
RN 142356-51-2 HCAPLUS
CN 1H-Benzimidazole, 4,5-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



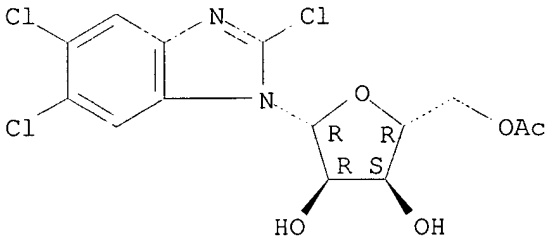
RN 142356-52-3 HCAPLUS
CN 1H-Benzimidazol-5-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



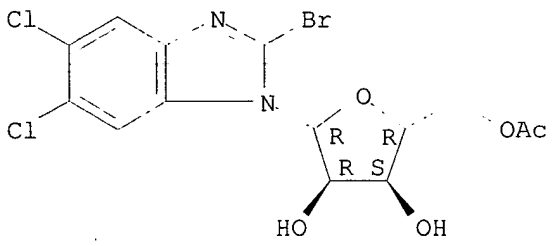
RN 142356-74-9 HCAPLUS
CN 1H-Benzimidazole, 1-(5-O-acetyl-.beta.-D-ribofuranosyl)-2,5,6-trichloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



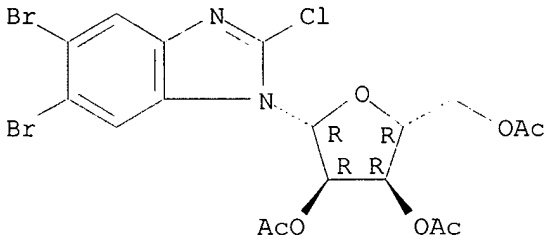
RN 142356-76-1 HCAPLUS
CN 1H-Benzimidazole, 1-(5-O-acetyl-.beta.-D-ribofuranosyl)-2-bromo-5,6-dichloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



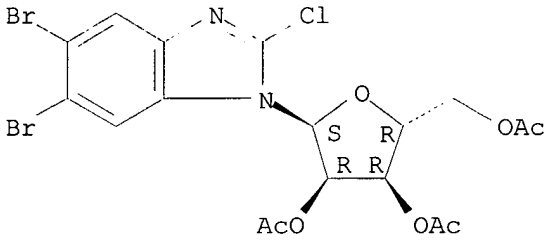
RN 142356-80-7 HCAPLUS
CN 1H-Benzimidazole, 5,6-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



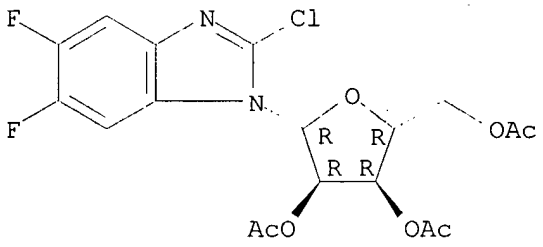
RN 142371-78-6 HCAPLUS
CN 1H-Benzimidazole, 5,6-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



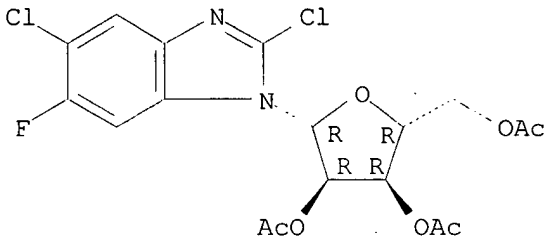
RN 142371-80-0 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5,6-difluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



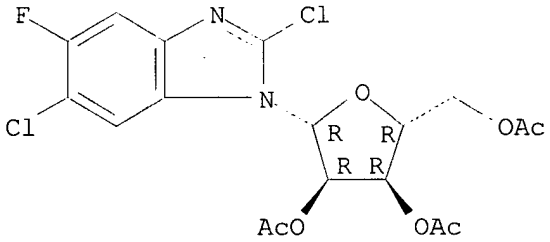
RN 142371-81-1 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-6-fluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



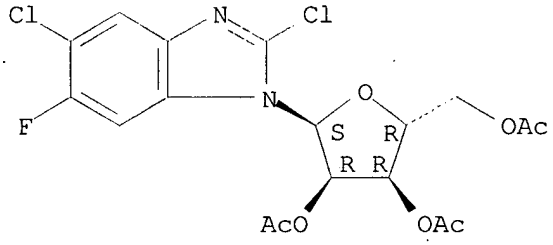
RN 142371-82-2 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-5-fluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



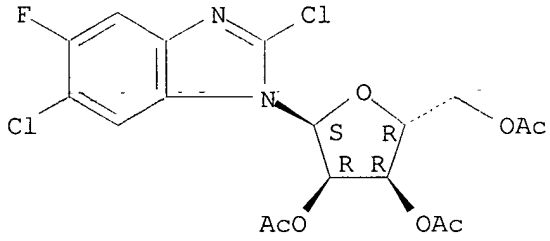
RN 142371-83-3 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-6-fluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



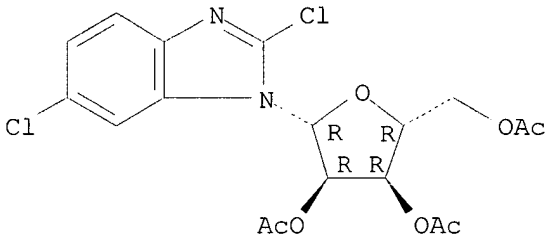
RN 142371-84-4 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-5-fluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



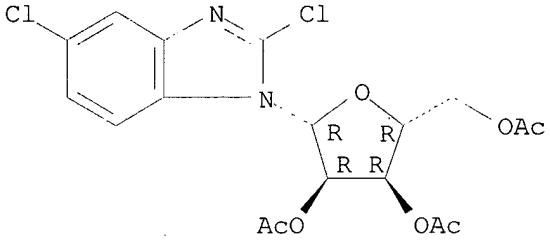
RN 142371-87-7 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



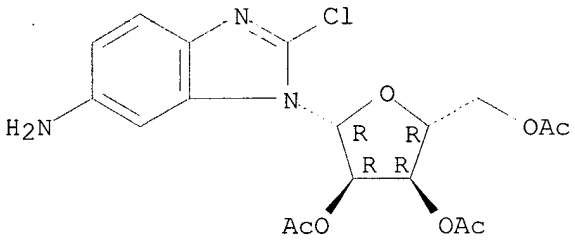
RN 142371-90-2 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



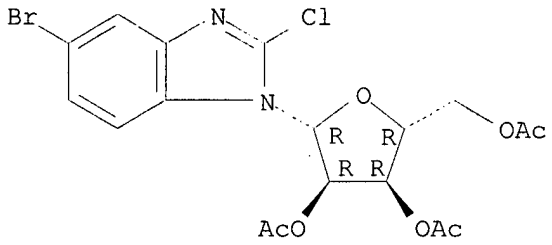
RN 142371-94-6 HCAPLUS
CN 1H-Benzimidazol-6-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



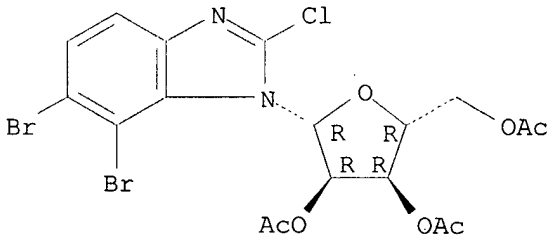
RN 142372-02-9 HCAPLUS
CN 1H-Benzimidazole, 5-bromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



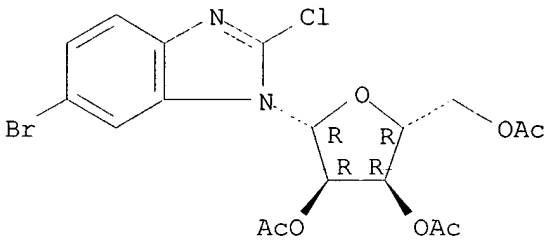
RN 142372-04-1 HCAPLUS
CN 1H-Benzimidazole, 6,7-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



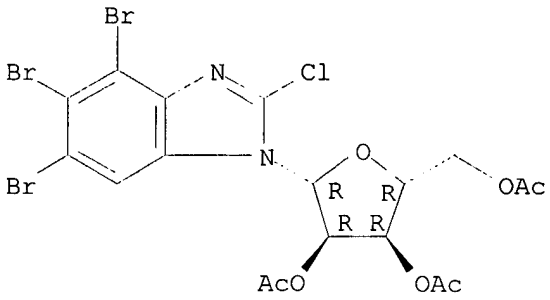
RN 142372-05-2 HCAPLUS
CN 1H-Benzimidazole, 6-bromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142372-10-9 HCAPLUS
CN 1H-Benzimidazole, 4,5,6-tribromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142395-44-6 HCAPLUS
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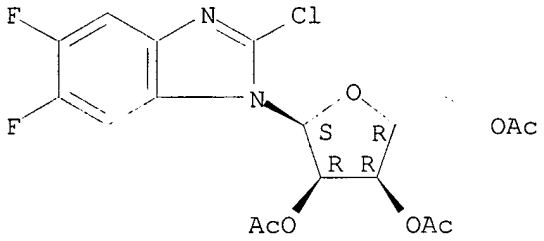
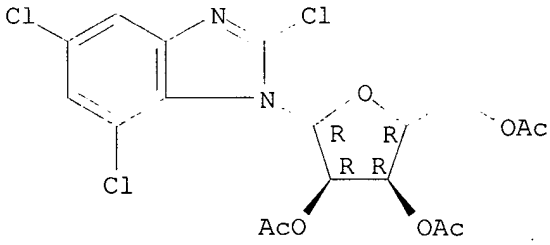
Absolute stereochemistry.



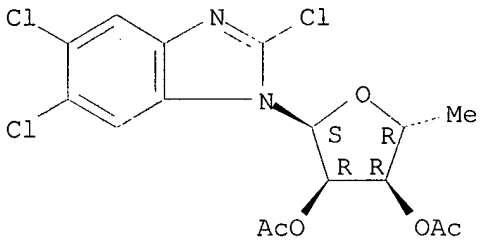
RN 156519-42-5 HCAPLUS
CN 1H-Benzimidazole, 2,5,7-trichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



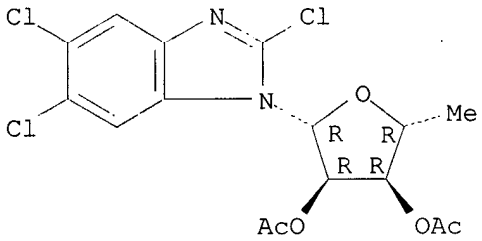
RN 156519-50-5 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-deoxy-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



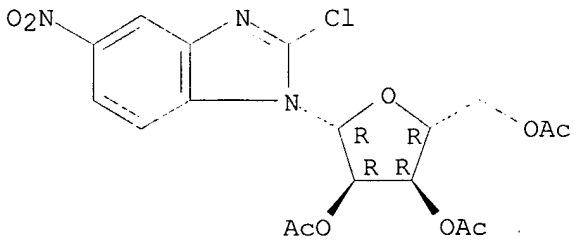
IT **156519-51-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deacetylation on)
RN 156519-51-6 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3-di-O-acetyl-5-deoxy-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



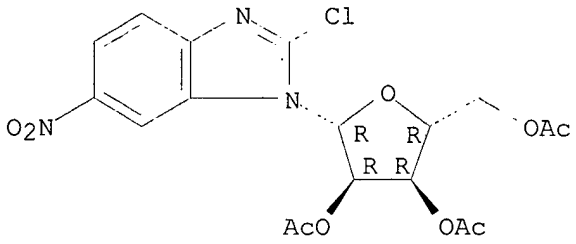
IT **142408-81-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 142408-81-9 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5-nitro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **142371-93-5P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as **virucide**)
RN 142371-93-5 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-6-nitro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 39 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1994:457885 HCAPLUS
DN 121:57885
TI Purine derivatives
IN Knutsen, Lars Jacob Stray; Lau, Jesper
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9323418	A1	19931125	WO 1993-DK158	19930512 <--
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	NO 9400123	A	19940311	NO 1994-123	19940113 <--
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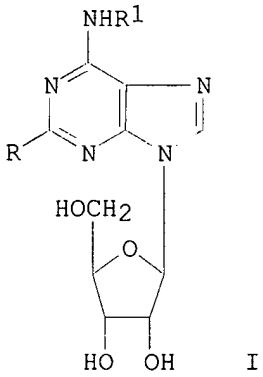
	US 1992-886534		19920520 <--		
	US 1993-60784		19930512 <--		
	WO 1993-DK158		19930512 <--		
	US 1994-348785		19941202 <--		

OS MARPAT 121:57885
GI



I

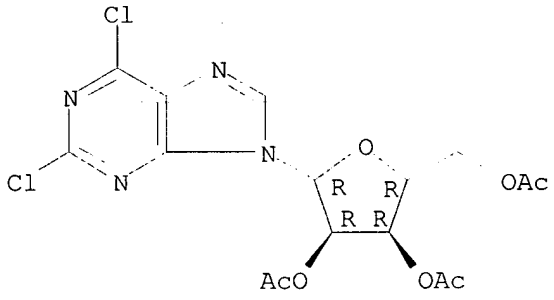
AB Purine derivs. I [R = H, amino, halogen, hydroxy, lower alkoxy or lower alkyl; R1 = YCR2R5CHR3ZR4; Y = CH2, valence bond; R2, R5 = H, lower, straight or branched alkyl; R3 = H, lower alkyl; R2R3 = atoms required to form a cyclobutyl, cyclopentyl, cyclohexyl or benzene ring; Z = O, CH2, S, SO2, valence bond; R4 = H, lower alkyl, aralkyl, a mono or bicyclic arom. system optionally substituted with various groups] or pharmaceutically acceptable salt thereof, were prepd. Thus, I [R = Cl, R1 = CHMeOPh, II.] was prepd. from the dichloropurine analog. II had adenosine A1 and A2 receptor binding Ki50 of 43 and 1157 nM, resp. for a ratio of 27 and an anticonvulsant ED50 of 3.4 mg/kg i.p. in mice. The compds. have been found useful for treating central nervous system ailments.

IT **3056-18-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of adenosine derivs.)

RN 3056-18-6 HCAPLUS

CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 40 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1994:271076 HCAPLUS

DN 120:271076

TI Adenosine derivatives

IN Knutsen, Lars Jacob Stray; Lau, Jesper

PA Novo Nordisk A/S, Den.

SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2

DT Patent

LA English

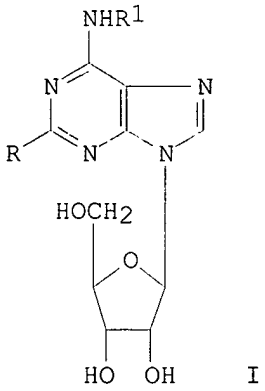
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 9323417	A1	19931125	WO 1993-DK157	19930512 <--
	W: AU, BG, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, PT, RO, RU, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2113546	AA	19931125	CA 1993-2113546	19930512 <--
	AU 9340611	A1	19931213	AU 1993-40611	19930512 <--
	AU 657415	B2	19950309		
	EP 607367	A1	19940727	EP 1993-909821	19930512 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 06508854	T2	19941006	JP 1993-519786	19930512 <--
	US 5430027	A	19950704	US 1993-61892	19930514 <--
	NO 9400122	A	19940311	NO 1994-122	19940113 <--
PRAI	DK 1992-625		19920514 <--		
	WO 1993-DK157		19930512 <--		

OS MARPAT 120:271076

GI



I

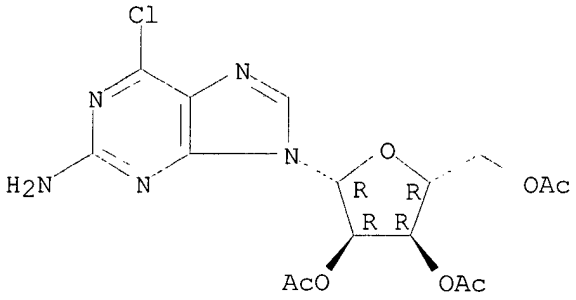
AB Novel substituted adenosine derivs. I [R = H, halogen, amino, perhalomethyl, acetamido, cyano, C1-6-alkyl, C1-6-alkoxy, C1-6-alkylthio or C1-6-alkylamino; R1 = NR2R3, YR4; Y = O, S; R2 is C1-6-alkyl; R3 is Ph, C1-6-alkyl, phenylalkyl, phenoxyalkyl; R4 = naphthyl, partly satd. naphthyl, C1-6-alkyl, phenoxyalkyl, phenylalkyl, nitroalkyl, haloalkyl, aminoalkyl, C3-8-cycloalkyl, phenylcycloalkyl, phenoxycycloalkyl] or pharmaceutically acceptable salts thereof have been found useful for treating central nervous system ailments. Thus, I [R = Cl, R1 = cyclopentyloxy, II] was prepd. by treating the dichloropurine nucleoside with cyclopentyloxyamine. II had adenosine A1 and A2 receptor binding Ki50 of 6.7 and 2876 nM, resp., for a ratio of 429.

IT **16321-99-6 42890-31-3 42890-36-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of adenosine derivs.)

RN 16321-99-6 HCAPLUS

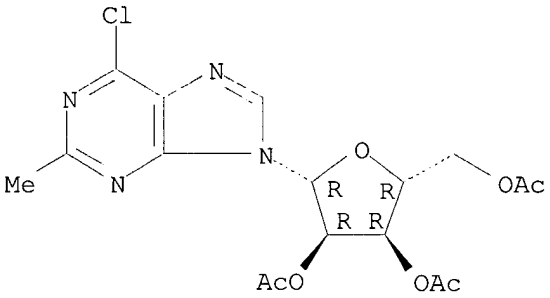
CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



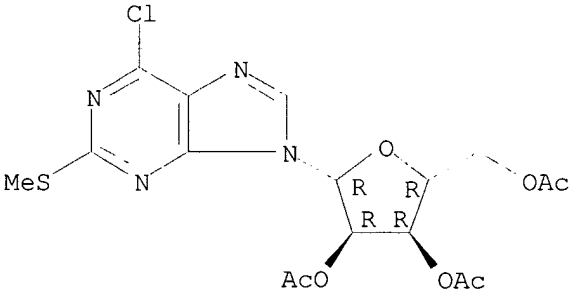
RN 42890-31-3 HCAPLUS
CN 9H-Purine, 6-chloro-2-methyl-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 42890-36-8 HCAPLUS
CN 9H-Purine, 6-chloro-2-(methylthio)-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



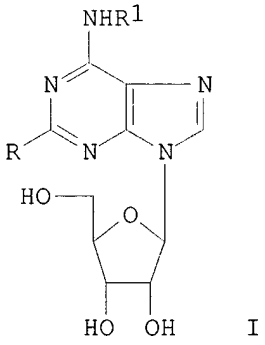
L76 ANSWER 41 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1994:54902 HCAPLUS
DN 120:54902
TI Preparation of 2,6-disubstituted purine nucleoside anticonvulsants
IN Knutsen, Lars Jacob Stray; Lau, Jesper
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	WO 9308206	A1	19930429		WO 1992-DK307	19921021	<--
	W: AU, BG, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU						
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE						
	US 5432164	A	19950711		US 1992-963878	19921020	<--
	AU 9229160	A1	19930521		AU 1992-29160	19921021	<--
	AU 657374	B2	19950309				
	EP 609375	A1	19940810		EP 1992-923113	19921021	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE						
	JP 07500586	T2	19950119		JP 1992-507362	19921021	<--
	IL 103513	A1	19960912		IL 1992-103513	19921022	<--
	ZA 9208222	A	19940425		ZA 1992-8222	19921023	<--
	FI 9401876	A	19940622		FI 1994-1876	19940422	<--
	NO 9401477	A	19940623		NO 1994-1477	19940422	<--
	US 5578582	A	19961126		US 1995-435005	19950505	<--
PRAI	WO 1991-DK324		19911024	<--			
	US 1992-963878		19921020	<--			
	WO 1992-DK307		19921021	<--			

OS MARPAT 120:54902

GI



I

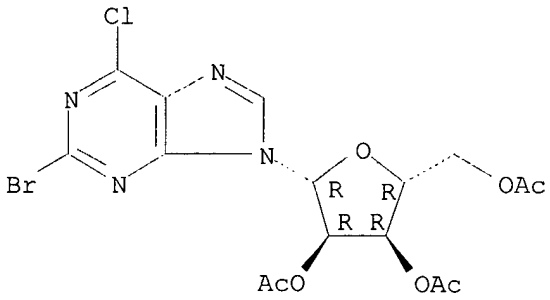
AB Title nucleosides I [R = halo, perhalomethyl, CN, alkoxy, alkylthio, alkylamino; R1 = (un)substituted N-bonded heterocyclics], were prepd. as anticonvulsants. Thus, compd. I [R = Cl, R1 = (3-phenoxy-1-piperidinyl)] was prepd. and tested in mice against clonic convulsions ED50 of 1.0 mg/kg and adenosine agonist binding ratio A2/A1 of 158.

IT **40896-58-0 42890-36-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. as intermediate in prepn. of purine nucleoside anticonvulsant)

RN 40896-58-0 HCAPLUS

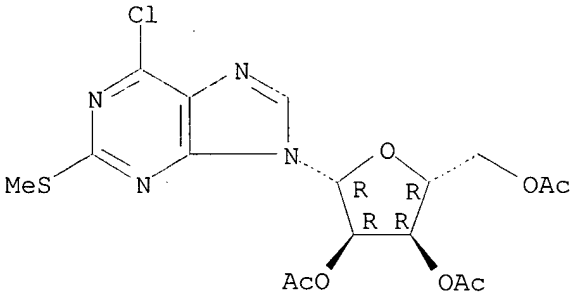
CN 9H-Purine, 2-bromo-6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



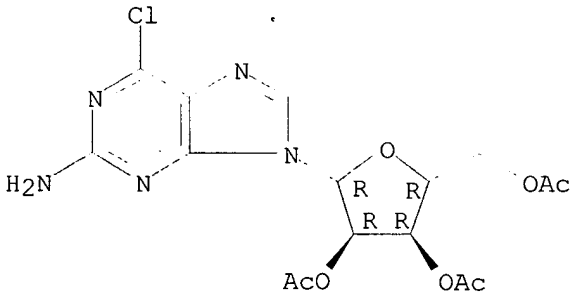
RN 42890-36-8 HCAPLUS
CN 9H-Purine, 6-chloro-2-(methylthio)-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **16321-99-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. as intermediate in prepn. of purine nucleoside anticonvulsants)
RN 16321-99-6 HCAPLUS
CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

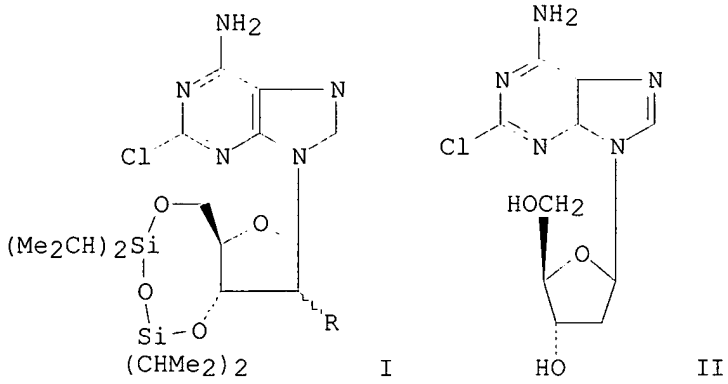


L76 ANSWER 42 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1993:560729 HCAPLUS
DN 119:160729
TI Preparation of intermediates for 2-chloro-2'-deoxyadenosine
IN Chen, Robert H. K.
PA Ortho Pharmaceutical Corp., USA
SO U.S., 6 pp. Cont.-in-part of U.S. Ser. No. 810,992, abandoned.

CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5208327	A	19930504	US 1992-869689	19920416 <--
	AU 9230122	A1	19930701	AU 1992-30122	19921211 <--
	AU 653457	B2	19940929		
	CA 2085503	AA	19930619	CA 1992-2085503	19921216 <--
	CA 2085503	C	19970819		
	JP 05255378	A2	19931005	JP 1992-353918	19921216 <--
	EP 547910	A1	19930623	EP 1992-311564	19921217 <--
	EP 547910	B1	19970305		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
	ZA 9209792	A	19940617	ZA 1992-9792	19921217 <--
	AT 149509	E	19970315	AT 1992-311564	19921217 <--
	ES 2101053	T3	19970701	ES 1992-311564	19921217 <--
PRAI	US 1991-810992		19911218 <--		
	US 1992-869689		19920416 <--		

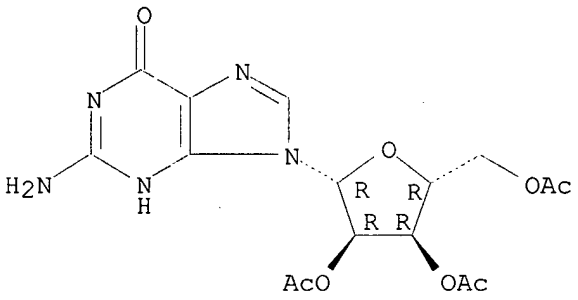
OS CASREACT 119:160729; MARPAT 119:160729
GI



AB Silylated nucleosides I [R = OH, H, OC(S)Z; Z = R1, YR1; Y = O, S; R1 = C1-5 straight- or branched-chain alkyl or Ph] are prepd. as intermediates for the title compd. (II). Thus, 2-chloroadenosine was treated with 1,3-dichloro-1,1,3,3-tetraisopropyldisiloxane in pyridine to give 63% I (R = OH), which was treated with ClC(S)OPh and 4-dimethylaminopyridine in MeCN to give 56% I [R = OC(S)OPh]. Sequential redn. of the latter compd. with Bu3SnH-AIBN in C6H6 and desilylation with Bu4N+ F- in THF gave 44% II.

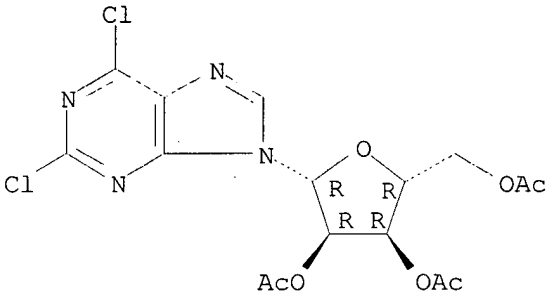
IT **6979-94-8P**, 2',3',5'-O-Triacetylguanosine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and chlorination of)
RN 6979-94-8 HCAPLUS
CN Guanosine, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



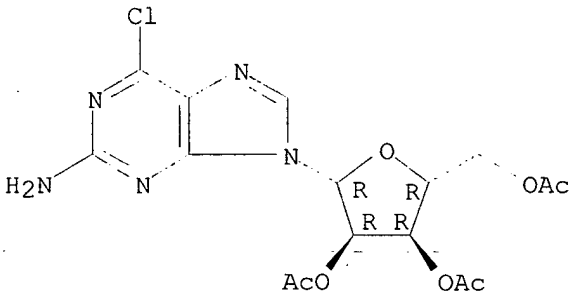
IT **3056-18-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)
RN 3056-18-6 HCAPLUS
CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



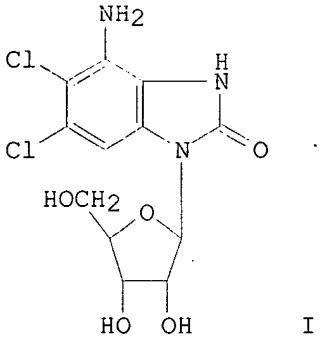
IT **16321-99-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sequential diazotization and chlorination of)
RN 16321-99-6 HCAPLUS
CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 43 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1993:539675 HCAPLUS
DN 119:139675

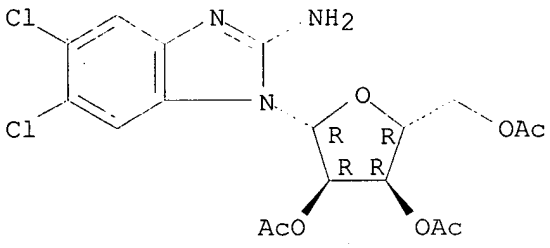
TI Benzimidazole ribonucleosides: Observation of an unexpected nitration when performing non-aqueous diazotizations with t-butyl nitrite
AU Devivar, Rodrigo V.; Drach, John C.; Townsend, Leroy B.
CS Coll. Pharm., Univ. Michigan, Ann Arbor, MI, 48190-1065, USA
SO Bioorganic & Medicinal Chemistry Letters (**1992**), 2(9), 1105-10
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
OS CASREACT 119:139675
GI



I

AB Mild, non-acidic conditions used in the non-aq. diazotization of a 2-aminobenzimidazole nucleoside with tert-Bu nitrite gave an unexpected nucleoside I which was not formed when primary alkyl nitrites were used. Compd. I were evaluated for activity against herpes **viruses** and for cytotoxicity against human foreskin fibroblast cells.
IT **142356-50-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(deacetylation, iodination, and oxidn. of)
RN 142356-50-1 HCAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

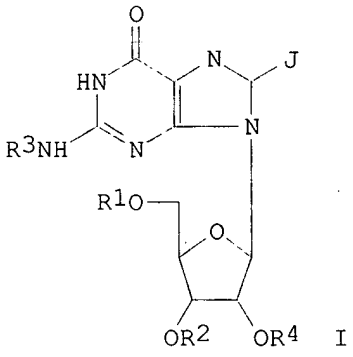


L76 ANSWER 44 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1993:147989 HCAPLUS
DN 118:147989
TI Preparation of oxypurine nucleosides, their congeners, and their acyl derivatives and their use for improvement of hematopoiesis.
IN Von Borstel, Reid Warren; Bamat, Michael Kevin; Hiltbrand, Bradley Mark; Butler, James Charles
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 202 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	WO 9213561	A1	19920820		WO 1992-US887	19920205	<--
	W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MW, NL, NO, PL, RO, RU, SD, SE						
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG						
	CA 2100655	AA	19920809		CA 1992-2100655	19920205	<--
	AU 9214177	A1	19920907		AU 1992-14177	19920205	<--
	AU 663309	B2	19951005				
	EP 570519	A1	19931124		EP 1992-906893	19920205	<--
	EP 570519	B1	19990506				
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE						
	JP 06511473	T2	19941222		JP 1992-506632	19920205	<--
	IL 100874	A1	19960119		IL 1992-100874	19920205	<--
	AT 179615	E	19990515		AT 1992-906893	19920205	<--
	RU 2158269	C2	20001027		RU 1993-53905	19920205	<--
	JP 2001270896	A2	20011002		JP 2001-32992	19920205	<--
	JP 2003064092	A2	20030305		JP 2002-215904	19920205	<--
	ZA 9200914	A	19930809		ZA 1992-914	19920207	<--
	IN 177670	A	19970215		IN 1994-CA701	19940902	<--
	US 6054441	A	20000425		US 1995-463790	19950605	<--
	US 6060459	A	20000509		US 1995-465016	19950605	<--
	AU 9952624	A1	19991202		AU 1999-52624	19991001	<--
PRAI	US 1991-653882	A	19910208	<--			
	US 1987-115923	B2	19871028	<--			
	US 1990-487984	B2	19900205	<--			
	JP 1992-506632	A3	19920205	<--			
	WO 1992-US887	A	19920205	<--			
	IN 1992-CA473	A1	19920706	<--			
	US 1992-925931	B2	19920807	<--			
	US 1994-289214	A3	19940812	<--			
	AU 1995-29150	A3	19950630	<--			

OS MARPAT 118:147989
GI



I

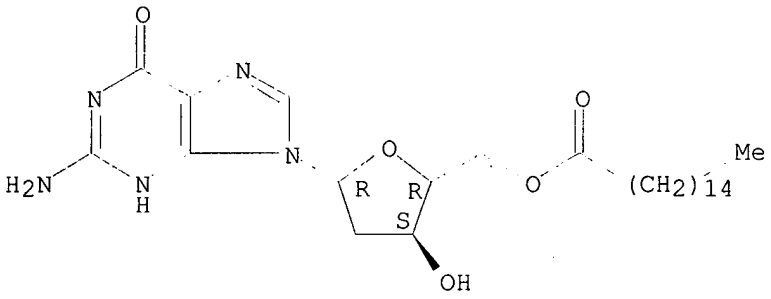
AB The title compds. [I; R1, R2, R4 = H, fatty acyl, amino acyl, carboxyacyl, cycloalkylalkanoyl; they may be the same or different; R3 = H, C3-22 alkanoyl, amino acyl, carboxyacyl, cycloalkylalkanoyl; J = H, C1-10 alkyl, acyl] and their congeners and derivs., useful for treatment of cytopenia, are prepd. Guanosine was treated with octanoyl chloride in DMF contg. pyridine and 4-(dimethylamino)pyridine at 25.degree. for 18 h to give octanoylguanosine which at 2.5 .mu.M/day i.v. significantly increased the

wt. of the spleen in 7 days in mice treated with 275 mg/kg i.p. cyclophosphamide as compared with the control.

IT **124169-72-8P 124169-78-4P 146573-51-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for improvement of hematopoiesis)

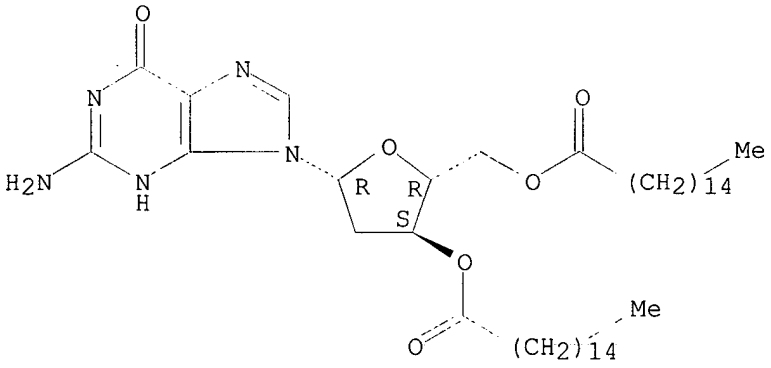
RN 124169-72-8 HCAPLUS
CN Guanosine, 2'-deoxy-, 5'-hexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



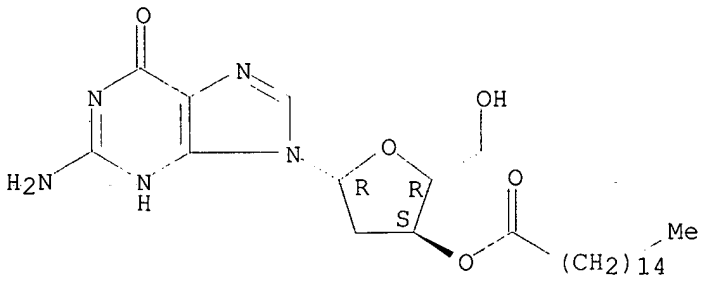
RN 124169-78-4 HCAPLUS
CN Guanosine, 2'-deoxy-, 3',5'-dihexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 146573-51-5 HCAPLUS
CN Guanosine, 2'-deoxy-, 3'-hexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



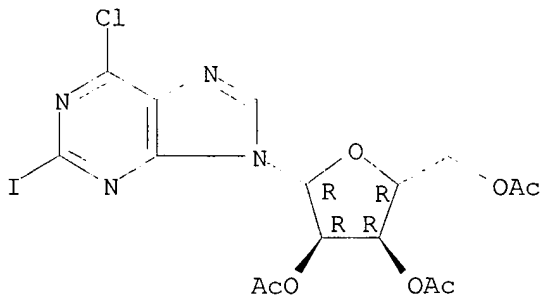
L76 ANSWER 45 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1992:551294 HCAPLUS

DN 117:151294
TI Preparation of 2-substitute cycloalkylalkynyladenosine derivatives as antihypertensives with high selectivity for A2 receptors
IN Miyashita, Takanori; Abiru, Toichi; Watanabe, Yoko; Yamaguchi, Toyofumi; Matsuda, Akira
PA Yamasa Shoyu K. K., Japan
SO Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 488336	A1	19920603	EP 1991-120461	19911129 <--
	EP 488336	B1	19950510		
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
	JP 05163294	A2	19930629	JP 1991-34249	19910228 <--
	JP 3025541	B2	20000327		
	US 5189027	A	19930223	US 1991-799071	19911127 <--
	CA 2056596	AA	19920531	CA 1991-2056596	19911128 <--
	CA 2056596	C	20010821		
	AT 122355	E	19950515	AT 1991-120461	19911129 <--
	ES 2073653	T3	19950816	ES 1991-120461	19911129 <--
PRAI	JP 1990-337273	A	19901130 <--		
	JP 1991-34249	A	19910228 <--		

OS MARPAT 117:151294
GI For diagram(s), see printed CA Issue.
AB Title compds. I (R = H, OH; R1,R2,R3 = H, PO3H2, hydroxyl protective group, m = 2-7; n = 0-3, were prepd. for use as antihypertensives. Thus, 2-iodoadenosine was coupled with 3-cyclopentylpropyne in presence of Pd(PPh3)2Cl2-CuI to give 70% I (R = H, R1-R3 = H, m = 4, n = 1, II). II had an affinity const. for A2 receptors of 2.3 nM and an antihypertensive ED30 of 0.054 .mu.g/kg i.v. in rats.
IT **5987-76-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with ethenylcyclopentanol)
RN 5987-76-8 HCAPLUS
CN 9H-Purine, 6-chloro-2-iodo-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

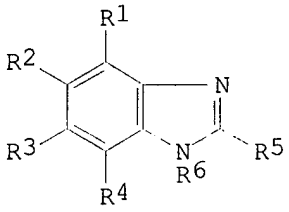


L76 ANSWER 46 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1992:470272 HCAPLUS
DN 117:70272
TI Preparation of benzimidazoles and glycosides thereof as **antiviral** agents
IN Townsend, Leroy B.; Drach, John C.
PA Regents of the University of Michigan, USA

SO PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9207867	A1	19920514	WO 1991-US8124	19911031 <--
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MN, MW, NO, PL, RO, SD, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	US 5248672	A	19930928	US 1990-607899	19901101 <--
	CA 2100098	AA	19920502	CA 1991-2100098	19911031 <--
	AU 9190809	A1	19920526	AU 1991-90809	19911031 <--
	AU 657934	B2	19950330		
	ZA 9108685	A	19920826	ZA 1991-8685	19911031 <--
	EP 556334	A1	19930825	EP 1992-901986	19911031 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	IL 99933	A1	19960912	IL 1991-99933	19911101 <--
	US 6093698	A	20000725	US 1997-959246	19971028 <--
PRAI	US 1990-607899	A2	19901101 <--		
	WO 1991-US8124	A	19911031 <--		
	US 1995-471059	A1	19950606 <--		

GI



I

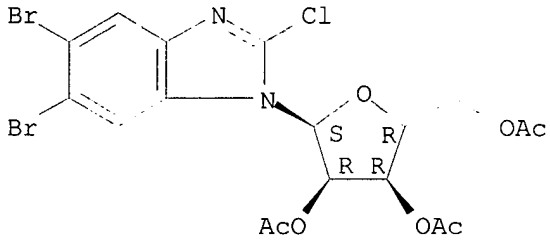
AB Title compds. (I; R1 = H, Cl, Br; R2 = H, halo, NH2, NO2; R3 = H, halo, NH2, NO2, CF3; R4 = H, Cl; R5 = H, Br, Cl, NH2, CF3, SCH2Ph, etc.; R6 = H, .beta.-D-ribofuranosyl, CH2Ph, CH2OCH2CH2OH, etc.) were prepd. Thus, 2,5,6-trichlorobenzimidazole (prepn. given) was condensed with 1-O-acetyl-2,3,5-tri-O-benzoyl-.beta.-D-ribofuranose to give, after deprotection, I (R1 = R4 = H, R2 = R3 = R5 = Cl, R6 = .beta.-D-ribofuranosyl) which gave 106-fold redn. of human **cytomegalovirus** replication at 32.mu.M in vitro.

IT **142371-78-6P 142371-83-3P 142371-84-4P**
142395-44-6P
RL: SPN (Synthetic preparation); FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, in prepn. of **antiviral** agents)

RN 142371-78-6 HCAPLUS

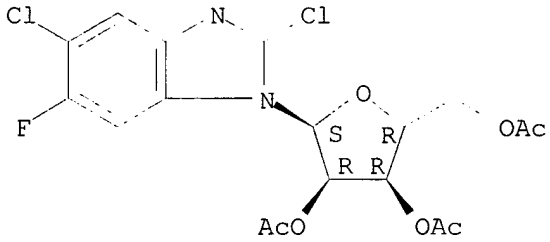
CN 1H-Benzimidazole, 5,6-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



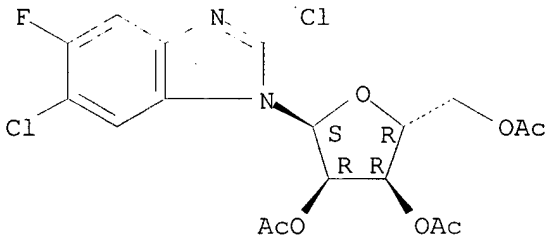
RN 142371-83-3 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-6-fluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



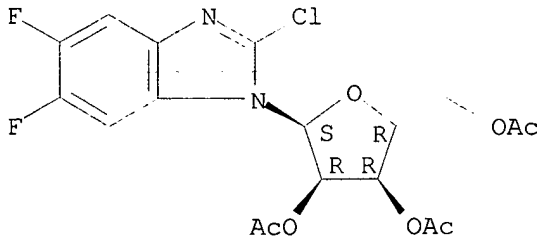
RN 142371-84-4 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-5-fluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142395-44-6 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5,6-difluoro-1-(2,3,5-tri-O-acetyl-.alpha.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

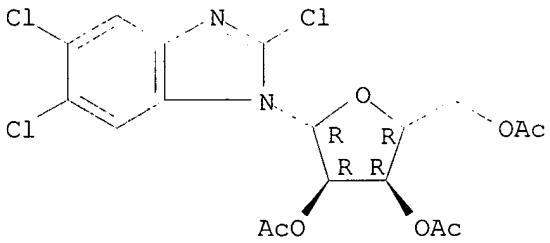
Absolute stereochemistry.



IT **142356-41-0P 142356-42-1P 142356-46-5P**
142356-51-2P 142356-52-3P 142356-78-3P
142356-80-7P 142371-80-0P 142371-81-1P
142371-82-2P 142371-87-7P 142371-90-2P
142371-93-5P 142371-94-6P 142372-02-9P
142372-04-1P 142372-05-2P 142372-10-9P
142408-81-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of **antiviral** agents)

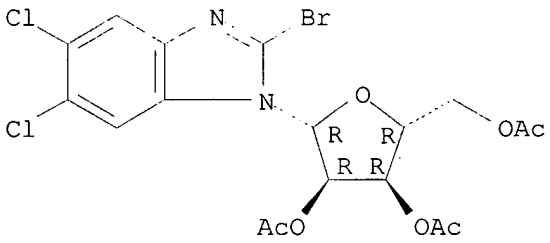
RN 142356-41-0 HCAPLUS
CN 1H-Benzimidazole, 2,5,6-trichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



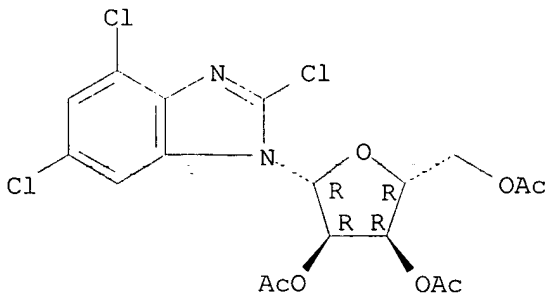
RN 142356-42-1 HCAPLUS
CN 1H-Benzimidazole, 2-bromo-5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



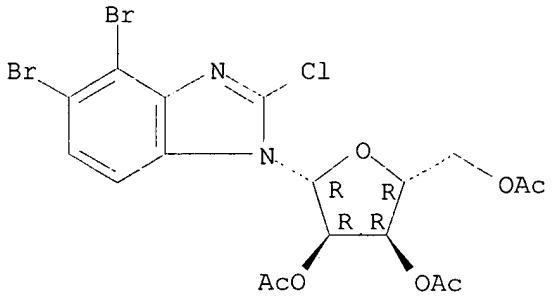
RN 142356-46-5 HCAPLUS
CN 1H-Benzimidazole, 2,4,6-trichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



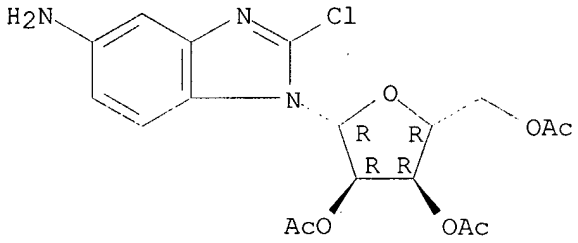
RN 142356-51-2 HCAPLUS
CN 1H-Benzimidazole, 4,5-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



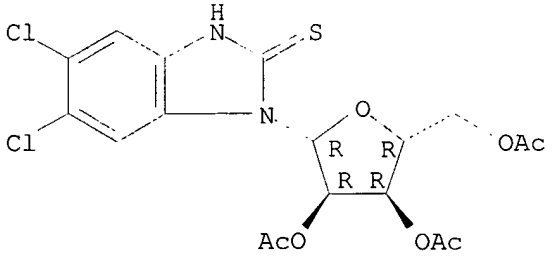
RN 142356-52-3 HCAPLUS
CN 1H-Benzimidazol-5-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



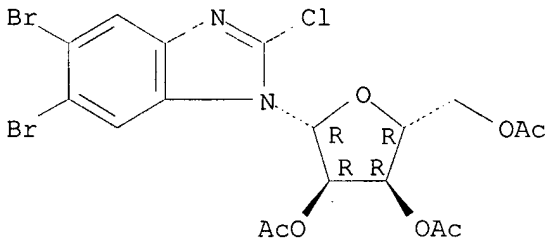
RN 142356-78-3 HCAPLUS
CN 2H-Benzimidazole-2-thione, 5,6-dichloro-1,3-dihydro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



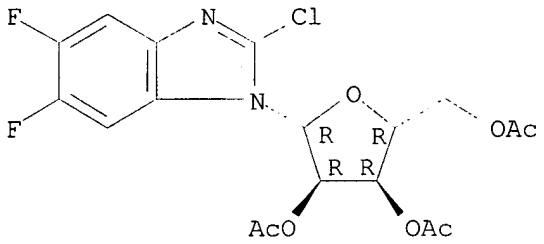
RN 142356-80-7 HCAPLUS
CN 1H-Benzimidazole, 5,6-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



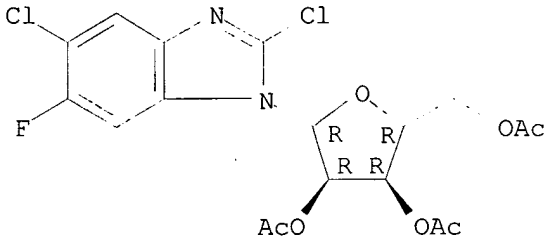
RN 142371-80-0 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5,6-difluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



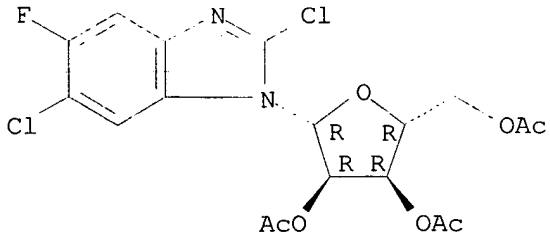
RN 142371-81-1 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-6-fluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



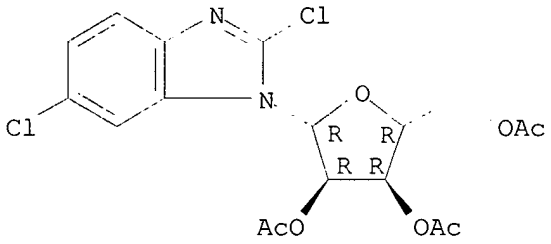
RN 142371-82-2 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-5-fluoro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



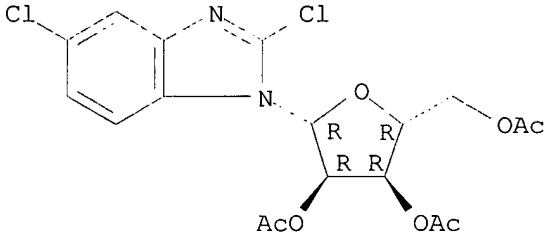
RN 142371-87-7 HCAPLUS
CN 1H-Benzimidazole, 2,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



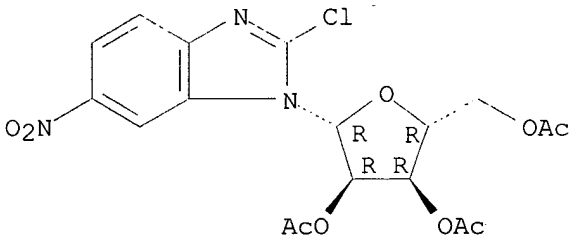
RN 142371-90-2 HCAPLUS
CN 1H-Benzimidazole, 2,5-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



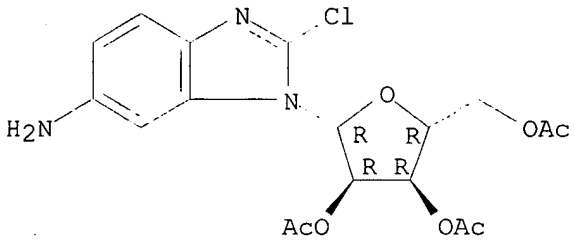
RN 142371-93-5 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-6-nitro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



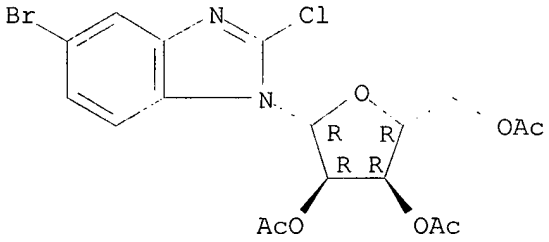
RN 142371-94-6 HCAPLUS
CN 1H-Benzimidazol-6-amine, 2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



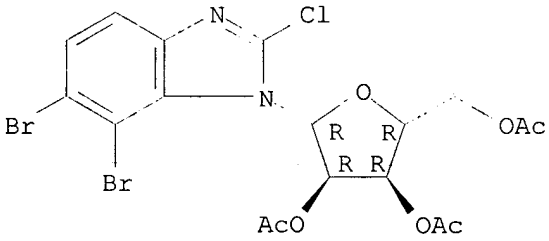
RN 142372-02-9 HCAPLUS
CN 1H-Benzimidazole, 5-bromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



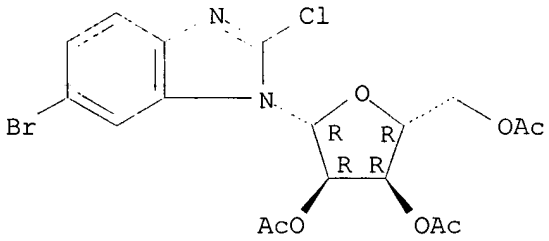
RN 142372-04-1 HCAPLUS
CN 1H-Benzimidazole, 6,7-dibromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



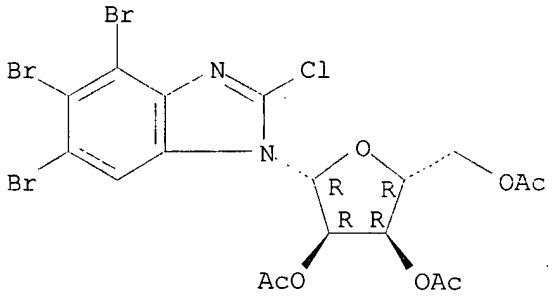
RN 142372-05-2 HCAPLUS
CN 1H-Benzimidazole, 6-bromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



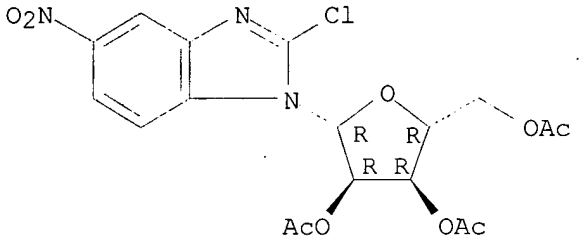
RN 142372-10-9 HCAPLUS
CN 1H-Benzimidazole, 4,5,6-tribromo-2-chloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



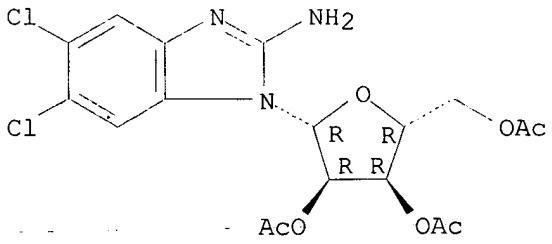
RN 142408-81-9 HCAPLUS
CN 1H-Benzimidazole, 2-chloro-5-nitro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



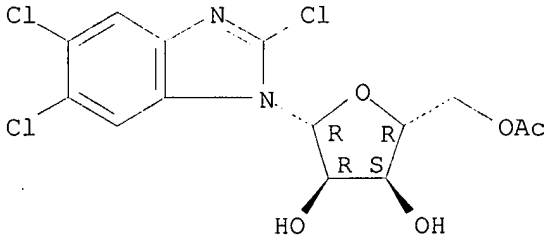
IT **142356-50-1P 142356-74-9P 142356-76-1P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as **antiviral** agent)
RN 142356-50-1 HCAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



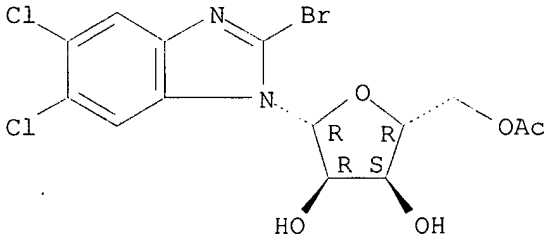
RN 142356-74-9 HCAPLUS
CN 1H-Benzimidazole, 1-(5-O-acetyl-.beta.-D-ribofuranosyl)-2,5,6-trichloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142356-76-1 HCAPLUS
CN 1H-Benzimidazole, 1-(5-O-acetyl-.beta.-D-ribofuranosyl)-2-bromo-5,6-dichloro- (9CI) (CA INDEX NAME)

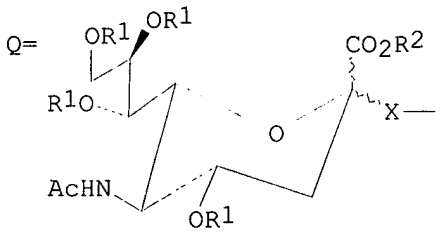
Absolute stereochemistry.



L76 ANSWER 47 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1992:59905 HCAPLUS
DN 116:59905
TI Preparation of glycolipids containing sialic acid as pharmaceutical carriers
IN Nakabayashi, Satoru; Higashi, Kunio; Miyoshi, Shiro; Yamauchi, Hitoshi
PA Drug Delivery System Institute, Ltd., Japan
SO PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9113079	A1	19910905	WO 1991-JP238	19910225 <--
	W: CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	CA 2050484	AA	19910828	CA 1991-2050484	19910225 <--
	EP 489162	A1	19920610	EP 1991-904345	19910225 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 2563712	B2	19961218	JP 1991-504286	19910225 <--
	US 5243035	A	19930907	US 1991-752604	19910815 <--
PRAI	JP 1990-46602		19900227 <--		
	JP 1990-75928		19900326 <--		
	JP 1990-75929		19900326 <--		
	JP 1990-166473		19900625 <--		
	WO 1991-JP238		19910225 <--		

OS MARPAT 116:59905
GI



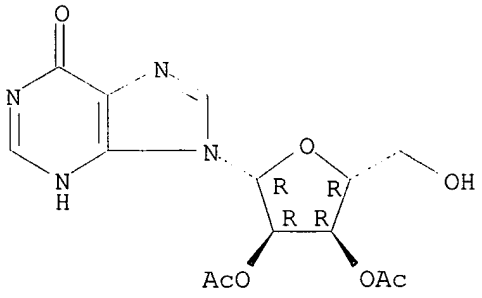
AB Title glycolipid derivs. Q(CH2)nCHB(CH2)lA [I; R1 = H, Ac; R2 = H, C1-4 alkyl, alkali metal ion, alk. earth metal ion, ammonium ion; X = O, S, O(CH2)mNHCO, O(CH2)mCONH; m = 1-10; n, l = 0-3; A = H, C10-40 straight chain or branched acylamino, alkyl, alkenyl, alkoxy, alkenyloxy, alkylthio, alkenylthio; B = H, CO2H, (N-alkyl)carbamoyl, C10-30 alkyl, alkenyl, alkoxy, alkenyloxy, acylamino, Q] are prepd. by (1) reaction of QAc (R1 = Ac, R2 = Me, X = O) with HX(CH2)mCHB(CH2)lA (III) in the presence of a Lewis acid or (2) reaction of QX1 (R1 = Ac, R2 = Me, X = single bond, X1 = halo) with III in the presence of a Lewis acid or its combination with a trityl halide. I are useful as components of liposome drug-delivery systems with good circulation life and reduced uptake by the reticuloendothelial system. Thus, a mixt. of 400 mg mol. sieve 4A and 290 mg ZnBr2 in CH2Cl2 was stirred 2.5 h at room temp. and thereto a mixt. of .alpha.-QX1 (R1 = Ac, R2 = Me, X = single bond, X1 = halo) 250, hexadecyl mercaptan 380, and mol. sieve 4A 150 mg in CH2Cl2 which was prestirred 2.5 h at room temp., was added and the mixt. was stirred 3 days at room temp. to give 26% .alpha.-QX1 (R1 = Ac, R2 = Me, XX1 = n-hexadecylthio) and 35% .beta.-glycoside which were deacetylated with MeONa in MeOH and then sapond. with 0.1N aq. NaOH in MeOH to give .alpha.-QX1 (R1 = H, R2 = Na, XX1 = n-hexadecylthio) (IV) and .beta.-glycoside. A liposome suspension prepd. from L-.alpha.-dipalmitoylphosphatidylcholine, cholesterol, [3H]inulin, and IV showed .apprx. twice the serum concn. than the control liposome using dicetyl phosphate instead of IV in rats over 24 h.

IT **4152-78-7**, 2',3'-Di-O-acetylinosine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of sialic acid glycoside liposome component)

RN 4152-78-7 HCAPLUS

CN Inosine, 2',3'-diacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L76 ANSWER 48 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1991:680486 HCAPLUS

DN 115:280486

TI Preparation of nucleoside derivatives via selective hydrolysis

IN Shiragami, Hiroshi; Tanaka, Yasuhiro; Iwagami, Toshio

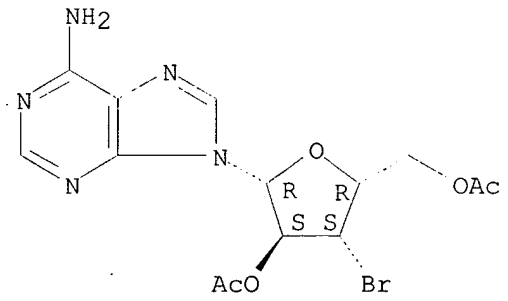
PA Ajinomoto Co., Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03190894	A2	19910820	JP 1989-331951	19891221 <--
	JP 3006009	B2	20000207		
	US 5310895	A	19940510	US 1990-631953	19901221 <--
PRAI	JP 1989-331951	A	19891221 <--		
	JP 1989-331952	A	19891221 <--		

OS CASREACT 115:280486; MARPAT 115:280486
GI For diagram(s), see printed CA Issue.
AB Title compds., I and II (R = H, hydrolyzable acyl; B = nucleic acid base) were prepd. via selective hydrolysis of nucleosides III and IV (R1, R2 = hydrolyzable acyl, X = H, halo, alkyl, acyl) in the presence of cyclodextrins and inorg. salts. Thus, stirring 3'-deoxy-3'-bromo-2',5'-di-O-acetyladenosine with .beta.-cyclodextrin and NaHCO3 in H2O at room temp. for 2 h gave 78% 5'-O-acetyl-3'-deoxy-3'-bromoadenosine, hydrogenolysis of which followed by fluorination with diethylaminosulfur trifluoride gave 2'-.beta.-fluoro-2',3'-deoxyadenosine.
IT **62805-48-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(selective hydrolysis of, in presence of .beta.-cyclodextrin and sodium bicarbonate)
RN 62805-48-5 HCAPLUS
CN 9H-Purin-6-amine, 9-(2,5-di-O-acetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

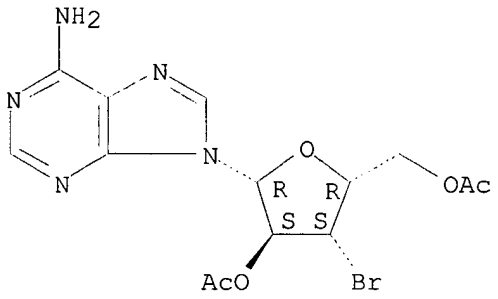


L76 ANSWER 49 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:680485 HCAPLUS
DN 115:280485
TI Preparation of dideoxynucleoside derivatives via selective hydrolysis
IN Shiragami, Hiroshi; Tanaka, Yasuhiro; Iwagami, Toshio
PA Ajinomoto Co., Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03190876	A2	19910820	JP 1989-331952	19891221 <--
	JP 2870901	B2	19990317		
	US 5310895	A	19940510	US 1990-631953	19901221 <--
PRAI	JP 1989-331951	A	19891221 <--		

JP 1989-331952 A 19891221 <--
OS CASREACT 115:280485; MARPAT 115:280485
GI For diagram(s), see printed CA Issue.
AB Title compds., I (R = H, hydrolyzable acyl; B = nucleic acid base) were prepd. via selective hydrolysis of nucleosides II or III (R1, R2 = hydrolyzable acyl; X = H, halo, alkyl, acyl) in the presence of cyclodextrins and inorg salts.. Thus, stirring 3'-deoxy-3'-bromo-2',5'-diacetyladenosine with .beta.-cyclodextrin and NaHCO3 in H2O at room temp. for 2 h gave 78% 5'-O-acetyl-3'-deoxy-3'-bromoadenosine, O-mesylation of which with MeSO2Cl followed by hydrogenolysis gave 5'-O-acetyl-2',3'-dideoxyadenosine.
IT **62805-48-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(selective hydrolysis of, in presence of cyclodextrin)
RN 62805-48-5 HCAPLUS
CN 9H-Purin-6-amine, 9-(2,5-di-O-acetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)- (9CI) (CA INDEX NAME)

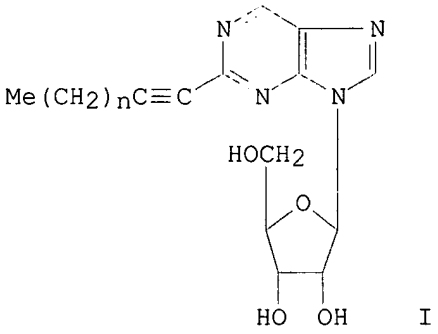
Absolute stereochemistry.



L76 ANSWER 50 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:663471 HCAPLUS
DN 115:263471
TI Drugs for treating or preventing ischemic diseases of the heart or brain
IN Kogi, Kentaro; Abiru, Toichi; Yamaguchi, Toyofumi
PA Yamasa Shoyu Co., Ltd., Japan; Toa Eiyo, Ltd.
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9109864	A1	19910711	WO 1990-JP1	19900104 <--
	W: CA				
	CA 2041648	AA	19910705	CA 1990-2041648	19900104 <--
PRAI	WO 1990-JP1		19900104 <--		

OS MARPAT 115:263471
GI



I

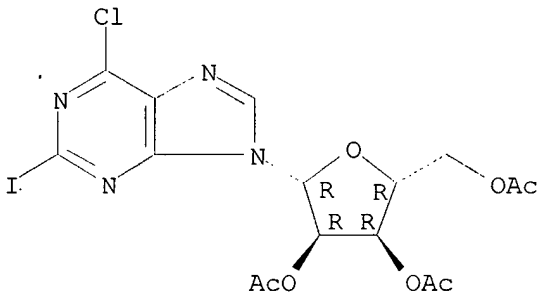
AB 2-Alkynyladenosines (I; n = 2-15) are effective in controlling ischemia of the heart and the brain. Thus, a hard capsule was prepd. consisting of I (n = 7) 25, potato starch 150, SiO2 50, Mg stearate 10, and lactose 765 mg. Pharmacol. activities of I were demonstrated in lab. animals.

IT **5987-76-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of agent for treatment of ischemia)

RN 5987-76-8 HCAPLUS

CN 9H-Purine, 6-chloro-2-iodo-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 51 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1991:630514 HCAPLUS

DN 115:230514

TI Preparation of 2'-deoxy-2'-fluororibonucleosides as medicinal **virucides**

IN Tisdale, Sylvia Margaret; Van Tuttle, Joel; Slater, Martin John; Daluge, Susan Mary; Miller, Wayne Howard; Krenitsky, Thomas Anthony; Koszalka, George Walter

PA Wellcome Foundation Ltd., UK

SO Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW

DT Patent

LA English

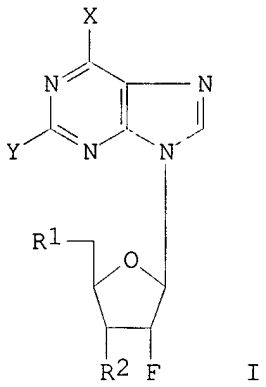
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 417999	A1	19910320	EP 1990-309838	19900907 <--
EP 417999	B1	19960313		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DD 297650	A5	19920116	DD 1990-343871	19900907 <--

	EP 671410	A1	19950913	EP 1995-107762	19900907 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 135365	E	19960315	AT 1990-309838	19900907 <--
	CA 2025009	AA	19910312	CA 1990-2025009	19900910 <--
	AU 9062350	A1	19910314	AU 1990-62350	19900910 <--
	AU 644095	B2	19931202		
	HU 54704	A2	19910328	HU 1990-5841	19900910 <--
	ZA 9007187	A	19920527	ZA 1990-7187	19900910 <--
	PL 164967	B1	19941031	PL 1990-286820	19900910 <--
	RU 2043361	C1	19950910	RU 1990-4831211	19900910 <--
	JP 03145497	A2	19910620	JP 1990-241057	19900911 <--
PRAI	GB 1989-20534		19890911 <--		
	EP 1990-309838		19900907 <--		

OS MARPAT 115:230514

GI



I

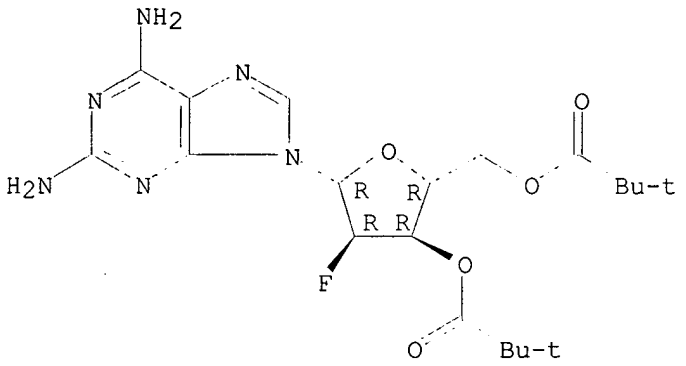
AB 2'-Deoxy-2'-fluororibonucleosides I [Y = H, NH2; X = (substituted) amino, ZR3; Z = O, S; R1,R2 = OH, OCOR4H, H, OCO2R5H, etc.; R3 = (substituted) C1-6 alkenyl, or C3-7 cycloalkyl; R4 = (hydroxy) C1-6 alkylene, C2-6 alkenylene, or C3-7 cycloalkylene; R5 = bond, R4] were prepd. For example, 2-amino-6-methoxypurine and 1-(2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)uracil were converted to title compd. I (R1 = R2 = OH, X = OMe, Y = NH2) (II) by thymidine phosphorylase and purine nucleoside phosphorylase in potassium phosphate buffer contg. potassium azide. The IC50 of II against respiratory syncytial **virus** was 6.3 .mu.M. Formulations of I were prepd.

IT **134444-69-2P 134444-70-5P 134444-74-9P**
134444-75-0P 134444-76-1P 134444-79-4P
134444-80-7P 134444-81-8P 134444-83-0P
134444-84-1P
RL: PREP (Preparation)
(prepn. of, as **antiviral** agent)

RN 134444-69-2 HCAPLUS

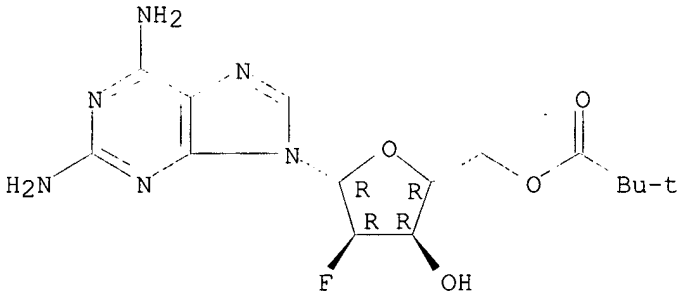
CN Adenosine, 2-amino-2'-deoxy-2'-fluoro-, 3',5'-bis(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



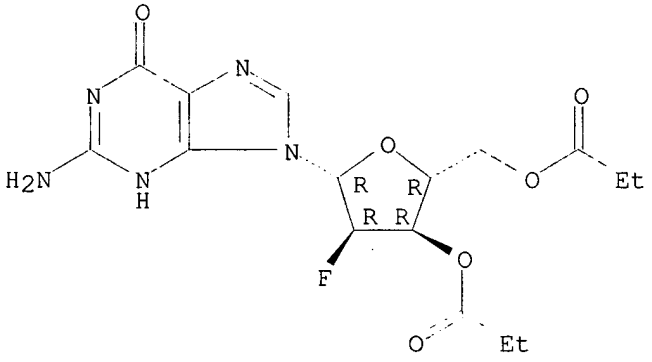
RN 134444-70-5 HCAPLUS
CN Adenosine, 2-amino-2'-deoxy-2'-fluoro-, 5'-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



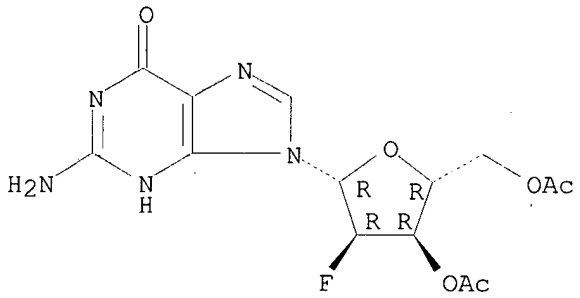
RN 134444-74-9 HCAPLUS
CN Guanosine, 2'-deoxy-2'-fluoro-, 3',5'-dipropanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



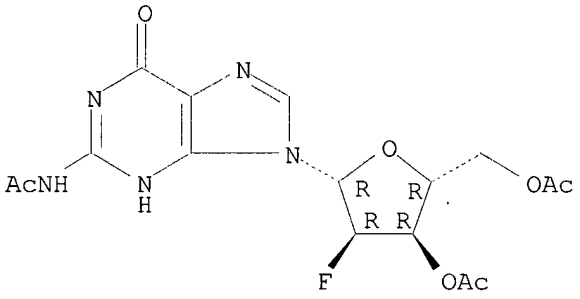
RN 134444-75-0 HCAPLUS
CN Guanosine, 2'-deoxy-2'-fluoro-, 3',5'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



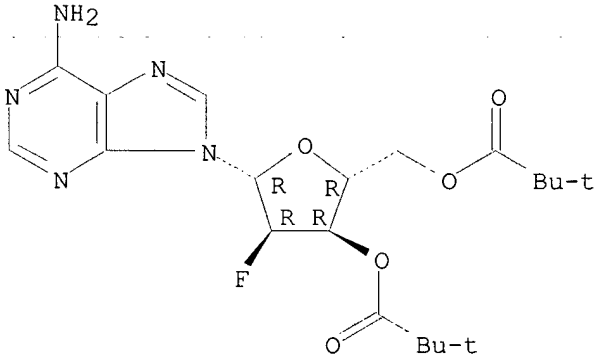
RN 134444-76-1 HCAPLUS
CN Guanosine, N-acetyl-2'-deoxy-2'-fluoro-, 3',5'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



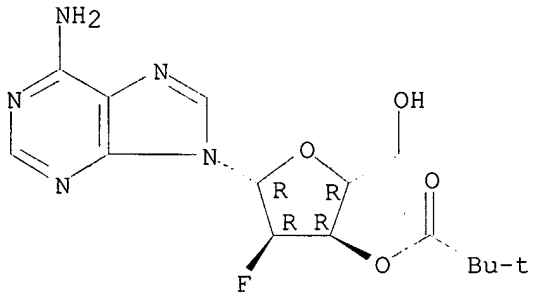
RN 134444-79-4 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 3',5'-bis(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



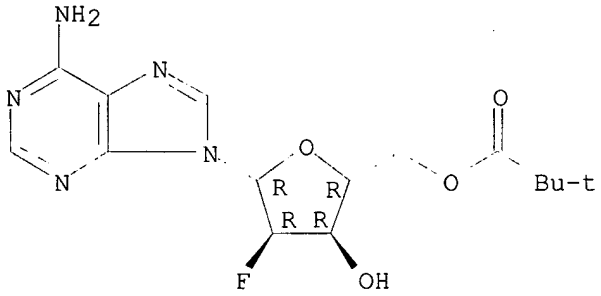
RN 134444-80-7 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 3'-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



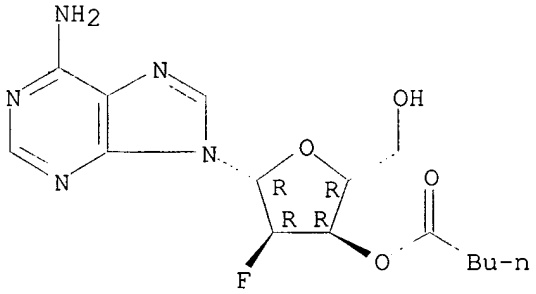
RN 134444-81-8 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 5'-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



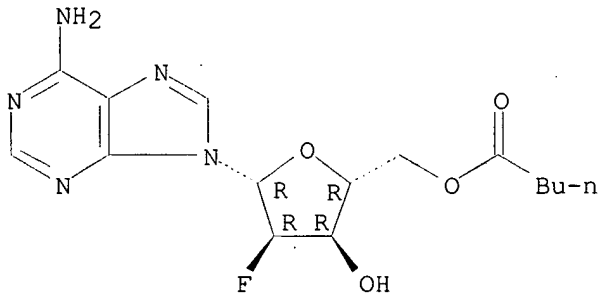
RN 134444-83-0 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 3'-pentanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 134444-84-1 HCAPLUS
CN Adenosine, 2'-deoxy-2'-fluoro-, 5'-pentanoate (9CI) (CA INDEX NAME)

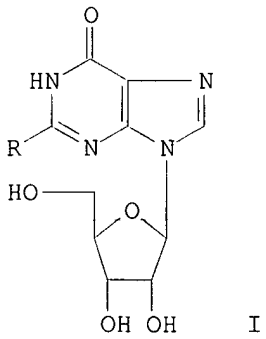
Absolute stereochemistry.



L76 ANSWER 52 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:472150 HCAPLUS
DN 115:72150
TI Preparation of 2-substituted inosines as **antiviral** agents
IN Nair, Vasu
PA University of Iowa Research Foundation, USA
SO U.S., 6 pp. Cont.-in-part of U.S. Ser. No. 67,498, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4992427	A	19910212	US 1989-366425	19890615 <--
PRAI US 1987-67498		19870629 <--		

GI



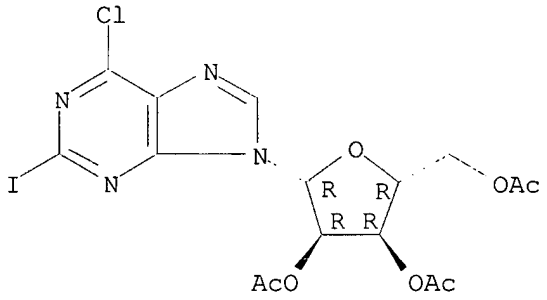
I

AB Title compds. [I; R = acetonyl, vinyl] and their derivs., esp. useful for treating RNA **virus** infections, were prepd. Triacetylated 6-chloro-2-iodonebularine was hydrolyzed, methoxylated, and silylated with Me3CSiMe2Cl and the product treated with CH2:CMeOAc in toluene contg. Bu3SnOMe to give 2-acetonyl-6-methyl-9-(2,3,5-tri-O-tert-butyldimethylailyl-.beta.-D-ribofuranosyl)purine, which was demethylated (MeI-ME3SiCl-MeCN 8 h at room temp.) and then desilylated (Bu4NF-THF; aq. NH4Cl) to give I [R = acetonyl]. This had a therapeutic index of >1000 against **viruses** of the Bunya family.

IT **5987-76-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of **antivirals**)

RN 5987-76-8 HCAPLUS
CN 9H-Purine, 6-chloro-2-iodo-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

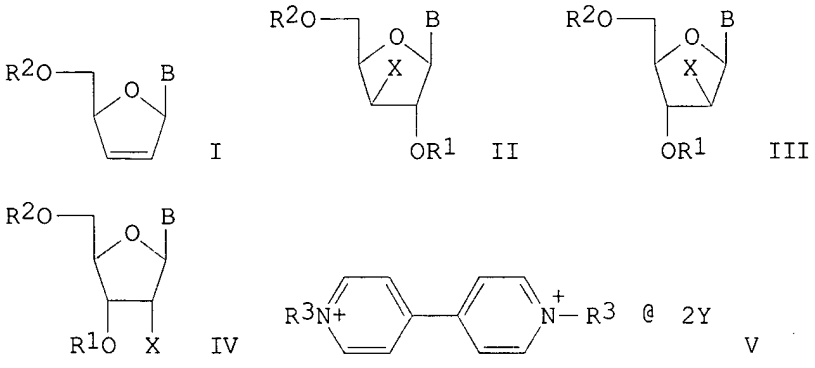
Absolute stereochemistry.



L76 ANSWER 53 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:247686 HCAPLUS
DN 114:247686
TI Preparation of 2', 3'-didehydro-2', 3'-didesoxy nucleosides as intermediates for **antivirals**
IN Amino, Yusuke; Iwagami, Hisao
PA Ajinomoto Co., Inc., Japan
SO Fr. Demande, 14 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	FR 2647450	A1	19901130		FR 1990-6529	19900525	<--
	FR 2647450	B1	19941021				
	JP 02311491	A2	19901227		JP 1989-134186	19890526	<--
	US 5106962	A	19920421		US 1990-525030	19900518	<--
	BE 1003113	A5	19911126		BE 1990-544	19900523	<--
PRAI	JP 1989-134186		19890526	<--			

OS MARPAT 114:247686
GI



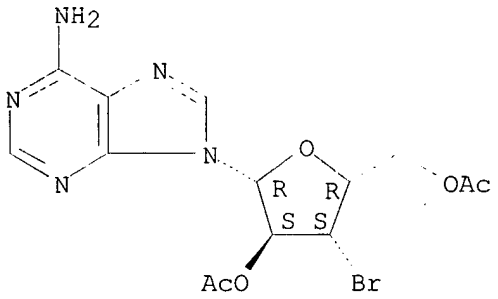
AB The title compds. (I; B = nucleoside base; R2 = H, acyl, alkyl, aralkyl, silyl) were prepd. via reaction of furanosyl derivs. II-IV (R1 = C1-12 acyl, sulfonyl; X = halo; R3 = alkyl, aralkyl) with dipyridinium salts V (R3 = alkyl, aralkyl; Y = halo; R3). 9-(2',5'-O-Diacetyl-3'-bromo-3'-deoxy-.beta.-D-xylofuranosyl)adenine in methylene chloride-water contg. Na2S2O4 and K2CO3 was treated with N,N'-diheptyl-4,4'-dipyridinium

dibromide at 28.degree. for 15 h, more Na2S2O4, K2CO3 and N,N'-diheptyl-4,4'-dipyridinium dibromide were added, and the reaction mixt. was stirred for 24 h to give 83% I (R2 = Ac, B = adenin-9-yl).

IT **62805-48-5 125790-82-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(elimination reaction of, in prepn. of dideoxydidehydro nucleosides)

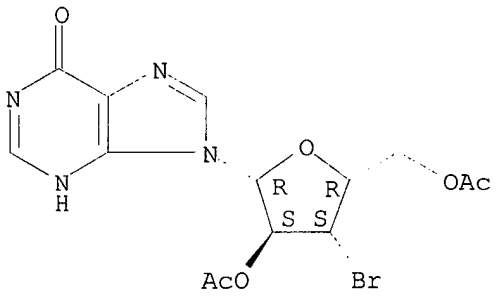
RN 62805-48-5 HCAPLUS
CN 9H-Purin-6-amine, 9-(2,5-di-O-acetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 125790-82-1 HCAPLUS
CN 6H-Purin-6-one, 9-(2,5-di-O-acetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

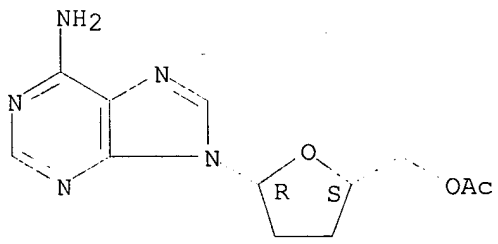
Absolute stereochemistry.



IT **108183-09-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for **antivirals**)

RN 108183-09-1 HCAPLUS
CN 2-Furanmethanol, 5-(6-amino-9H-purin-9-yl)tetrahydro-, acetate (ester), (2S-cis)- (9CI) (CA INDEX NAME)

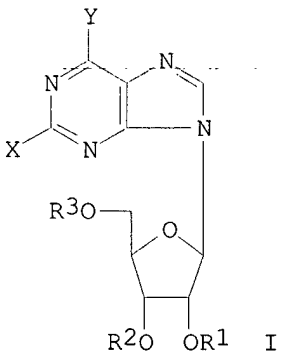
Absolute stereochemistry.



L76 ANSWER 54 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:207696 HCAPLUS
DN 114:207696
TI Preparation of antihypertensive 2-(alkyn-1-yl)adenosines and their intermediates
IN Yamaguchi, Toyofumi; Miyashita, Takanori; Sakata, Shinji; Abiru, Toichi; Matsuda, Akira; Ueda, Tohru; Kogi, Kentaro
PA Yamasa Shoyu Co., Ltd., Japan; Toa Eiyo, Ltd.
SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9015812	A1	19901227	WO 1990-JP807	19900620	<--
	W: CA, JP, KR, US					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE					
	CA 2035038	AA	19901221	CA 1990-2035038	19900620	<--
	EP 429681	A1	19910605	EP 1990-909403	19900620	<--
	EP 429681	B1	19950906			
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE					
	ES 2077070	T3	19951116	ES 1990-909403	19900620	<--
	JP 3053863	B2	20000619	JP 1990-509053	19900620	<--
	US 5283327	A	19940201	US 1991-655354	19910417	<--
	US 5459254	A	19951017	US 1993-149943	19931110	<--
PRAI	JP 1989-157517	A	19890620	<--		
	JP 1990-4727	A	19900113	<--		
	WO 1990-JP807	W	19900620	<--		
	US 1991-655354	A3	19910417	<--		

OS MARPAT 114:207696
GI



I

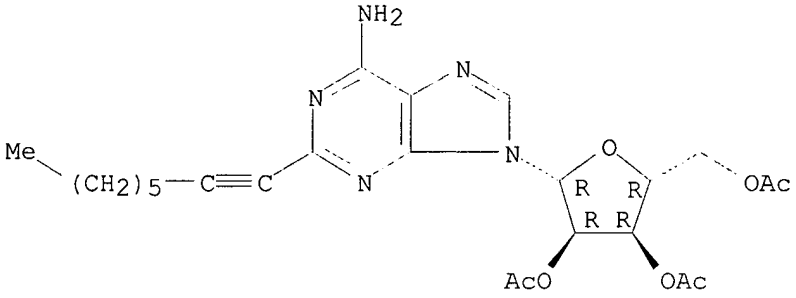
AB Title compds. [I; X = Me(CH2)nC.tplbond.C; n = 1-15; Y = NH2, R1 - R3 = H] and acyl derivs. I [X, n = same as above; Y = NHR4; R1 - R4 = H, protecting group; .gtoreq. 1 of R1 - R4 = protecting group] are prepd. by coupling of I (X = Br, iodo; Y = leaving group; R1 - R3 = H, protecting group) with Me(CH2)nC.tplbond.CH in the presence of a Pd catalyst or a Pd and a Cu compd. followed by amination, optional deprotection, and acylation. Thus, I (X = iodo, Y = Br, R1 = R2 = R3 = Ac) 6, PPh3 0.6, and PdCl2 0.3 mmol were suspended in DMF and 1.67 mL Et3N and 0.66 mL 1-pentyne were added; the resulting mixt. was stirred 6 h at 50.degree. to

give 82% I [X = Me(CH2)2C.tplbond.C; Y, R1 - R3 = as above] which was heated in concd. aq. NH3 and 1,4-dioxane for 2 days at 50.degree. to give 75% I [X = Me(CH2)2C.tplbond.C, Y = NH2, R1 - R3 = H]. I [X = Me(CH2)5C.tplbond.C, Y = NH2; R1 = R2 = R3 = H, Ac] at 1.0 mg/kg p.o. in spontaneously hypertensive rats lowered blood pressure from .apprx.200 mm Hg to .apprx.140 mm Hg after 6 h. Acyl derivs. of I showed better storage stability than I.

IT **133560-05-1P 133560-06-2P 133560-07-3P**
133560-08-4P 133560-09-5P 133560-10-8P
133560-12-0P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antihypertensive)

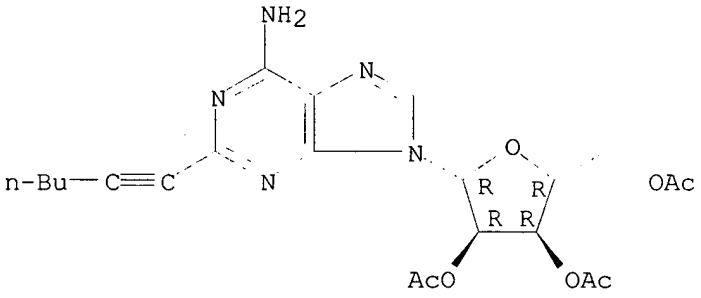
RN 133560-05-1 HCAPLUS
CN Adenosine, 2-(1-octynyl)-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



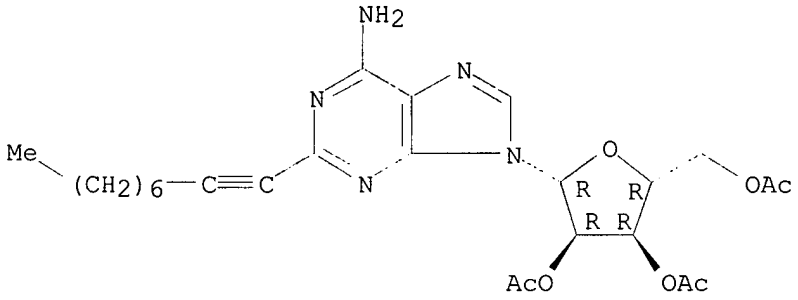
RN 133560-06-2 HCAPLUS
CN Adenosine, 2-(1-hexynyl)-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



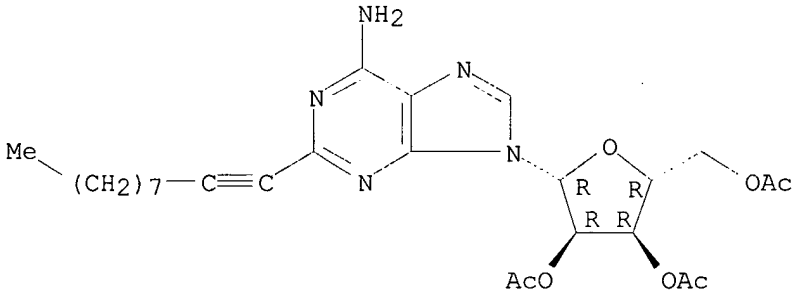
RN 133560-07-3 HCAPLUS
CN Adenosine, 2-(1-nonynyl)-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



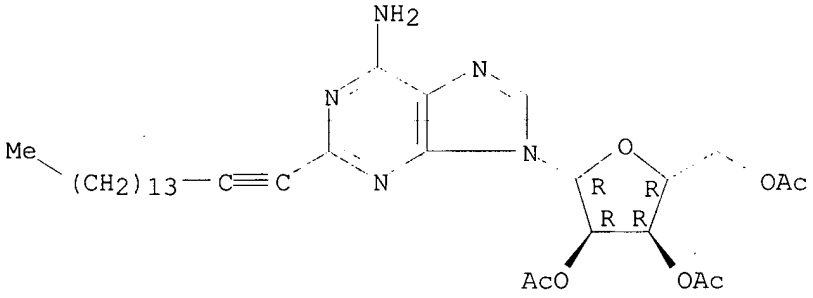
RN 133560-08-4 HCAPLUS
CN Adenosine, 2-(1-decynyl)-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



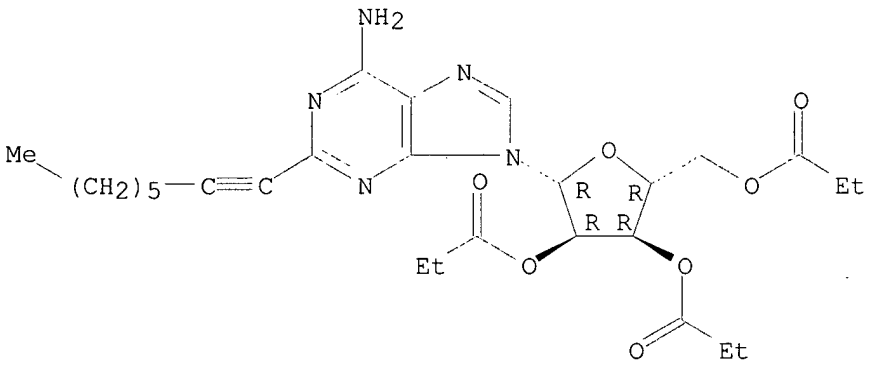
RN 133560-09-5 HCAPLUS
CN Adenosine, 2-(1-hexadecynyl)-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



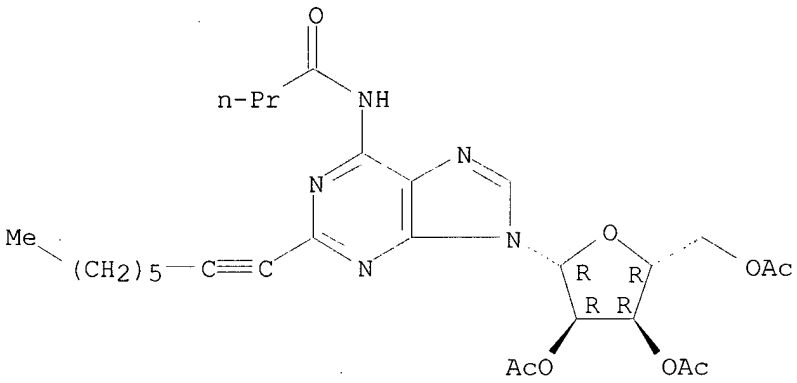
RN 133560-10-8 HCAPLUS
CN Adenosine, 2-(1-octynyl)-, 2',3',5'-tripropanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



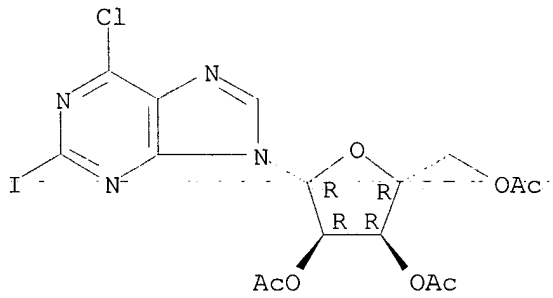
RN 133560-12-0 HCAPLUS
CN Adenosine, 2-(1-octynyl)-N-(1-oxobutyl)-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **5987-76-8P 133560-14-2P 133560-15-3P**
133560-18-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antihypertensive alkynyladenosine)
RN 5987-76-8 HCAPLUS
CN 9H-Purine, 6-chloro-2-iodo-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

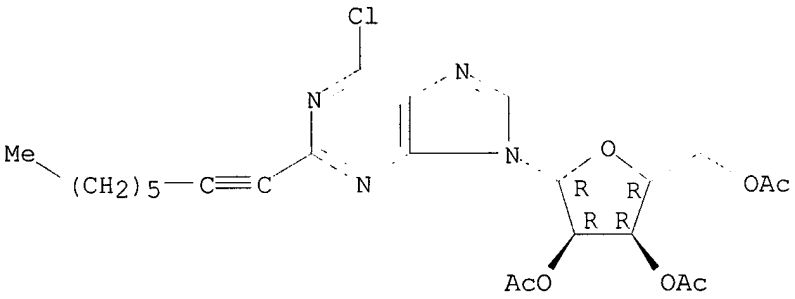
Absolute stereochemistry.



RN 133560-14-2 HCAPLUS
CN 9H-Purine, 6-chloro-2-(1-octynyl)-9-(2,3,5-tri-O-acetyl-.beta.-D-

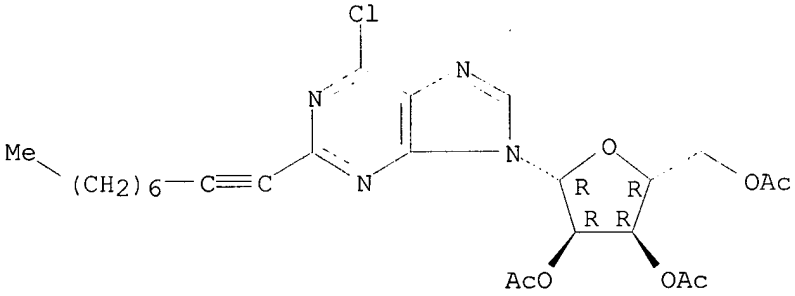
ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



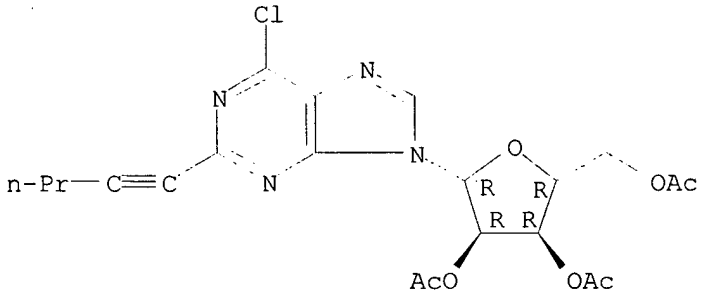
RN 133560-15-3 HCAPLUS
CN 9H-Purine, 6-chloro-2-(1-nonynyl)-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 133560-18-6 HCAPLUS
CN 9H-Purine, 6-chloro-2-(1-pentynyl)-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



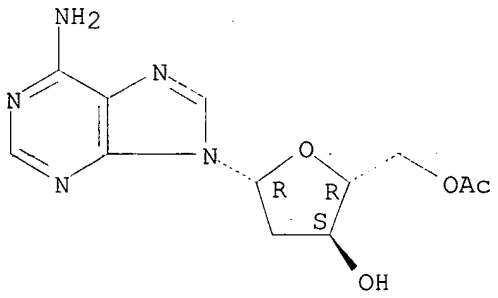
L76 ANSWER 55 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:141639 HCAPLUS
DN 114:141639
TI Thermostable subtilisin analogs in synthetic reactions in anhydrous solvents
IN Bryan, Philip N.; Pantoliano, Michael W.; Rollence, Michael L.; Wong, Chi Huey

PA Genex Corp., USA
SO Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 380362	A1	19900801	EP 1990-300849	19900126 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
US 5116741	A	19920526	US 1989-301683	19890126 <--
JP 02283292	A2	19901120	JP 1990-17647	19900126 <--
PRAI US 1989-301683	A	19890126 <--		
US 1986-828545	B2	19860212 <--		
US 1987-34964	A2	19870406 <--		
US 1987-34965	B2	19870406 <--		
US 1988-180756	A2	19880412 <--		
US 1988-180757	A2	19880412 <--		

AB Amino acid-substituted analogs of subtilisin are used to catalyze synthetic reactions (formation or cleavage of ester or amide bonds) in anhyd. environments. A series of ribo- and deoxyribonucleosides were acylated at the 5' position in anhyd. DMF using the modified subtilisin 8350 as catalyst and isoprenyl acetate as acetyl group donor. After incubation at 45.degree. for 1-2 days, yields of the 5' acetylated nucleoside were from 40% (adenosine, 1 day incubation) to 100% (thymidine, 1.5 days incubation). Data for the regioselective acylation of sugars and the synthesis of protected peptides are also reported.
IT **72560-67-9P**
RL: PREP (Preparation)
(prepn. of, acylation of nucleosides in anhyd. solvents with subtilisin analogs for)
RN 72560-67-9 HCAPLUS
CN Adenosine, 2'-deoxy-, 5'-acetate (6CI, 9CI) (CA INDEX NAME)

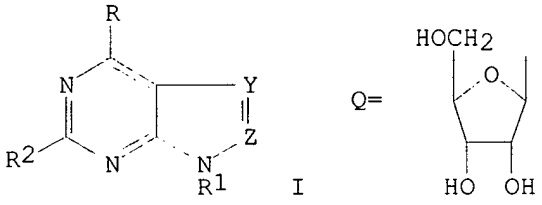
Absolute stereochemistry. Rotation (-).



L76 ANSWER 56 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:122984 HCAPLUS
DN 114:122984
TI Preparation of purines and analogs as selective adenosine receptor agonists or antagonists
IN Peet, Norton P.; Lentz, Nelsen L.; Sunder, Shyam
PA Merrell Dow Pharmaceuticals, Inc., USA
SO Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	EP 390112	A2	19901003	EP 1990-105920	19900328	<--
	EP 390112	A3	19920212			
	EP 390112	B1	19980128			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	ZA 9002280	A	19901228	ZA 1990-2280	19900323	<--
	AU 9052215	A1	19901004	AU 1990-52215	19900326	<--
	AU 630439	B2	19921029			
	IL 93892	A1	19941128	IL 1990-93892	19900326	<--
	HU 53648	A2	19901128	HU 1990-1856	19900327	<--
	HU 206354	B	19921028			
	NO 9001423	A	19901001	NO 1990-1423	19900328	<--
	NO 173996	B	19931122			
	NO 173996	C	19940302			
	CN 1045973	A	19901010	CN 1990-101711	19900328	<--
	CN 1034575	B	19970416			
	FI 95910	B	19951229	FI 1990-1549	19900328	<--
	FI 95910	C	19960410			
	AT 162791	E	19980215	AT 1990-105920	19900328	<--
	ES 2114524	T3	19980601	ES 1990-105920	19900328	<--
	CA 2013380	AA	19900929	CA 1990-2013380	19900329	<--
	CA 2013380	C	20010522			
	JP 02289570	A2	19901129	JP 1990-79072	19900329	<--
	JP 2954971	B2	19990927			
	US 5256650	A	19931026	US 1992-954178	19920930	<--
	US 5329007	A	19940712	US 1993-99023	19930729	<--
	US 5391739	A	19950221	US 1994-207338	19940308	<--
PRAI	US 1989-329919	A	19890329	<--		
	US 1990-551686	B1	19900709	<--		
	US 1991-634024	B1	19910722	<--		
	US 1991-734024	B1	19910722	<--		
	US 1992-873660	B2	19920422	<--		
	US 1992-954178	A3	19920930	<--		
	US 1993-99023	A3	19930729	<--		
OS	MARPAT 114:122984					
GI						

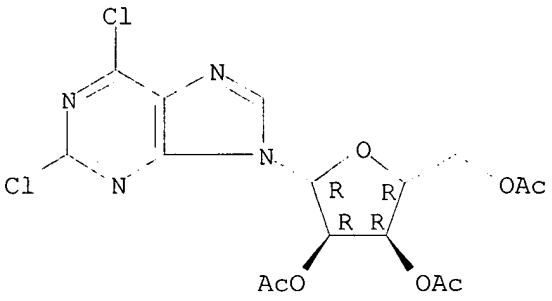


AB The title compds. [I; R = NH(CHX)nPh; X = H, OH, C1-3 (hydroxy)alkyl; n = 1-3; R1 = H, Ph, Q; R2 = H, C1-4 alkyl or alkoxy; Y, Z = N, CH; Y .noteq. Z; when Y = N, R2 = H, and X = MeO, R1 .noteq. Q] which selectively bind to either the A-2 or the A-1 adenosine receptors and in turn selectively result in either a decrease in blood pressure or in heart rate, were prepd. via amination of I (R = Cl; R1, R2, Y, Z as above) with N2N(CHX)nPh or alkoxylation of I (R = R2 = Cl; R1, Y, Z as above) with a C1-4 alkanol. Thus, 5 g 2,6-dichloropurine and 8.4 g ribofuranose tetraacetate were heated at 155.degree. with stirring to give a heterogeneous suspension to which a drop of concd. H2SO4 was added and the reaction mixt. was stirred at 155.degree. to give, after removal of AcOH and trituration with EtOH, 2.31 g 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-9H-purine. This (2.0 g) was refluxed with 0.67 g (S)-PhCH2CH(NH2)CH2OH to give 1.81 g (S)-2-[[9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-2-chloro-1H-purin-6-yl]amino]3-phenylpropanol witch (1.8 g) was refluxed with NaOPr

in PrOH to give 197 mg I [R = (S)-NHCH(CH2Ph)CH2OH, R1 = Q, R2 = OPr, Y = N, Z = CH] (II). A total of 13 I including R isomer of II were prepd. II in vitro inhibited binding of [3H]5'-N-ethylcarboxamidoadenine to the adenosine A-2 receptors with Ki of 1.90 .times. 10-6 and binding of [3H]cycloadenosine to the adenosine A-1 receptors with Ki of 4.40 .times. 10-8. In general I (R1 = Q) act as adenosine receptor agonists which may be effective as antihypertensive agents, antipsychotics, and hypnotics, while I (R1 = H, Ph) act as adenosine receptor antagonists which may be useful as central stimulants, inotropics, cardiotonics, antistress agents, or antiasthmatics.

IT **3056-18-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for adenosine receptor agonist or antagonist)
RN 3056-18-6 HCAPLUS
CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

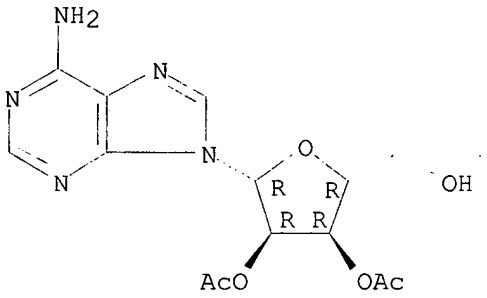


L76 ANSWER 57 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:97280 HCAPLUS
DN 114:97280
TI Activity of influenza C **virus** O-acetylesterase with O-acetyl-containing compounds
AU Garcia-Sastre, Adolfo; Villar, Enrique; Manuguerra, Jean C.; Hannoun, Claude; Cabezas, Jose A.
CS Fac. Biol., Univ. Salamanca, Salamanca, 37008, Spain
SO Biochemical Journal (**1991**), 273(2), 435-41
CODEN: BIJOAK; ISSN: 0306-3275
DT Journal
LA English
AB Influenza C **virus** (strain C/Johannesburg/1/66) was grown, harvested, purified and used as a source for the enzyme O-acetylesterase (EC 3.1.1.53). This activity was studied and characterized with regard to some new substrates. The pH optimum of the enzyme is .apprx.7.6, its stability at different pH values shows a result similar to that of the pH optimum, and its activity is well maintained in the pH range from 7.0 to 8.5 (all these tests were performed with 4-nitrophenyl acetate as substrate). Remarkable differences were found in the values of both Km and Vmax. with the synthetic substrates 4-nitrophenyl acetate, 2-nitrophenyl acetate, 4-methylumbelliferyl acetate, 1-naphthyl acetate, and fluorescein diacetate. The use of 4-nitrophenyl acetate, 4-methylumbelliferyl acetate or 1-naphthyl acetate as substrate seems to be convenient for routine work, but it is better to carry out the measurements in parallel with those on bovine submandibular gland mucin (the latter is a natural and com. available substrate). 4-Acetoxybenzoic acid, as well as the Me ester of 2-acetoxybenzoic acid, but not 2-acetoxybenzoic acid itself, are cleaved by this enzyme. Triacetin,

di-O-acetyladenosine, tri-O-acetyladenosine, and di-O-acetyl-N-acetyladenosine phosphate, hitherto unreported as substrates for this **viral** esterase, are hydrolyzed at different rates by this enzyme. It is concluded that the O-acetylesterase from influenza C **virus** has a broad specificity towards both synthetic and natural nonsialic acid-contg. substrates. Zn2+, Mn2+, and Pb2+ (as their chloride salts), N-acetylneuraminic acid, 4-methyl-umbelliferone, and 2-acetoxybenzoic acid acetylsalicylic acid) did not act as inhibitors.

IT **29886-19-9**
RL: BIOL (Biological study)
(acetylesterase of influenza C **virus** specificity for, structure in relation to)
RN 29886-19-9 HCAPLUS
CN Adenosine, 2',3'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

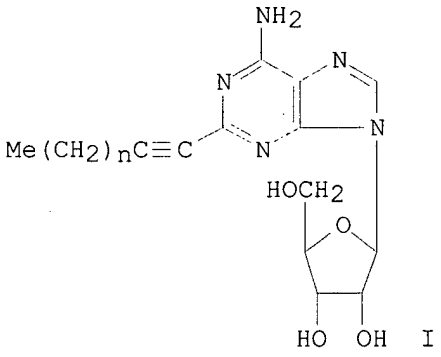
Absolute stereochemistry. Rotation (-).



L76 ANSWER 58 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:17573 HCAPLUS
DN 114:17573
TI Agents for treatment and prophylaxis of ischemic disease of heart or brain
IN Kogi, Kentaro; Abiru, Toichi; Yamaguchi, Toyofumi
PA Yamasa Shoyu Co., Ltd., Japan; Toa Eiyo, Ltd.
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9005526	A1	19900531	WO 1989-JP1158	19891115 <--
	W: JP, KR, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	EP 444196	A1	19910904	EP 1989-912659	19891115 <--
	EP 444196	B1	19940608		
	R: CH, DE, FR, GB, IT, LI				
	US 5270304	A	19931214	US 1991-700156	19910515 <--
PRAI	JP 1988-288513		19881115 <--		
	WO 1989-JP1158		19891115 <--		

OS MARPAT 114:17573
GI



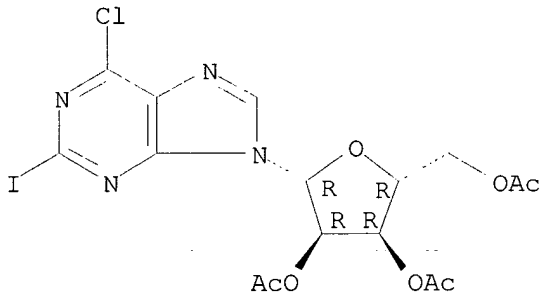
AB The title pharmaceuticals are adenosine derivs. (I; n = 2-15). Soft capsules contg. 25 mg I/capsule (n = 7) were prepd. Blood platelet-coagulation inhibition, the enhancement of blood circulation, and the protection of the brain from ischemia by I were demonstrated in lab. animals. Fourteen I compds. were synthesized.

IT **5987-76-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(deacetylation and dechlorination of)

RN 5987-76-8 HCAPLUS

CN 9H-Purine, 6-chloro-2-iodo-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



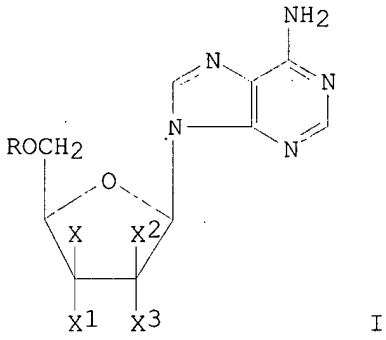
L76 ANSWER 59 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:7095 HCAPLUS
DN 114:7095
TI Preparation of 2',3'-deoxyinosine as **virucide**
IN Amino, Yusuke; Iwagami, Toshio
PA Ajinomoto Co., Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02164895	A2	19900625	JP 1988-320046	19881219 <--
	JP 2770357	B2	19980702		
	US 5290927	A	19940301	US 1989-317567	19890301 <--
	US 5466793	A	19951114	US 1992-860605	19920330 <--
PRAI	JP 1988-48425		19880301 <--		

JP 1988-170963	19880711	<--
JP 1988-310131	19881209	<--
JP 1988-320046	19881219	<--
US 1989-317567	19890301	<--
US 1990-575569	19900831	<--

OS MARPAT 114:7095

GI



I

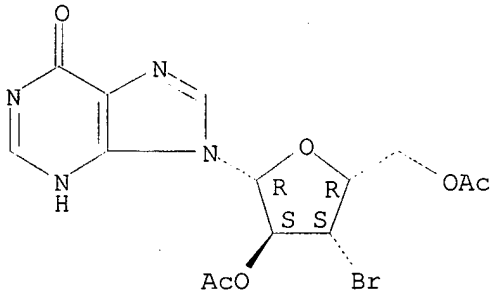
AB The title compd. (I; R = X = X1 = X2 = X3 = H), useful as a **virucide** (no data), was prepd. via treatment of 2',3'-alkoxyalkylidene deriv. I [R = X = X2 = H, X1X3 = OCR1(OR2)O; R1, R2 = alkyl] with an acyl halide or acyl halide/org. acid anhydride, Zn-catalyzed elimination reaction of the resulting I (R = H, readily removable group; X = Cl, Br, or iodo and X1 = X2 = H, X3 = acyloxy; and X = X3 = H, X1 = acyloxy, X2 = Cl, Br, or iodo) followed by removal of Zn complexes, and hydrogenation of the resulting I (R as above; XX2 = bond, X1 = X3 = H) (II) over Pd. The removal of Zn complexes, i.e. poisons for hydrogenation catalyst, is essential in the above process and effectively carried out by treatment with an ion exchanger, silica gel or extn. with H2O or an aq. chelating agent, e.g. EDTA disodium salt. In hydrogenation of II, use of an anhyd. solvent and Pd/C, Pd/CaCO3, or Pd/BaSO4 as the catalyst prevents II from decompn. into hypoxanthine. Thus, treatment of I [R = X = X2 = H, X1X3 = OCMe(OMe)O] (prepn. given) with AcBr in MeCN gave a mixt. contg. I (R = Ac, X = Br, X1 = X2 = H, X3 = OAc), I (R = Ac, X = X3 = H, X1 = OAc, X2 = Br), and I (R = Ac, X = X2 = H, X1 = X3 = OAc) which was stirred with Zn powder in MeOH-MeCN contg. AcOH. Unreacted Zn was removed by filtration through Celite and the filtrate was treated with NaHCO3 and evapd. in vacuo to give a residue which was dissolved in CHCl3 and stirred with H2O to give 34.7% I (R = Ac, XX2 = bond, X1 = X3 = H). Hydrogenation of the latter over 30% Pd/BaCO3 in EtOH gave 88.4% I (R = Ac, X = X1 = X2 = X3 = H) and 9.9% hypoxanthine.

IT **125790-82-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and zinc-catalyzed elimination of)

RN 125790-82-1 HCAPLUS

CN 6H-Purin-6-one, 9-(2,5-di-O-acetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

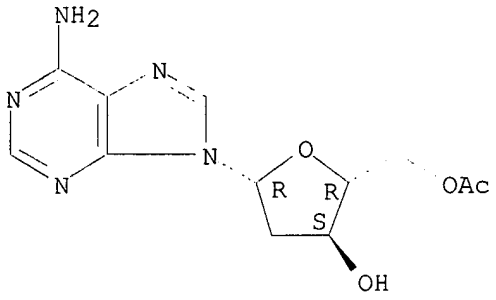


L76 ANSWER 60 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1991:5485 HCAPLUS
DN 114:5485
TI Enantio- and regioselective syntheses of organic compounds using enol esters as irreversible transacylation reagents
IN Wong, Chi Huey; Wang, Yi Fong; Hennen, William J.; Babiak, Kevin Anthony
PA Searle, G. D., and Co., USA
SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 357009	A2	19900307	EP 1989-115956	19890830 <--
	EP 357009	A3	19901219		
	EP 357009	B1	19940302		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5106750	A	19920421	US 1989-396723	19890824 <--
	JP 02167098	A2	19900627	JP 1989-224323	19890830 <--
	JP 2843606	B2	19990106		
	EP 560408	A1	19930915	EP 1993-107522	19890830 <--
	EP 560408	B1	20000405		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 102255	E	19940315	AT 1989-115956	19890830 <--
	ES 2061844	T3	19941216	ES 1989-115956	19890830 <--
	AT 191509	E	20000415	AT 1993-107522	19890830 <--
	ES 2145017	T3	20000701	ES 1993-107522	19890830 <--
	US 5585252	A	19961217	US 1994-309716	19940921 <--
PRAI	US 1988-238358	A	19880830 <--		
	US 1989-396723	A	19890824 <--		
	EP 1989-115956	A	19890830 <--		
	US 1991-704687	B1	19910517 <--		
	US 1992-945196	B1	19920915 <--		

AB A method for prepn. of enantio- and regioselective enzyme-catalyzed acylation of alcs. by using enol esters is described. Sugars, nucleosides and glycosides are also regioselectively acylated. The enol obtained tautomerizes to the carbonyl compd., thus preventing the reverse reaction from occurring. Thus, glycidol, was allowed to react with vinyl propionate in CHCl3 and toluene in the presence of pancreatic lipase to give the (S)-ester.
IT **72560-67-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by regioselective acylation)
RN 72560-67-9 HCAPLUS
CN Adenosine, 2'-deoxy-, 5'-acetate (6CI, 9CI) (CA INDEX NAME)

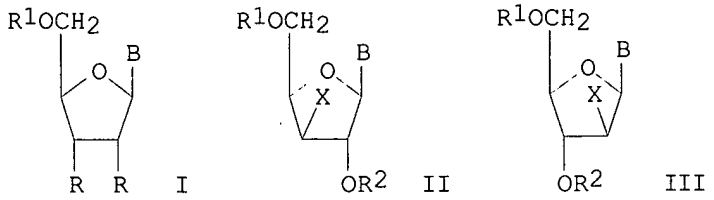
Absolute stereochemistry. Rotation (-).



L76 ANSWER 61 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1990:532720 HCAPLUS
DN 113:132720
TI Preparation of dideoxynucleosides as **antiviral** agents
IN Shiragami, Hiroshi; Irie, Yasuo; Iwagami, Toshio
PA Ajinomoto Co., Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	JP 02117689	A2	19900502		JP 1988-310131	19881209	<--
	JP 06092396	B4	19941116				
	US 5290927	A	19940301		US 1989-317567	19890301	<--
	US 5466793	A	19951114		US 1992-860605	19920330	<--
PRAI	JP 1988-170963		19880711	<--			
	JP 1988-48425		19880301	<--			
	JP 1988-310131		19881209	<--			
	JP 1988-320046		19881219	<--			
	US 1989-317567		19890301	<--			
	US 1990-575569		19900831	<--			

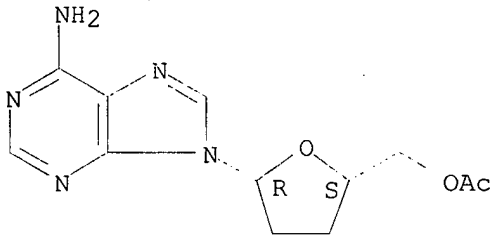
OS MARPAT 113:132720
GI



AB The title compds. I (R = H; R1 = H, SiH3, C6-18 aralkyl, C1-12 acyl or alkyl; B = pyrimidine, imidazole, or triazole base bonded to sugar residue at 1-position or purine base bonded to sugar residue at 9-position), having **antiviral** activity and useful in treatment of AIDS (no data), are prepd. by conversion of I (R = OH) to deoxynucleosides II or III (R1, B same as I ; R2 = H, C1-12 acyl; X = halo) and redn. of the resulting compds. with H in presence of Pd and alkalis in H2O-org. solvents. Thus, II (R1 = R2 = Ac, B = adenin-9-yl, X = Br), Pd/C, Na2CO3, and AcONa were stirred in MeCN-H2O under bubbling H at room temp. for 2 h to give 73.5% 5'-acetyl-2',3'-dideoxyadenosine, whose hydrolysis by aq. NaOH at room temp. for 1 h gave 69.2% 2',3'-dideoxyadenosine.

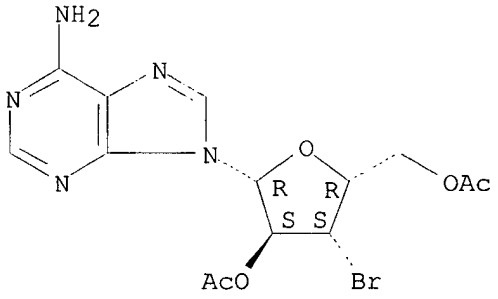
IT **108183-09-1P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as **antiviral** agent)
RN 108183-09-1 HCAPLUS
CN 2-Furanmethanol, 5-(6-amino-9H-purin-9-yl)tetrahydro-, acetate (ester), (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



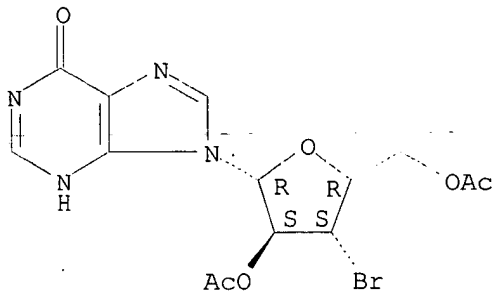
IT **62805-48-5**, 9-(2,5-O-Diacetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)adenine **125790-82-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(redn. of)
RN 62805-48-5 HCAPLUS
CN 9H-Purin-6-amine, 9-(2,5-di-O-acetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 125790-82-1 HCAPLUS
CN 6H-Purin-6-one, 9-(2,5-di-O-acetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

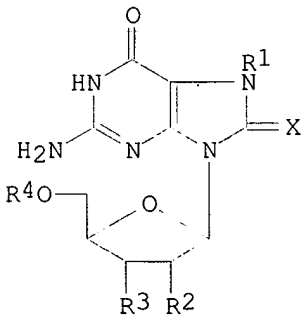
Absolute stereochemistry.



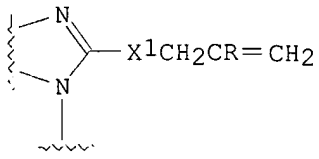
L76 ANSWER 62 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1990:217466 HCAPLUS
DN 112:217466
TI Preparation of immunostimulating guanine derivatives
IN Hennen, William J.; Goodman, Michael; Weigle, William; Bell, Stanley; Chen, Robert; Robins, Roland K.
PA Scripps Clinic and Research Foundation, USA
SO Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 341065	A2	19891108	EP 1989-304510	19890504 <--
	EP 341065	A3	19900613		
	EP 341065	B1	19940727		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5011828	A	19910430	US 1988-190697	19880505 <--
	DK 8902171	A	19891106	DK 1989-2171	19890503 <--
	DK 170779	B1	19960115		
	AU 8933998	A1	19891109	AU 1989-33998	19890503 <--
	AU 620398	B2	19920220		
	CA 1318666	A1	19930601	CA 1989-598700	19890504 <--
	JP 02085293	A2	19900326	JP 1989-113991	19890506 <--
	US 5136030	A	19920804	US 1991-693934	19910429 <--
	AU 9188345	A1	19920213	AU 1991-88345	19911129 <--
	AU 634679	B2	19930225		
PRAI	US 1988-190697		19880505 <--		
	US 1983-546679		19831101 <--		
	US 1985-798629		19851115 <--		

OS MARPAT 112:217466
GI



I



II

AB The title compds. [I; X = O, S, Se, NCN; R1 = hydrocarbyl; R2, R3 = H, OH, alkoxy, alkaonyloxy, etc.; R4 = H, alkanoyl, Bz] and their pharmaceutically acceptable salts, useful as immunostimulants, are prepd., e., via rearrangement of guanosine derivs. II (R = H, alkyl, PhCH2; X = O, S, Se]. 8-Thioxoguanosine was heated in DMF contg. K2CO3 at 40.degree. for 90 min to give 8-(2-propenylthio)guanosine, which was refluxed in CHCl3 contg. Me3SiOCMe: NSiMe3 for 16 h to give 7-allyl-8-thioxoguanosine. Immunostimulant tablets, capsules, injections, and oral pharmaceutical prepns. were formulated. At 3 .times. 10-4M 7-(2-butenyl)-8-oxoguanosine stimulated the formation of antibodies by CPA/CaJ mouse lymphocyte against

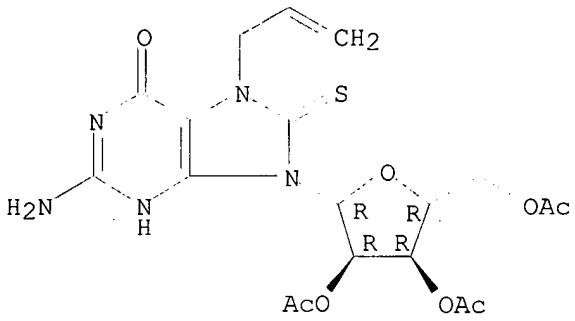
sheep erythrocytes.

IT **126092-87-3P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as immunostimulant)

RN 126092-87-3 HCAPLUS

CN Guanosine, 7,8-dihydro-7-(2-propenyl)-8-thioxo-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 63 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1990:158841 HCAPLUS

DN 112:158841

TI Preparation of immunostimulating guanosine derivatives

IN Goodman, Michael; Chen, Robert

PA Scripps Clinic and Research Foundation, USA

SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW

DT Patent

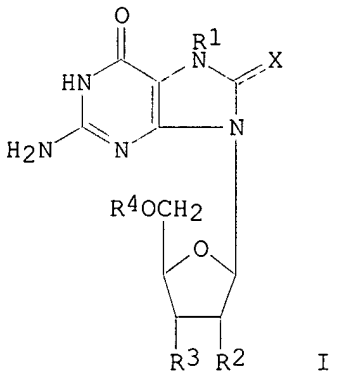
LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 341066	A2	19891108	EP 1989-304511	19890504	<--
	EP 341066	A3	19900530			
	EP 341066	B1	19941109			
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
	US 5093318	A	19920303	US 1988-190694	19880505	<--
	DK 8902172	A	19891106	DK 1989-2172	19890503	<--
	DK 170780	B1	19960115			
	AU 8933999	A1	19891109	AU 1989-33999	19890503	<--
	AU 619539	B2	19920130			
	CA 1320196	A1	19930713	CA 1989-598688	19890504	<--
	ES 2063125	T3	19950101	ES 1989-304511	19890504	<--
	JP 02056496	A2	19900226	JP 1989-113992	19890506	<--
	JP 2790846	B2	19980827			
PRAI	US 1988-190694		19880505	<--		
	US 1983-546679		19831101	<--		
	US 1985-798629		19851115	<--		

OS MARPAT 112:158841

GI



I

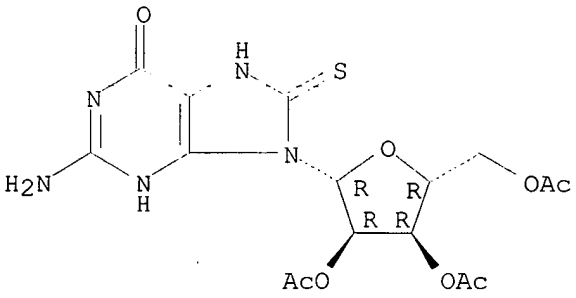
AB The title compds. [I; X = O, S, Se, NSN; R1 = substituted hydrocarbyl; R2, R3 = H, OH alkoxy, alkanoyloxy, etc.; R4 = H, alkanoyl, Bz] and their pharmaceutically acceptable salts, useful as immunostimulants, are prepd. E.g., 7-(heteroatom-substituted hydrocarbyl)-8-thioxoguanine is reacted with a suitable reactive deriv. of ribose to give the desired guanosine deriv. No specifics synthetic procedures are given. Immunostimulant tablets, injections, capsules and pharmaceutical oral prepns. contg. I are given. 2-(Ethoxycarbonylmethyl)-8-oxoguanosine at 10-4 M stimulated antibody formation by CBA/CaJ mouse leukocytes against sheep erythrocytes.

IT **126092-41-9DP**, 7-heteroatom-substituted hydrocarbyl
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as immunostimulant)

RN 126092-41-9 HCAPLUS

CN Guanosine, 7,8-dihydro-8-thioxo-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 64 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1990:139742 HCAPLUS

DN 112:139742

TI Preparation of 2'-deoxy-2'-halo- or 3'-deoxy-3'-halo nucleosides by reaction of 2',3'-O-alkoxyalkylidene or alkoxyaryl alkylidenenucleosides with acyl halides

IN Honda, Yutaka; Arai, Masayuki; Kaita, Masato; Iwagami, Toshio

PA Ajinomoto Co., Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF

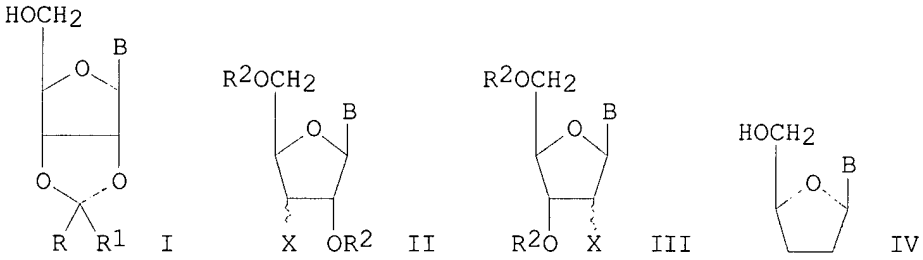
DT Patent

LA Japanese

FAN.CNT 3

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	JP 01224390	A2	19890907		JP 1988-48425	19880301	<--
	JP 07017670	B4	19950301				
	US 5290927	A	19940301		US 1989-317567	19890301	<--
	US 5466793	A	19951114		US 1992-860605	19920330	<--
PRAI	JP 1988-48425		19880301	<--			
	JP 1988-170963		19880711	<--			
	JP 1988-310131		19881209	<--			
	JP 1988-320046		19881219	<--			
	US 1989-317567		19890301	<--			
	US 1990-575569		19900831	<--			

GI



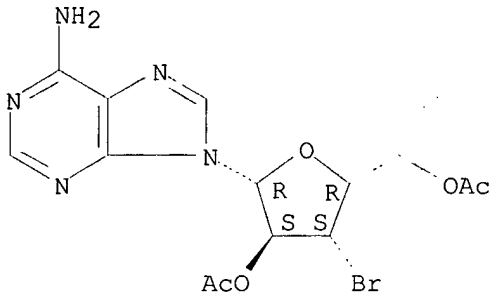
AB Reaction of 2',3'-O-alkoxyalkylidene or -alkoxyarylalkylidenenucleosides (I; R = alkoxy; R1 = alkyl, aryl; B = purine or pyrimidine base residue) with (1) acyl halides or (2) org. acid anhydride and hydrogen halide in a solvent contg. an org. acid gives 2'-deoxy-2'-halo- or 3'-deoxy-3'-halonucleotides (II and III; X = halo, R2 = acyl) which are useful as intermediates for drugs and can be converted into 2',3'-dideoxynucleoside (IV) with **antiviral** activity (no data), by dehalogenation and deacyloxylation. Thus, 1 mmol 2',3'-O-(1-methoxyethylidene)adenosine was gradually added to a soln. of 4 mmol AcBr in AcOH. The mixt. was stirred 2 h at room temp. to give 82% a mixt. of 9-(3'-bromo-3'-deoxy-2',5'-di-O-acetyl)-.beta.-D-xylofuranosyl)adenine and 9-(2'-bromo-2'-deoxy-3',5'-di-O-acetyl-.beta.-D-xylofuranosyl)adenine which was hydrogenated over 2% Pd/C in EtOH contg. Et3N to give, after deacetylation with MeONa/MeOH, 2',3'-dideoxyadenosine 45 and 3'-deoxyadenosine 17%.

IT **62805-48-5P 125790-82-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by reaction of (methoxyethylidene)nucleoside with acetyl bromide)

RN 62805-48-5 HCAPLUS

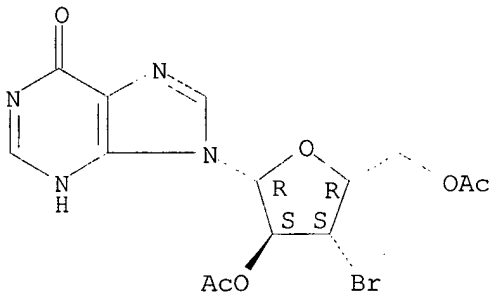
CN 9H-Purin-6-amine, 9-(2,5-di-O-acetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 125790-82-1 HCAPLUS
CN 6H-Purin-6-one, 9-(2,5-di-O-acetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

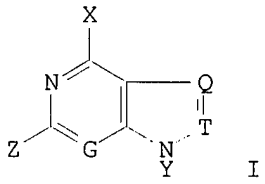
Absolute stereochemistry.



L76 ANSWER 65 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1990:77867 HCAPLUS
DN 112:77867
TI Preparation and testing of antitumor 6-sulfenamido-, 6-sulfinamido-, and 6-sulfonamidopurines, nucleosides, nucleotides, and related compounds
IN Robins, Roland Kenith; Revankar, Ganapathi Ramakrishma; Hanna, Naeem Botros
PA Nucleic Acid Research Institute, USA
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8905817	A1	19890629	WO 1988-US4393	19881213 <--
	W: AU, DK, JP, KR, NO				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	US 5026836	A	19910625	US 1988-275113	19881122 <--
	CA 1317291	A1	19930504	CA 1988-585590	19881212 <--
	AU 8928167	A1	19890719	AU 1989-28167	19881213 <--
	EP 353268	A1	19900207	EP 1989-900773	19881213 <--
	EP 353268	B1	19940810		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	ES 2013379	A6	19900501	ES 1988-3792	19881213 <--
	JP 02502912	T2	19900913	JP 1989-500646	19881213 <--
	NO 8903255	A	19891016	NO 1989-3255	19890814 <--
PRAI	US 1987-133143		19871214 <--		
	US 1988-275113		19881122 <--		

WO 1988-US4393 19881213 <--
OS MARPAT 112:77867
GI



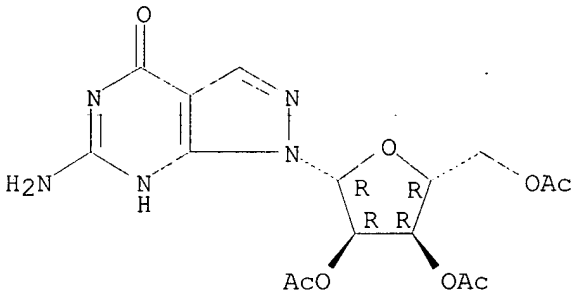
I

AB The title compds. [I; Z = H, NH2; X = S(O)nNH2; n = 0, 1, 2; G, T, Q = CH, N; Y = H, .alpha.-pentofuranosyl, .beta.-pentofuranosyl] and their pharmaceutically acceptable salts, useful as antitumors, are prepd. Thioguanosine was treated with freshly prepd. chloramine to give 2-amino-9-.beta.-D-ribofuranosyl-9H-purine-6-sulfenamide, which was oxidized with m-ClC6H4C(O)OOH to give 2-amino-9-.beta.-D-ribofuranosyl-9H-purine-6-sulfinamide (II). II 22 mg/kg i.p. twice a day at day 1, 4, and 7 increased the life span of mice transplanted with 106 L1210 lymphoid leukemia cells by 161%. An injection comprising 250-1000 mg II and water q.s. was formulated.

IT **124416-67-7P 124416-68-8P 124509-03-1P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antitumor)

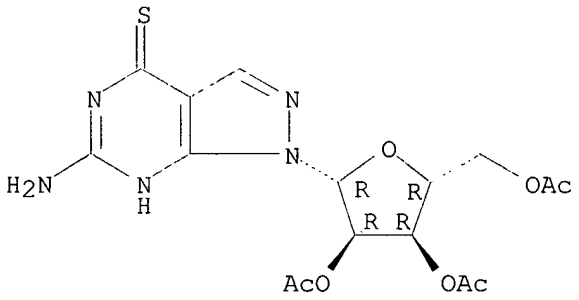
RN 124416-67-7 HCAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 6-amino-1,5-dihydro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



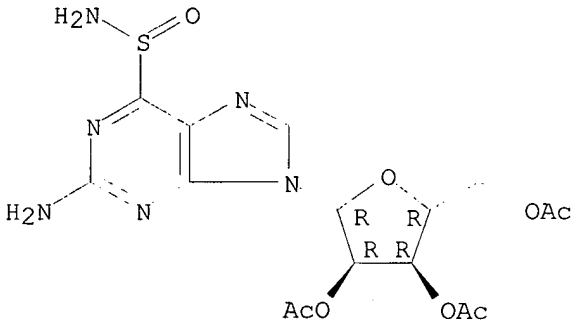
RN 124416-68-8 HCAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidine-4-thione, 6-amino-1,5-dihydro-1-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 124509-03-1 HCAPLUS
CN 9H-Purine-6-sulfinamide, 2-amino-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 66 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1990:7855 HCAPLUS
DN 112:7855
TI Preparation of acyl 2'-deoxyribonucleoside derivatives for treating or preventing biological damage caused by radiation, mutagens, or sunlight
IN Von Borstel, Reid Warren; Bamat, Michael Kevin
PA Pro-Neuron, Inc., USA
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8903838	A1	19890505	WO 1988-US3824	19881027 <--
	W: AU, BR, DK, FI, JP, KR, NO, SU, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8827226	A1	19890523	AU 1988-27226	19881027 <--
	AU 610315	B2	19910516		
	JP 02500373	T2	19900208	JP 1988-509388	19881027 <--
	JP 2637534	B2	19970806		
	EP 355131	A1	19900228	EP 1988-910239	19881027 <--
	EP 355131	B1	19960904		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	ZA 8808083	A	19900627	ZA 1988-8083	19881027 <--
	CA 1329932	A1	19940531	CA 1988-581430	19881027 <--
	EP 712629	A1	19960522	EP 1995-203050	19881027 <--
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				

	AT 142221	E	19960915	AT 1988-910239	19881027	<--
	IN 167609	A	19901124	IN 1988-MA754	19881028	<--
	IL 88204	A1	19960618	IL 1988-88204	19881028	<--
	FI 8903100	A	19890626	FI 1989-3100	19890626	<--
	FI 91764	B	19940429			
	FI 91764	C	19940810			
	NO 8902642	A	19890824	NO 1989-2642	19890626	<--
	NO 174392	B	19940117			
	NO 174392	C	19940427			
	DK 8903180	A	19890828	DK 1989-3180	19890627	<--
	DK 174400	B1	20030210			
	US 5246708	A	19930921	US 1992-911379	19920713	<--
	US 6020320	A	20000201	US 1993-153163	19931117	<--
	FI 9401245	A	19940316	FI 1994-1245	19940316	<--
	FI 97891	B	19961129			
	FI 97891	C	19970310			
	IN 177670	A	19970215	IN 1994-CA701	19940902	<--
	JP 07267981	A2	19951017	JP 1994-299158	19941026	<--
	JP 2764014	B2	19980611			
	US 5770582	A	19980623	US 1995-419767	19950410	<--
	US 6054441	A	20000425	US 1995-463790	19950605	<--
	US 6060459	A	20000509	US 1995-465016	19950605	<--
	US 6297222	B1	20011002	US 1995-466379	19950606	<--
	US 6348451	B1	20020219	US 1995-478736	19950607	<--
	AU 9952624	A1	19991202	AU 1999-52624	19991001	<--
PRAI	US 1987-115923	A2	19871028	<--		
	US 1988-186031	B2	19880425	<--		
	EP 1988-910239	A3	19881027	<--		
	WO 1988-US3824	A	19881027	<--		
	US 1989-341925	B1	19890421	<--		
	FI 1989-3100	A	19890626	<--		
	US 1990-487984	B2	19900205	<--		
	US 1990-533933	B1	19900605	<--		
	US 1991-653882	B2	19910208	<--		
	IN 1992-CA473	A1	19920706	<--		
	US 1992-911379	A3	19920713	<--		
	US 1992-925931	B2	19920807	<--		
	US 1992-958598	B3	19921007	<--		
	US 1993-96407	B1	19930726	<--		
	US 1993-98884	B1	19930729	<--		
	US 1993-149469	B1	19931109	<--		
	US 1994-289214	A3	19940812	<--		
	US 1994-309572	A3	19940921	<--		
	AU 1995-29150	A3	19950630	<--		
OS	MARPAT 112:7855					
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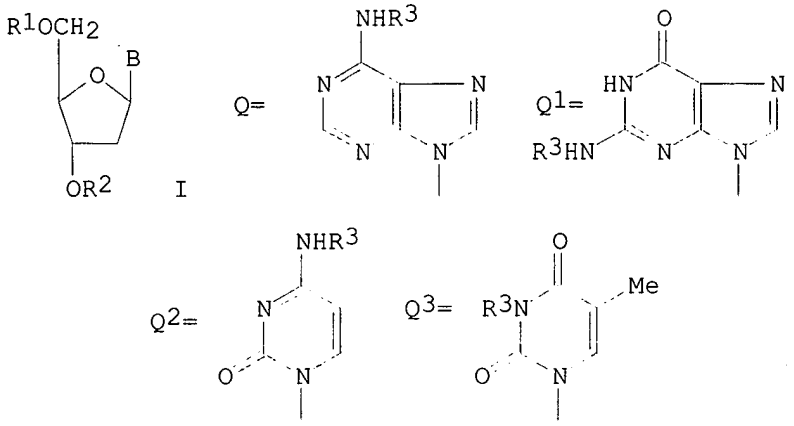


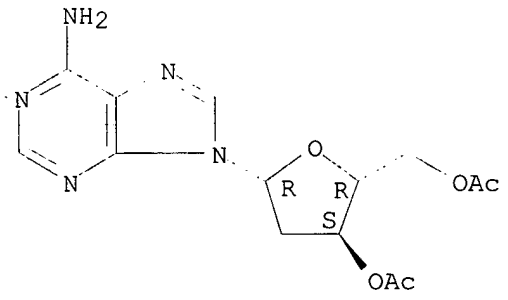
AB The title compds. (I; B = Q, Q1, Q2; R1-R3 = C3-22 unbranched fatty acyl, H-Gly, H-Ala, H-Val, H-Leu, H-Ile, H-Tyr, H-Pro, H-Hyp, H-Ser, H-Thr, H-Cys, H-Asp, H-Glu, H-Arg, H-Lys, H-His, H-Orn, carnitine, nicotinoyl, C3-22 dicarboxylic acid residue; provided R1-R3 do not all = H, and where R3 .noteq. H, R1 and/or R2 = Ac) and I [B = Q3; R1 = C3-15 or 17-22 unbranched fatty acyl, the above acids or amino acids, R2 = R3 = H; R1 = R3 = H, R2 = C3-13 or 15-22 unbranched fatty acyl, the above acids or amino acids; R1, R2 = C5-12 unbranched fatty acyl, the above acids or amino acids, R3 = H; or R1, R2 = C2-22 unbranched fatty acyl, the above acids or amino acids, R3 = (un)substituted Bz, nontoxic heterocyclic carboxylic acid residue] (II), also useful for wound healing and tissue repair, are prepd. Esterification of 2'-deoxycytidine-HCl with palmitoyl chloride in DMF gave 5'-O-palmitoyl-2'-deoxycytidine which was condensed with BOC-Lys(BOC)-OH (BOC = Me3CO2C) in DMF contg. DCC to give, after deprotection, N-lysyl-5'-O-palmitoyl-2'-deoxycytidine. After receiving .gamma.-ray irradn. (60Co) at 7.3 Rad/min and total doses of 750 Rad, mice administered 5'-O-palmitoyl-2'-deoxyadenosine, -deoxyguanosine, -deoxycytidine, and -thymidine at 8 .mu.moles/0.2 .mu.L physiol. saline 3 times daily for 4 days i.p. had 100% survival rate at 30 days vs. 80% and 0% for the corresponding 3',5'-di-O-acetyl-2'-deoxyribonucleosides and saline (control).

IT **17318-24-0P**, 3',5'-Di-O-acetyldeoxyadenosine **69992-10-5P**, 3',5'-Di-O-acetyldeoxyguanosine **72560-67-9P**, 5'-O-Acetyldeoxyadenosine **124169-70-6P 124169-72-8P**, 5'-O-Palmitoyldeoxyguanosine **124169-78-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for enhancement of wound healing and tissue repair)

RN 17318-24-0 HCAPLUS

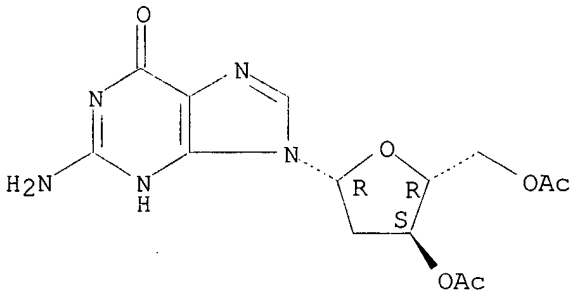
CN Adenosine, 2'-deoxy-, 3',5'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



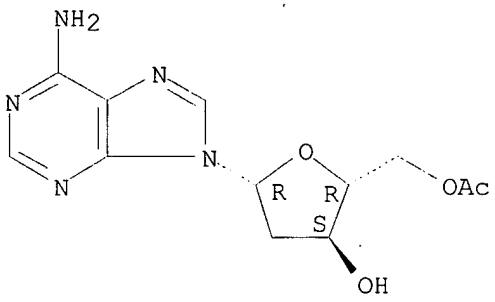
RN 69992-10-5 HCAPLUS
CN Guanosine, 2'-deoxy-, 3',5'-diacetate (6CI, 7CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



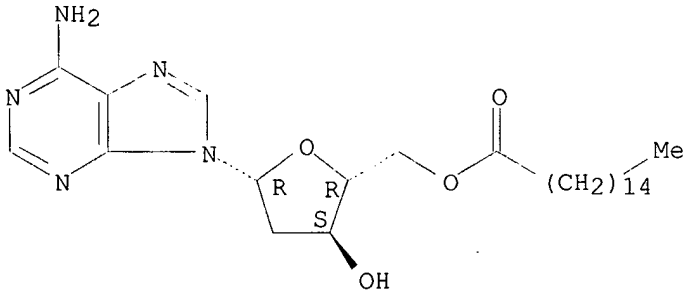
RN 72560-67-9 HCAPLUS
CN Adenosine, 2'-deoxy-, 5'-acetate (6CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



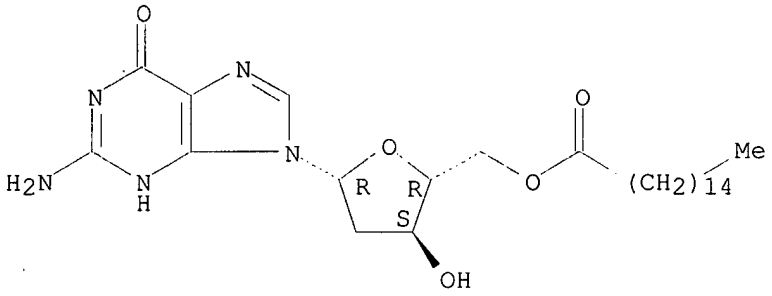
RN 124169-70-6 HCAPLUS
CN Adenosine, 2'-deoxy-, 5'-hexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



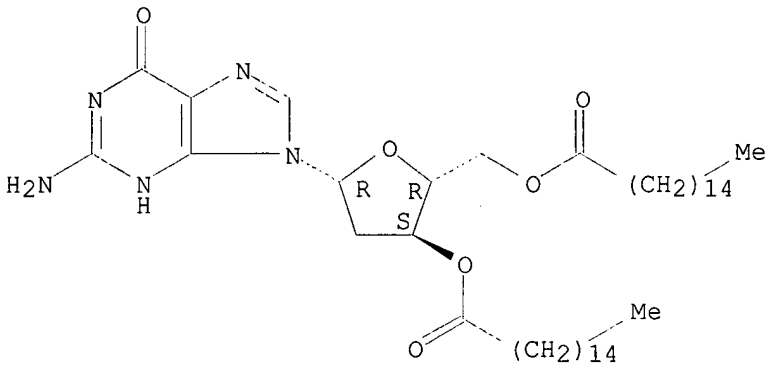
RN 124169-72-8 HCAPLUS
CN Guanosine, 2'-deoxy-, 5'-hexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 124169-78-4 HCAPLUS
CN Guanosine, 2'-deoxy-, 3',5'-dihexadecanoate (9CI) (CA INDEX NAME)

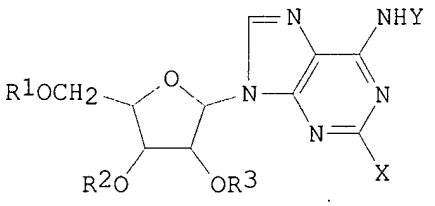
Absolute stereochemistry.



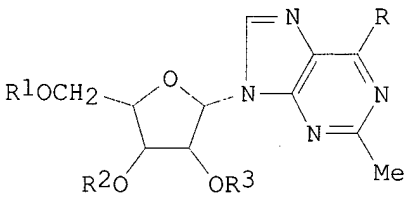
L76 ANSWER 67 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1988:549992 HCAPLUS
DN 109:149992
TI Preparation of alkylated adenosines as antihypertensive agents
IN Yamada, Toshio; Kageyama, Kenichi
PA Nippon Zoki Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	EP 269574	A2	19880601		EP 1987-810687	19871123	<--
	EP 269574	A3	19890322				
	EP 269574	B1	19920318				
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE						
	JP 63239294	A2	19881005		JP 1987-294744	19871120	<--
	JP 07023394	B4	19950315				
	US 4843066	A	19890627		US 1987-123519	19871120	<--
	AT 73815	E	19920415		AT 1987-810687	19871123	<--
	JP 06157319	A2	19940603		JP 1993-180847	19930625	<--
	JP 2509140	B2	19960619				
PRAI	JP 1986-283904		19861127	<--			
	JP 1987-294744		19871120	<--			
	EP 1987-810687		19871123	<--			

OS MARPAT 109:149992
GI



I



II

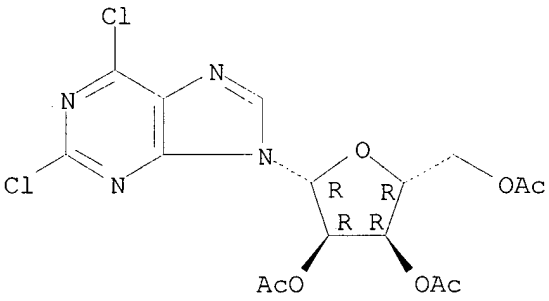
AB The title compds. (I; R1,R2,R3 = H, alkyl; X = H, alkyl, NH2, halo; Y = H, alkyl) were prepd. 5-Amino-1-.beta.-D-ribofuranosyl-4-imidazolecarboxamide, NaOEt, and AcOEt were heated at 120.degree. for 3 h and the product stirred 12 h with Ac2O in pyridine to give ribofuranosylpurine II (R = OH, R1-R3 = Ac) which was refluxed 2 h with SOCl2 in DMF to give II (R = Cl, R1 - R3 = Ac). The latter was heated 8 h in an autoclave with NH3 and MeOH to give II (R = NH2, R1-R3 = H) which was stirred 2 h with CH2N2 in MeOH-(MeOCH2)2 contg. SnCl2.2H2O to give 2,2'- and 2,3'-O-dimethyladenosine. I (R1 = X = Y = Me, R2 = R3 = H), at 10 mg/kg orally in rats, gave 43.3 and 23.0% redn. of blood pressure at 2 and 6 h, resp., after administration.

IT **3056-18-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amino substitution in, by chlorine)

RN 3056-18-6 HCAPLUS

CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

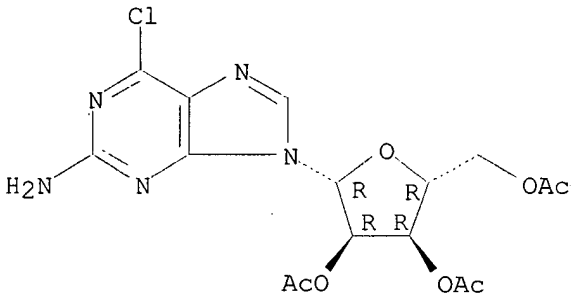


IT **16321-99-6P 42890-31-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of antihypertensives)

RN 16321-99-6 HCAPLUS

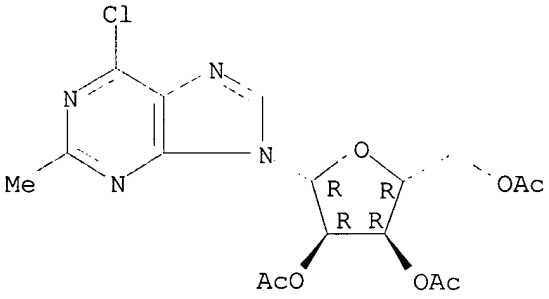
CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

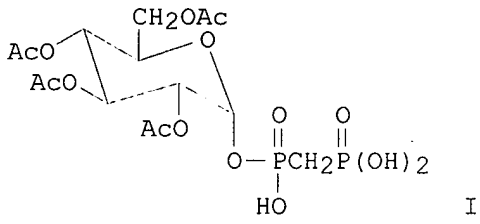


RN 42890-31-3 HCAPLUS
CN 9H-Purine, 6-chloro-2-methyl-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-
(9CI) (CA INDEX NAME)

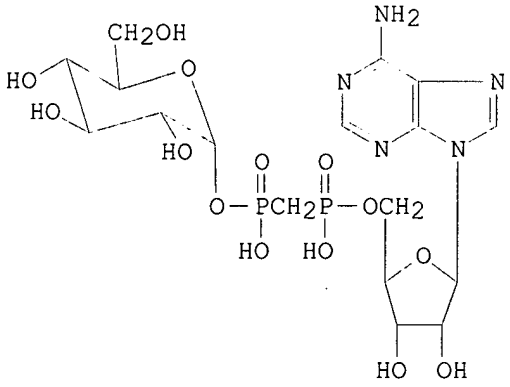
Absolute stereochemistry.



L76 ANSWER 68 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1987:459401 HCAPLUS
DN 107:59401
TI Synthesis of certain nucleoside methylenediphosphonate sugars as potential inhibitors of glycosyltransferases
AU Vaghefi, Morteza M.; Bernacki, Ralph J.; Hennen, William J.; Robins, Roland K.
CS Cancer Res. Cent., Brigham Young Univ., Provo, UT, 84602, USA
SO Journal of Medicinal Chemistry (**1987**), 30(8), 1391-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 107:59401
GI



I



II

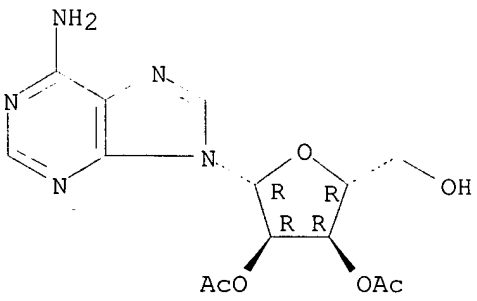
AB .beta.-D-Glucopyranose pentaacetate was fused with (HO)2P(O)CH2P(O)(OPh)2 and the product was hydrogenolyzed (PtO2, H) to give glucopyranosyl methylenediphosphonate (I), which was condensed with 2',3'-di-O-acetyladenosine in pyridine in the presence of 1-(mesitylene-2-sulfonyl)-3-nitro-1,2,4-triazole and the product was O-deacetylated with NH4OH to give adenosine methylenediphosphonate glucopyranose (II). Analogously prepd. were uridine methylenediphosphonate galactopyranose (III) and guanosine methylenediphosphonate mannopyranose (IV). II at concn. .ltoreq.50 .mu.g/mL was without significant inhibitory effect on galactosyltransferase while III at 1 .mu.g/mL concn. reduced galactosyltransferase activity by >40%. II, III, and IV showed no in vitro antitumor or **antiviral** activity.

IT **29886-19-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with glucopyranosyl methylenediphosphonate)

RN 29886-19-9 HCAPLUS

CN Adenosine, 2',3'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



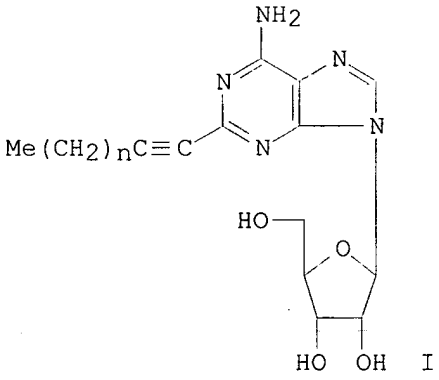
L76 ANSWER 69 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1987:440277 HCAPLUS

DN 107:40277
TI 2-Alkynyladenosines as antihypertensives
IN Miyasaka, Tadashi; Matsuda, Akira; Abiru, Toichi; Machida, Haruhiko
PA Yamasa Shoyu Co., Ltd., Japan
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 219876	A2	19870429	EP 1986-114749	19861023 <--
	EP 219876	A3	19880427		
	EP 219876	B1	19920205		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	JP 62099395	A2	19870508	JP 1985-240137	19851025 <--
	JP 01033477	B4	19890713		
	JP 62099330	A2	19870508	JP 1986-159418	19860707 <--
	JP 02017526	B4	19900420		
	CA 1282065	A1	19910326	CA 1986-521169	19861022 <--
	AT 72448	E	19920215	AT 1986-114749	19861023 <--
	US 4956345	A	19900911	US 1988-282892	19881212 <--
PRAI	JP 1985-240137		19851025 <--		
	EP 1986-114749		19861023 <--		
	US 1986-924345		19861024 <--		

GI



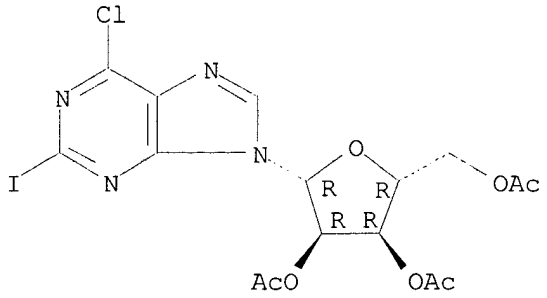
I

AB The title compds. (I; n = 2-15) were prepd. as antihypertensive agents. 6-Chloro-2-iodo-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)purine was heated with NH3/MeOH in a sealed tube at 60.degree. for 17 h to give 90% iodoadenosine. This was condensed with Me(CH2)13 C.tplbond.CH in DMF contg. Et3N, (Ph3P)2PdCl2, and CuI under stirring at 80.degree. overnight to give I (n = 13) (II). In male rats 3 mg II/kg reduced blood pressure by 48 mmHg.

IT **5987-76-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of)

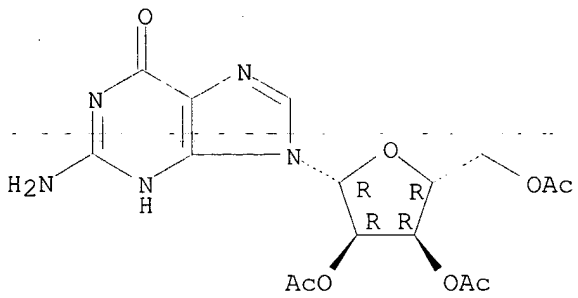
RN 5987-76-8 HCAPLUS
CN 9H-Purine, 6-chloro-2-iodo-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 70 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1987:419347 HCAPLUS
DN 107:19347
TI Modifications of nucleic acid precursors that inhibit plant **virus** multiplication
AU Dawson, William O.; Boyd, Carol
CS Dep. Plant Pathol., Univ. California, Riverside, CA, 92521, USA
SO Phytopathology (**1987**), 77(3), 477-80
CODEN: PHYTAJ; ISSN: 0031-949X
DT Journal
LA English
AB The relationship between chem. modifications of normal nucleic acid base or nucleoside precursors and ability to inhibit multiplication of tobacco mosaic **virus** or cowpea chlorotic mottle **virus** in disks from mech. inoculated leaves was tested with 131 analogs. Chems. tested were selected from 10 general classes of modifications to det. the types of modifications of normal nucleic acid precursors that have greater probabilities of inhibiting **virus** multiplication. No inhibitory chems. were found in several classes. Classes of modifications with the highest proportion of **antiviral** activity were modification of the sugar moiety (five of 13 chem. were inhibitory) and addn. of abnormal side groups (three of seven chems. were inhibitory). Eight new inhibitors of **virus** multiplication were identified: 6-aminocytosine; 6-ethylmercaptopurine; isopentenyladenosine; 2-thiopyrimidine; 2,4-dithiomercaptopurine; melamine; 5'-iodo-5'-deoxyadenosine; and 5'-methyl-5'-deoxythioadenosine.
IT **6979-94-8**, 2',3',5'-Tri-o-acetylguanosine
RL: BIOL (Biological study)
(cowpea chlorotic mottle **virus** and tobacco mosaic **virus** multiplication response to, structure in relation to)
RN 6979-94-8 HCAPLUS
CN Guanosine, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

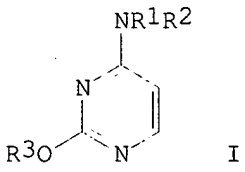
Absolute stereochemistry.



L76 ANSWER 71 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1986:609351 HCAPLUS
DN 105:209351
TI Cytosine nucleosides
IN Kawada, Mitsuru; Matsumoto, Kiyoharu; Tsurushima, Masaaki
PA Takeda Chemical Industries, Ltd. , Japan
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 193903	A2	19860910	EP 1986-102695	19860301 <--
	EP 193903	A3	19880107		
	EP 193903	B1	19900613		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 61204193	A2	19860910	JP 1985-44219	19850305 <--
	US 4689404	A	19870825	US 1986-831441	19860220 <--
	AT 53588	E	19900615	AT 1986-102695	19860301 <--
	ES 552620	A1	19870901	ES 1986-552620	19860304 <--
	CA 1262897	A1	19891114	CA 1986-503231	19860304 <--
	CN 86101400	A	19860910	CN 1986-101400	19860305 <--
	CN 1012366	B	19910417		
PRAI	JP 1985-44219		19850305 <--		
	EP 1986-102695		19860301 <--		

GI



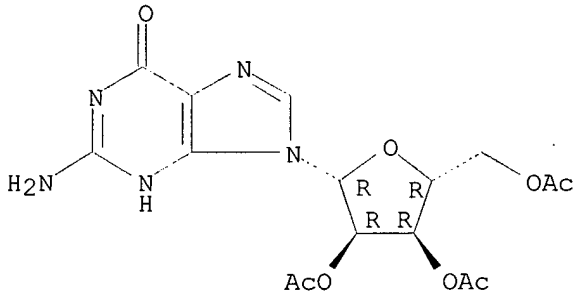
I

AB The title compds., useful as drugs or drug intermediates (no data), were advantageously prepd. by treating peracylcytosines I (R1, R3 = carboxylic acid-derived acyl, preferably Ac, Bz; R2 = H, R1) with a hydroxy-protected monosaccharide, or a hydroxy-protected nucleoside of a base other than cytosine, in the presence of a Lewis acid. The process avoids the use of moisture-labile Me3Si protective groups. Thus, I (R1 = R3 = Bz, R2 = H) and .beta.-D-ribofuranose tetraacetate were stirred 15 h at room temp. in (ClCH2)2 contg. TiCl4 to give a protected nucleoside which was deacylated by heating at 60.degree. in aq. NH3/MeOH to give 94.5% cytidine.

IT **6979-94-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(ribosylation by, of benzoylcytosines, with Lewis acid catalysts)

RN 6979-94-8 HCAPLUS
CN Guanosine, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

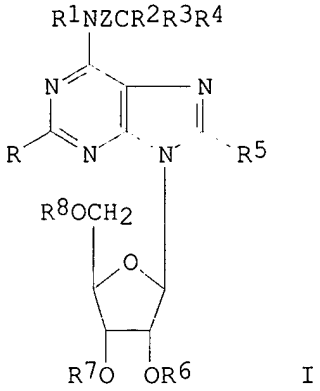
Absolute stereochemistry.



L76 ANSWER 72 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1985:542313 HCAPLUS
DN 103:142313
TI N6-Substituted diarylalkyladenosines
IN Bristol, James A.; Trivedi, Bharat; Moos, Walter H.
PA Warner-Lambert Co. , USA
SO Eur. Pat. Appl., 62 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	EP 139358	A2	19850502		EP 1984-305047	19840725	<--
	EP 139358	A3	19851009				
	EP 139358	B1	19881109				
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE						
	ZA 8405311	A	19860226		ZA 1984-5311	19840710	<--
	CA 1239397	A1	19880719		CA 1984-458620	19840711	<--
	IL 72422	A1	19880831		IL 1984-72422	19840716	<--
	AU 8430782	A1	19850207		AU 1984-30782	19840718	<--
	AU 570058	B2	19880303				
	EP 251339	A2	19880107		EP 1987-110557	19840725	<--
	EP 251339	A3	19890726				
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE						
	AT 38520	E	19881115		AT 1984-305047	19840725	<--
	FI 8403013	A	19850202		FI 1984-3013	19840730	<--
	FI 77666	B	19881230				
	FI 77666	C	19890410				
	DK 8403715	A	19850202		DK 1984-3715	19840731	<--
	DK 159855	B	19901217				
	DK 159855	C	19910513				
	NO 8403084	A	19850204		NO 1984-3084	19840731	<--
	NO 158876	B	19880801				
	NO 158876	C	19881109				
	JP 60075494	A2	19850427		JP 1984-159394	19840731	<--
	HU 34990	O	19850528		HU 1984-2928	19840731	<--
	ES 534752	A1	19860116		ES 1984-534752	19840731	<--
	US 4657897	A	19870414		US 1985-756004	19850717	<--
	US 4657898	A	19870414		US 1985-756922	19850718	<--
PRAI	US 1983-519284		19830801	<--			
	US 1984-621943		19840622	<--			
	EP 1984-305047		19840725	<--			

OS CASREACT 103:142313
GI



I

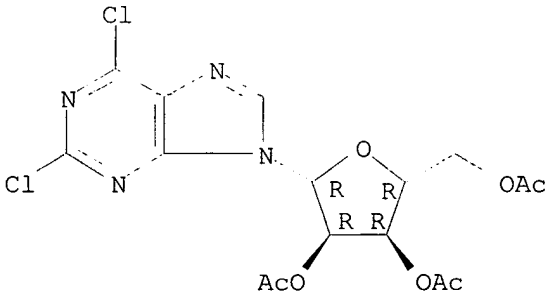
AB Adenosines I [R = H, halo, substituted amino, OH, SH, alkoxy, alkylthio; R1 = H, alkyl; Z = bond, alkylene; R2 = H, OH, alkyl, carboalkoxy, alkanoyloxy; R3, R4 = (un)substituted Ph, pyridyl, thienyl, furanyl; R5 = H, halo; R6, R7, R8 = H, (un)substituted alkanoyl, (un)substituted Bz; R6R7 may be alkylidene; R8 may be phosphate group], with central nervous system and cardiovascular activities, such as analgesic, antipsychotic, sedative, antihypertensive and antianginal activity (biol. test results given), were prepd. Thus, a soln. of 11.47 g 6-chloro-9-.beta.-D-ribofuranosylpurine and 11.47 g Ph2CHCH2NH2 in EtOH was refluxed for 3 days to give N6-(2,2-diphenylethyl)adenosine (yield not given).

IT **3056-18-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of, with diphenylethylamine)

RN 3056-18-6 HCAPLUS

CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 73 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1984:552270 HCAPLUS

DN 101:152270

TI Prodrugs of 6-mercaptopurine and 6-mercaptopurine ribosides and therapeutic compositions and methods employing them

IN Bodor, Nicholas S.; Sloan, Kenneth B.; Pogany, Stefano A.

PA Merck and Co., Inc. , USA

SO U.S., 11 pp. Cont.-in-part of U.S. Ser. No. 290,625, abandoned.
CODEN: USXXAM

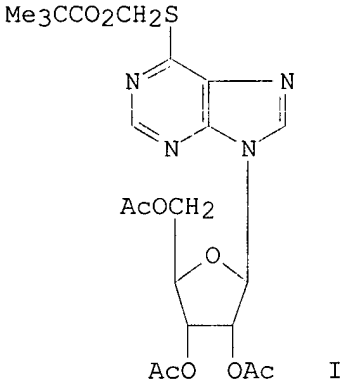
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE
PI	US 4443435	A	19840417		US 1981-320264	19811112 <--
PRAI	US 1980-141981		19800421	<--		
	US 1981-290625		19810803	<--		

GI



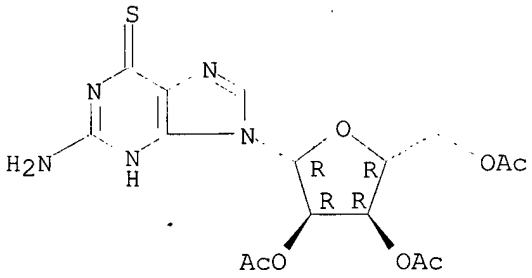
I

AB Prodrugs RCOXCHR1SR2 [R = C1-20 alkyl, C6-10 aryl, C3-8 cycloalkyl, C2-6 alkenyl, C5-8 cycloalkenyl, C2-6 carboxyalkyl or alkanoyloxyalkyl; R1 = H, R, C2-6 alkanoyl, C1-6 haloalkyl; R2SH = biol. active agent, i.e., 6-mercaptopurine and its riboside and 9-.beta.-D-ribofuranosyl-6-thio-9H-purine 2',3',5'-triacetate; X = O, S, NR4 (R4 = H, C1-6 alkyl); RCO can also be an amino acid residue] were prepd. Thus, Me3CO2CH2Cl was treated with thioguanosine triacetate in the presence of NaI to give 57.4% thiopurine I.

IT **2946-36-3 3021-21-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chloromethyl pivalate)

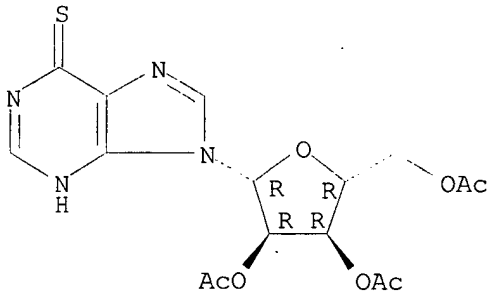
RN 2946-36-3 HCAPLUS
CN Guanosine, 6-thio-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

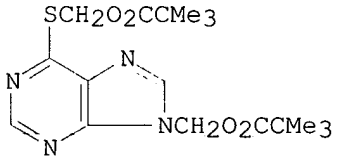


RN 3021-21-4 HCAPLUS
CN Inosine, 6-thio-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

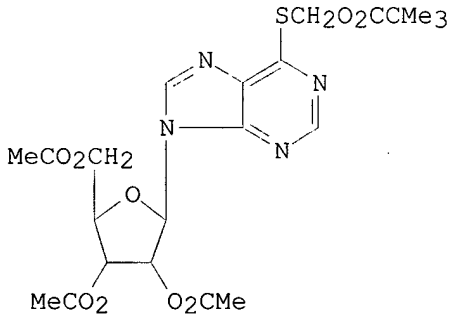
Absolute stereochemistry.



L76 ANSWER 74 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1983:221753 HCAPLUS
DN 98:221753
TI Prodrugs of 6-thiopurines: enhanced delivery through the skin
AU Sloan, K. B.; Hashida, M.; Alexander, J.; Bodor, N.; Higuchi, T.
CS INTERX Res. Corp., Lawrence, KS, 66044, USA
SO Journal of Pharmaceutical Sciences (**1983**), 72(4), 372-8
CODEN: JPMSAE; ISSN: 0022-3549
DT Journal
LA English
GI



I

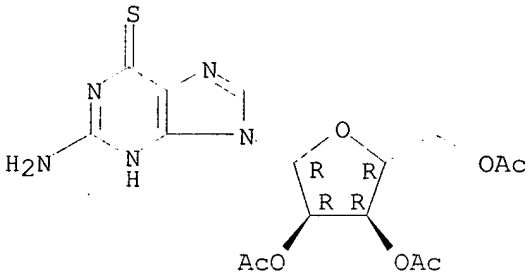


II

AB Soft-alkylated derivs. of 6-mercaptopurine, its riboside, and 2-amino-6-mercaptopurine riboside were prepd. and evaluated to improve the delivery of the thiopurines through the skin. The soft-alkylated derivs. were prepd. by the alkylation of the thiopurines with acylheteroalkyl halides under neutral or basic conditions. The penetration of the derivs. through hairless mouse skin was measured using diffusion cells. All of the derivs. underwent extensive degrdn. during their diffusion through skin so that the parent thiopurine [50-44-2], even in the case of the ribosides, was the major product obsd. in the receptor phase. The pivaloyloxymethyl derivs. showed the greatest potential for enhancing the penetration of the thiopurines through the skin. Among the 6-mercaptopurine derivs., I [80693-25-0] and II [80693-20-5] were the most effective; they delivered 5 and 13 times, resp., more 6-mercaptopurine than 6-mercaptopurine itself.

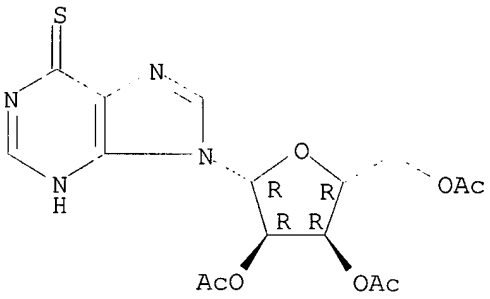
IT **2946-36-3 3021-21-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chloromethyl pivalate)
RN 2946-36-3 HCAPLUS
CN Guanosine, 6-thio-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 3021-21-4 HCAPLUS
CN Inosine, 6-thio-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

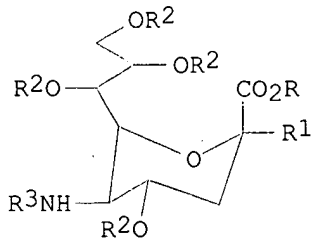


RL: BIOL (Biological study)
(thiopurine prodrug, skin absorption of

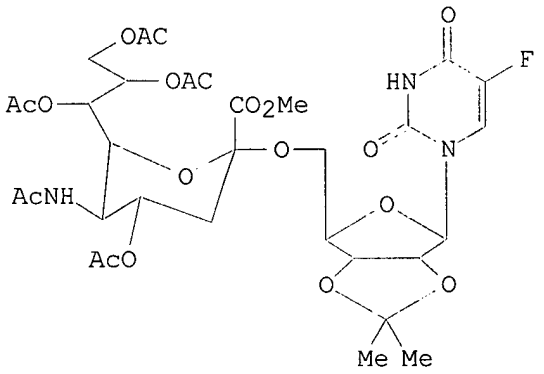
L76 ANSWER 75 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1983:179831 HCAPLUS
DN 98:179831
TI N-Acetylneuraminic acid derivatives
IN Ogura, Haruo; Furuhata, Kimio; Osawa, Toshiaki; Toyoshima, Satoshi; Shitori, Yoshiyasu; Ito, Masayoshi
PA Kanto Ishi Seiyaku Co., Ltd., Japan
SO Ger. Offen., 30 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3219209	A1	19821209	DE 1982-3219209	19820521 <--
	DE 3219209	C2	19880310		
	JP 57193496	A2	19821127	JP 1981-77672	19810522 <--
	JP 60019917	B4	19850518		
	JP 58000992	A2	19830106	JP 1981-99364	19810626 <--
	JP 60053039	B4	19851122		
	US 4447600	A	19840508	US 1982-380401	19820520 <--
	DE 3249916	C2	19920402	DE 1982-3249916	19820521 <--
	FR 2506313	A1	19821126	FR 1982-8946	19820524 <--
	FR 2506313	B1	19851018		
	GB 2101588	A1	19830119	GB 1982-15100	19820524 <--
	GB 2101588	B2	19850213		
	US 4914195	A	19900403	US 1984-664686	19841126 <--

PRAI JP 1981-77672 19810522 <--
JP 1981-99364 19810626 <--
US 1982-387528 19820611 <--
OS CASREACT 98:179831
GI



I



II

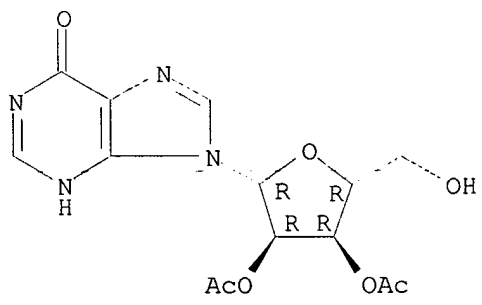
AB N-Acetylneuraminic acids I (R = H, alkyl, aralkyl, aryl; R1 = nucleoside or glucose residue; R2,R3 = H, Ac) were prepd. Thus, I (R = R2 = H, R1 = OH, R3 = Ac) was esterified and treated with AcCl to give I (R = Me, R1 = Cl, R2 = R3 = Ac) which was treated with 5-fluoro-2',3'-isopropylideneuridine to give II. II stimulated DNA synthesis in concanavalin A-stimulated lymphocytes at 1 .times. 10-5 M in vitro.

IT **4152-78-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chloropentaacetylneuraminate)

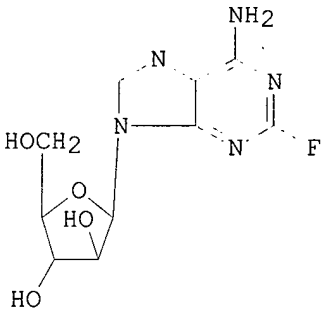
RN 4152-78-7 HCAPLUS

CN Inosine, 2',3'-diacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L76 ANSWER 76 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1983:83234 HCAPLUS
DN 98:83234
TI Specificity of systems mediating transport of adenosine, 9-.beta.-D-arabinofuranosyl-2-fluoroadenine, and other purine nucleoside analogs in L-1210 cells
AU Sirotnak, F. M.; Chello, P. L.; Dorick, D. M.; Montgomery, J. A.
CS Mem. Sloan-Kettering Cancer Cent., New York, NY, 10021, USA
SO Cancer Research (**1983**), 43(1), 104-9
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English
GI



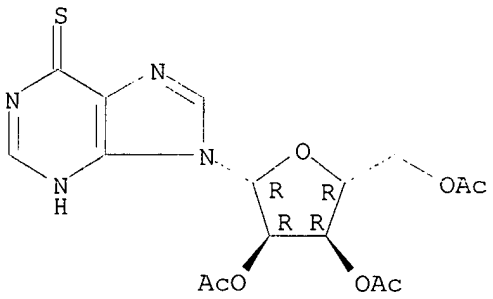
I

AB Kinetic duality was reported for transport of the adenosine analog 9-.beta.-D-arabinofuranosyl-2-fluoroadenine (I) [21679-14-1]. High-capacity systems mediating influx of this analog in L-1210 cells were delineated which exhibited a high affinity of KM = 69.4 .mu.M and a low affinity of KM = 305 .mu.M for this analog. This analog shared mediated entry with 3H-labeled adenosine [58-61-7] by high affinity (KM = 14.9 .mu.M) and low (KM = 218 .mu.M) systems, and the same high values for Vmax (low affinity vs. high affinity, 2:1 to 3:1) were derived when either [3H]adenosine or the analog was used as substrate. The kinetic duality for mediated transport of either substrate was the same in L-1210/ara-C cells which were not able to phosphorylate the analog. From the results of reciprocal competition expts. between adenosine and 9-.beta.-D-arabinofuranosyl-2-fluoroadenine showing good agreement between values for KM and Ki, it was concluded that mediated entry of the analog can be entirely accounted for by these 2 systems which transport [3H]adenosine. During studies with a large group of related purine analogs, marked differences in specificity of each system for these analogs were shown. Purine ribosides bearing a substituent at position 6, as in the case of adenosine but not inosine [58-63-9], were in one case more effective and in other cases equiv. to or nearly as effective as adenosine as inhibitors of [3H]adenosine transport by either system. Halogenation at position 2 or 8 reduced 2- to 5-fold the effectiveness of adenosine as a competitive inhibitor of [3H]adenosine by the high-affinity system. Fluorination at position 2 had no effect (high-affinity system) or little effect (low-affinity system) on the action of 1-.beta.-D-arabinofuranosyladenine [5536-17-4] as an inhibitor of transport. 8-bromoadenosine [2946-39-6] Was 2-fold better than was adenosine as an inhibitor of [3H]adenosine transport by the low-affinity system. A variety of other structural modifications of the base and sugar of adenosine were found to reduce effectiveness as inhibitors of [3H]adenosine transport.

IT **3021-21-4 29886-19-9**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); BIOL (Biological study)

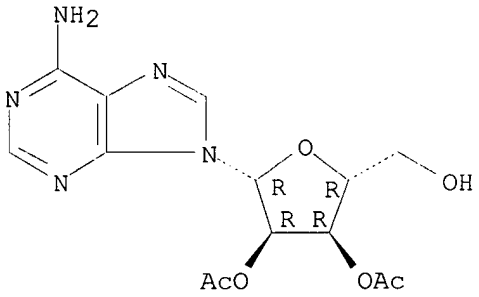
(adenosine transport by neoplasm response to)
RN 3021-21-4 HCAPLUS
CN Inosine, 6-thio-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 29886-19-9 HCAPLUS
CN Adenosine, 2',3'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



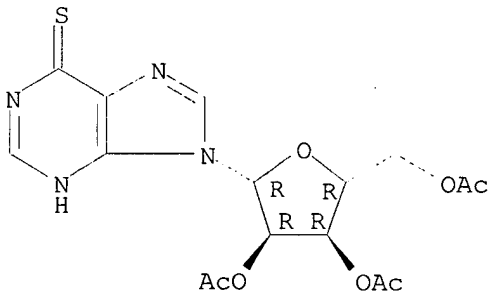
L76 ANSWER 77 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1982:560146 HCAPLUS
DN 97:160146
TI 6-Substituted purines: a novel class of inhibitors of endogenous protein degradation in isolated rat hepatocytes
AU Gordon, Paul B.; Seglen, Per O.
CS Norsk Hydro's Inst. Cancer Res., Norwegian Radium Hosp., Oslo, Norway
SO Archives of Biochemistry and Biophysics (**1982**), 217(1), 282-94
CODEN: ABBIA4; ISSN: 0003-9861
DT Journal
LA English
AB About 100 different purine derivs. and analogs were tested for their effect on protein synthesis and protein degrdn. in isolated rat hepatocytes. These included 6-aminopurine (adenine and adenosine analogs), 6-mercaptopurines, chloropurines, oxypurines, cytokinins, methylxanthines, methylindoles, benzimidazoles, and benzodiazepines. Most of the compds. were either inactive or inhibited protein synthesis as much as or more than they inhibited protein degrdn. However, 3 methylated 6-aminopurines (3-methyladenine, 6-dimethylaminopurine riboside, and puromycin aminonucleoside) and 4 6-mercaptopurines (6-methylmercaptopurine, 6-methylmercaptopurine riboside, 6-mercaptopurine riboside, and 2',3',5'-triacetyl-6-mercaptopurine riboside) had a markedly stronger effect on protein degrdn. than on synthesis, and might therefore be potentially useful as selective degrdn. inhibitors. None of the 7 above-mentioned purines had any significant effect on the degrdn. of the

exogenous protein, asialofetuin, and would therefore seem to selectively inhibit endogenous protein degrdn. Since the degrdn. was not further affected by purines in the presence of amino acids or lysosomotropic amines, it is suggested that the purines exert their effect specifically upon the autophagic/lysosomal pathway. All the mercaptopurines significantly depressed cellular ATP levels, whereas the methylated aminopurines did not. For this reason, the latter are probably more useful as degrdn. inhibitors. 3-Methyladenine had no effect on protein synthesis at a concn. (5 mM) which inhibited protein degrdn. by >60%, and may therefore be regarded as a highly specific inhibitor of autophagy.

IT **3021-21-4**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); BIOL (Biological study)
(protein formation and degrdn. by hepatocyte response to)

RN 3021-21-4 HCAPLUS
CN Inosine, 6-thio-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

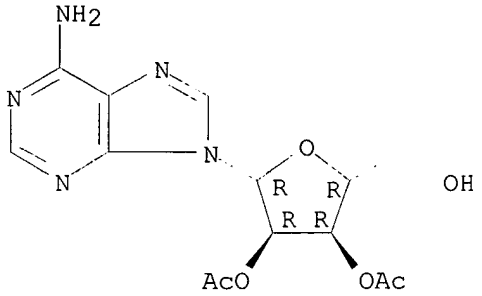


L76 ANSWER 78 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1982:214695 HCAPLUS
DN 96:214695
TI Vasoactivities of adenosine analogs in trout gill (Salmo gairdneri R.)
AU Colin, Didier A.; Leray, Claude
CS Lab. Physiol. Comp. Regul., CNRS, Strasbourg, F-67037, Fr.
SO Biochemical Pharmacology (**1981**), 30(21), 2971-7
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB Various adenosine analogs, phosphorylated or not, modified at the purine or in the carbohydrate moiety, were tested for their ability to induce a vasoconstriction in the arterio-arterial vascular bed of the trout gill. Structure-activity relations were detd. The results sustained the hypothesis of the presence of specific vascular purinergic receptors in the trout gill.

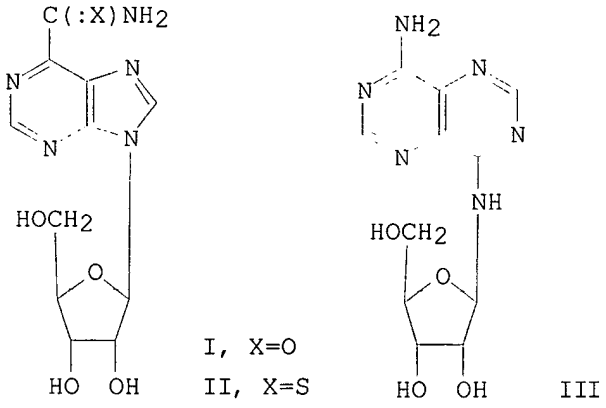
IT **29886-19-9**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); BIOL (Biological study)
(artery constriction by, in gill of trout, purine receptors in relation to)

RN 29886-19-9 HCAPLUS
CN Adenosine, 2',3'-diacetate (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



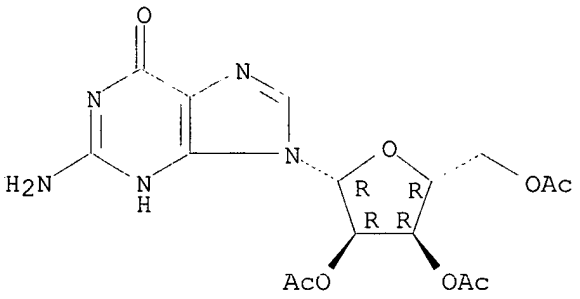
L76 ANSWER 79 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1981:473399 HCAPLUS
DN 95:73399
TI Synthesis and **antiviral** activity of certain 9-.beta.-D-ribofuranosylpurine-6-carboxamides
AU Westover, James D.; Revankar, Ganapathi R.; Robins, Roland K.; Madsen, Randall D.; Ogden, John R.; North, James A.; Mancuso, Robert W.; Rousseau, Robert J.; Stephen, Edward L.
CS Cancer Res. Cent., Brigham Young Univ., Provo, UT, 84602, USA
SO Journal of Medicinal Chemistry (**1981**), 24(8), 941-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I, X=O
II, X=S
III

AB Ribofuranosylpurines were synthesized and tested for **antiviral** efficacy against several RNA and DNA **viruses** in cell culture and against Rift Valley fever **virus** in mice. 9.beta.-D-Ribofuranosylpurine-6-carboxamide (I) [65134-53-4], its 6-thiocarboxamide (II) [78131-47-2], and 4-amino-8-(.beta.-D-ribofuranosylamino)pyrido[5,4-d]pyrimidine (III) [50663-92-8] had significant in vitro **antiviral** activity at nontoxic doses. I (50 mg/kg/day) also had **antiviral** activity in mice infected with Rift Valley fever **virus** (55% survival rate on day 21 compared to 30% in controls).
IT **6979-94-8**
RL: PROC (Process)
(carbamylation of)
RN 6979-94-8 HCAPLUS
CN Guanosine, 2',3',5'-triacetate (7CI, 8CI, 9CI) (CA INDEX NAME)

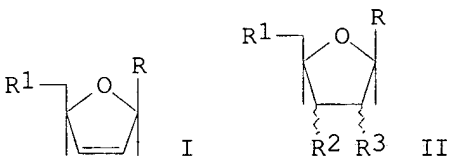
Absolute stereochemistry.



L76 ANSWER 80 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1977:502601 HCAPLUS
DN 87:102601
TI 2',3'-Unsaturated nucleosides
IN Miyoshi, Muneji; Inoue, Ichizo; Adachi, Takeshi; Iwasaki, Tameo
PA Tanabe Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 52027783	A2	19770302	JP 1975-105116	19750829 <--
	JP 59043550	B4	19841023		
PRAI	JP 1975-105116		19750829 <--		

GI



I

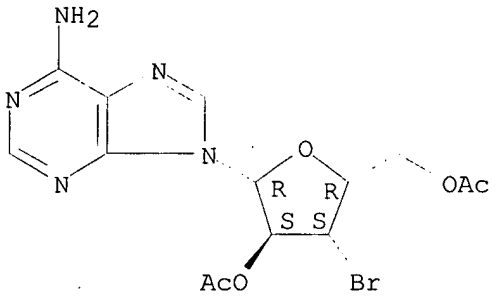
II

AB Four 2',3'-unsatd. nucleosides I (R = adenin-9-yl, N4-acetylcytosin-1-yl, uracil-1-yl; R1 = AcO, azido, HO), having antitumor and **antiviral** activities (no data), were prepd. by electrolytic redn. of II (R2 or R3 = Br and the other is acyloxy). Thus, 420 mg 9-(2',5'-di-O-acetyl-3'-bromo-3'-deoxy-.beta.-D-xylofuranosyl)adenine and 400 mg Bu4NBr in 30 mL DMF was electrolyzed with a Hg cathode at 15-25.degree. to give 77% I (R = adenin-9-yl, R1 = AcO).

IT **62805-48-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(electrolytic redn. of)

RN 62805-48-5 HCAPLUS
CN 9H-Purin-6-amine, 9-(2,5-di-O-acetyl-3-bromo-3-deoxy-.beta.-D-xylofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

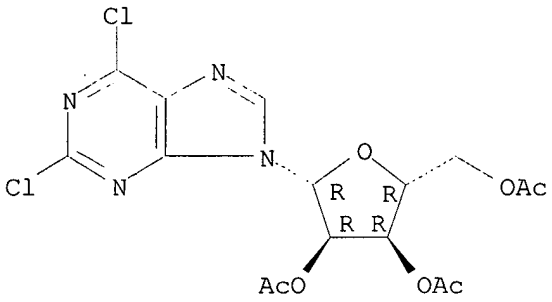


L76 ANSWER 81 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1973:453745 HCAPLUS
DN 79:53745
TI 6-Aminonebularines
IN Kampe, Wolfgang; Koch, Klaus; Stach, Kurt; Stork, Harald; Schmidt, Felix Helmut
PA Boehringer Mannheim G.m.b.H.
SO Ger. Offen., 16 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2157036	A1	19730524	DE 1971-2157036	19711117 <--
	US 3988317	A	19761026	US 1972-303290	19721102 <--
	CA 979434	A1	19751209	CA 1972-156028	19721108 <--
	DD 101678	C	19731112	DD 1972-166794	19721110 <--
	ES 408500	A1	19751101	ES 1972-408500	19721110 <--
	NL 7215322	A	19730521	NL 1972-15322	19721113 <--
	GB 1346528	A	19740213	GB 1972-52331	19721113 <--
	FR 2160477	A1	19730629	FR 1972-40495	19721115 <--
	ZA 7208091	A	19730829	ZA 1972-8091	19721115 <--
	DK 127297	B	19731015	DK 1972-5672	19721115 <--
	CS 163290	P	19750829	CS 1972-7733	19721115 <--
	CH 575964	A	19760531	CH 1972-16609	19721115 <--
	JP 48061497	A2	19730828	JP 1972-115184	19721116 <--
	HU 164383	P	19740228	HU 1972-BO1403	19721116 <--
	AU 7248967	A1	19740516	AU 1972-48967	19721116 <--
	SU 451245	D	19741125	SU 1972-1847841	19721116 <--
	AT 322117	B	19750512	AT 1972-9755	19721116 <--
	PL 79752	P	19750630	PL 1972-158882	19721116 <--
PRAI	DE 1971-2157036		19711117 <--		

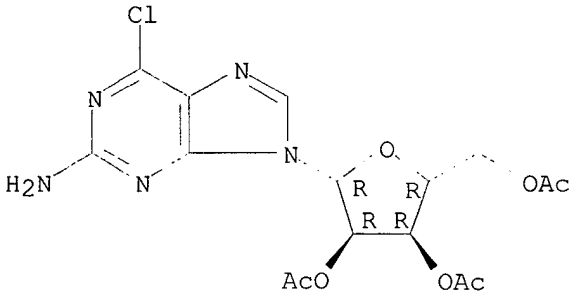
GI For diagram(s), see printed CA Issue.
AB Twenty-two title compds. [I; R = H, Cl, H2N; NR1R2 = e.g. piperidino, 2-, 3-, or 4-methylpiperidino, 1-pyrrolidinyl, hexamethyleneimino, 4-methoxypiperidino, 4-(2-hydroxyethyl)-piperidino], useful as hypolipemic and hypocholesterolemic drugs, were prepd. in 22-86% yield by reaction of the chloro derivs. II or their tri-O-acetyl derivs. with HNR1R2 and, optionally, subsequent hydrolysis of the acetyl groups.
IT **3056-18-6 16321-99-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyclic amines)
RN 3056-18-6 HCAPLUS
CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 16321-99-6 HCAPLUS
CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 82 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1973:124846 HCAPLUS
DN 78:124846
TI N-Benzyladenosine derivatives
IN Kampe, Wolfgang; Fauland, Erich; Thiel, Max; Juhran, Wolfgang; Stork, Harald
PA Boehringer Mannheim G.m.b.H.
SO Ger. Offen., 20 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2136624	A	19730208	DE 1971-2136624	19710722 <--
	GB 1340643	A	19731212	GB 1972-33537	19720618 <--
	US 3845035	A	19741029	US 1972-271098	19720712 <--
	ZA 7204891	A	19730530	ZA 1972-4891	19720717 <--
	CH 569035	A	19751114	CH 1975-10617	19720719 <--
	CH 570420	A	19751215	CH 1972-10795	19720719 <--
	NL 7210023	A	19730124	NL 1972-10023	19720720 <--
	ES 405022	A1	19750716	ES 1972-405022	19720720 <--
	CA 979891	A1	19751216	CA 1972-147625	19720720 <--
	SU 539532	D	19761215	SU 1972-1812966	19720720 <--
	FR 2146493	A1	19730302	FR 1972-26450	19720721 <--
	AT 317446	B	19740826	AT 1972-6288	19720721 <--
	AT 790673	A	19750415	AT 1973-7906	19720721 <--
PRAI	DE 1971-2136624		19710722 <--		

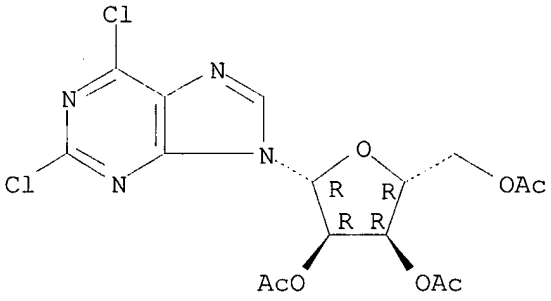
GI For diagram(s), see printed CA Issue.

AB Thirty-three title compds. (I; X = NHCH2C6H5-nRn; R: = Cl, OH NH2 or Br; Rn = e.g. 2-OH, 3,2-HOMe, 2,5 HOCl, 2,4- HOCl) were prepd. by reaction of I (X = Cl) contg. free or acetyl group-protected OH-groups with H2NCH2C6H5-nRn or from the adenosine deriv. and ClCH2C6H5nRn. I had circulatory and antilipemic effects.

IT **3056-18-6 16321-99-6 40896-58-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzylamine derivs.)

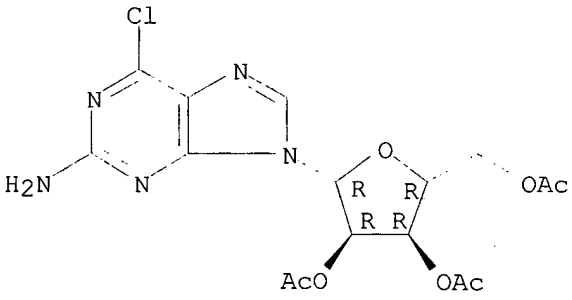
RN 3056-18-6 HCAPLUS
CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



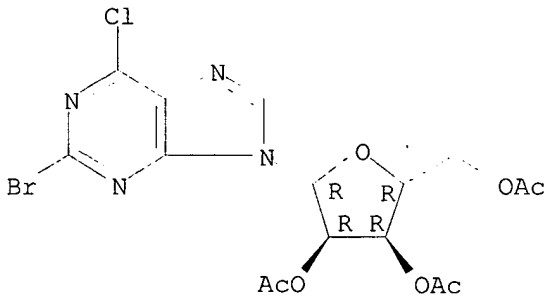
RN 16321-99-6 HCAPLUS
CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 40896-58-0 HCAPLUS
CN 9H-Purine, 2-bromo-6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 83 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1973:30156 HCAPLUS
DN 78:30156
TI Adenosine derivatives
IN Pohlke, Rolf; Jonas, Rochus; Mehrhof, Werner; Schliep, Hans Jochen; Becker, Karl Heinz; Nowak, Herbert; Simane, Zdenek
PA Merck Patent G.m.b.H.
SO Ger. Offen., 36 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE 2117577	A	19721026	DE 1971-2117577	19710410	<--
	ZA 7201889	A	19730328	ZA 1972-1889	19720320	<--
	NL 7203984	A	19721012	NL 1972-3984	19720324	<--
	IL 39080	A1	19750625	IL 1972-39080	19720326	<--
	CS 161939	P	19750610	CS 1972-2044	19720327	<--
	CS 161940	P	19750610	CS 1972-88	19720327	<--
	CS 161941	P	19750610	CS 1972-89	19720327	<--
	CS 161942	P	19750610	CS 1972-90	19720327	<--
	GB 1347203	A	19740220	GB 1972-14446	19720328	<--
	BE 781791	A1	19721009	BE 1972-116042	19720407	<--
	DD 97419	C	19730514	DD 1972-162151	19720407	<--
	AT 321476	B	19750410	AT 1972-3043	19720407	<--
	AT 7401361	A	19750715	AT 1972-136174	19720407	<--
	AT 7401362	A	19750715	AT 1972-136274	19720407	<--
	AT 7401363	A	19750715	AT 1972-136374	19720407	<--
	CA 973874	A1	19750902	CA 1972-139185	19720407	<--
	DK 131867	B	19750915	DK 1972-1726	19720407	<--
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	FR 2132811	A5	19721124	FR 1972-12452	19720410	<--
	FR 2132811	B1	19750425			
	BR 7202095	A0	19730717	BR 1972-2095	19720410	<--
	US 3838147	A	19740924	US 1972-242741	19720410	<--
	HU 168819	P	19760728	HU 1972-ME1485	19720410	<--
	AT 329194	B	19760426	AT 1974-1361	19740219	<--
	AT 329195	B	19760426	AT 1974-1362	19740219	<--
	AT 329196	B	19760426	AT 1974-1363	19740219	<--
PRAI	DE 1971-2117577		19710410	<--		
	DE 1972-2205002		19720203	<--		
	AT 1972-3043		19720407	<--		

GI For diagram(s), see printed CA Issue.
AB Ten N6-norcamphanyladenosine derivs. (I; R = H, Cl, NH2, NHNH2, SCH2Ph; R1 = H, CH2Ph, Ac; Z = CH2, -) were prepd. from 6-chloro-9-(.beta.-D-ribofuranosyl)purine (II) and the correspondingly substituted 2-norcamphanylamine. 3-Phenyl-2-norcamphanylamine reacted with II at

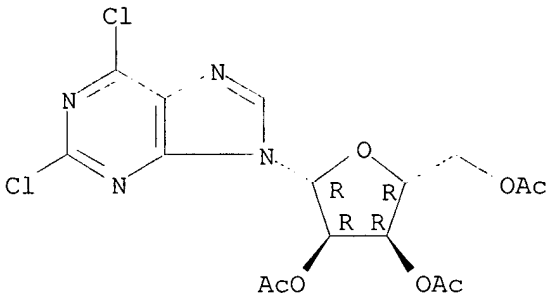
120.degree. to give I (R = R1 = H, Z = -). Condensation was also obtained in alc. contg. Et3N at room temp. Adenosine reacted with 2-(chloromethyl)-3-phenylnorcamphane in DMF at 80.degree. to give I (R = R1 = H, Z = CH2). N6-(3-Phenylbicyclo[2.2.1]hept-5-en-2-yl)- and N6-(3-phenylbicyclo[2.2.2]oct-2-yl)-adenosine were also prepd. I were useful as hypertensive agents.

IT **3056-18-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactions of, with norcamphanylamine derivs.)

RN 3056-18-6 HCAPLUS

CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 84 OF 86 HCAPLUS COPYRIGHT 2003 ACS

AN 1968:40026 HCAPLUS

DN 68:40026

TI 2,6-Dichloropurine and its D-ribofuranosyl derivative

IN Kawashima, Hideaki; Kumashiro, Izumi; Takenishi, Tadao

SO U.S., 3 pp.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

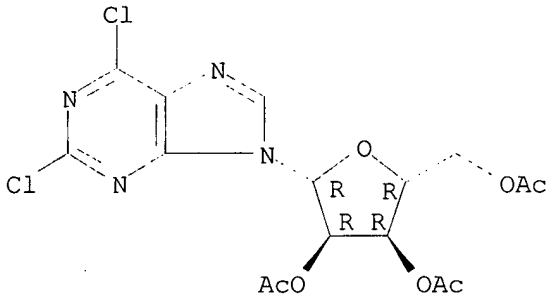
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3314938		19670418		<--
PRAI JP		19631206 <--		
JP		19640525 <--		

AB A mixt. of 1.064 g. hypoxanthine 1-oxide, 2.4 g. N,N-dimethylaniline, and 100 g. phosphoryl chloride (I) was refluxed 2 hrs., excess I distd. in vacuo, the residue poured on ice, and the soln. made up to 100 ml. Paper chromatog. showed a single spot using PrOH-concd. NH3-H2O (20:12:3 by vol.) (soln. 1) and BuOH-AcOH-H2O (4:1:1 by vol.) (soln. 2) as solvent systems. The spot was extd. with dil. acid. The effluent showed uv absorption at 277 m.mu., corresponding to 2,6-dichloropurine. The acidic soln. was made alk., liberated N,N-dimethylaniline extd. with Et2O, the aq. layer made acidic and continuously extd. 12 hrs. with Et2O, and Et2O distd. to give 8.5 g. 2,6-dichloropurine, m. 177.degree. (decompn.). NEt3, Bu3N, lutidine, NHEt2, cyclohexylamine, pyridine, 2,4-lutidine, and .alpha.-picoline are also used as catalysts. To a soln. of 5 g. adenosine 1-oxide in 50 ml. AcOH and 100 ml. H2O, a soln. of 12 g. NaNO2 in 25 ml. H2O was added below 20.degree., the mixt. kept 2 days at room temp., the ppt. filtered off and dissolved in H2O, and chromatographed over Amberlite IR-120 to give 4 g. inosine 1-oxide (II), m. 200.degree. (decompg. 210.degree.), .lambda. 252, 270 m.mu. (pH 1) and .lambda. 228, 257, 295 m.mu. (pH 13). II showed a single spot with soln. 1 (Rf 0.53) and soln. 2 (Rf 0.17). A mixt. of 5 g. II, 100 ml. C5H5N, and 50 ml. Ac2O was kept 2

days in the ice-box and poured into 200 ml. ice-water and the aq. soln. repeatedly extd. with CHCl3 to yield 2',3',5'-tri-O-acetylinosine 1-oxide (III), m. 194-5.5.degree. (EtOH), Rf 0.7 (soln. 2). A mixt. of 1 g. III, 1 ml. 2,6-lutidine, and 2.3 ml. I was refluxed 3 hrs. and evapd. to dryness in vacuo to give 0.8 g. 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)purine, m. 160-61.degree. (EtOH), Rf 0.85 (soln. 2). Use of an equimolar mixt. of .alpha.-picoline and NEt3 also gives III.

IT **3056-18-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 3056-18-6 HCAPLUS
CN 9H-Purine, 2,6-dichloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 85 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1963:403805 HCAPLUS
DN 59:3805
OREF 59:740b-d
TI 6-Mercaptopurine nucleosides
IN Hitchings, George H.; Goodman, Irving
PA Burroughs Wellcome & Co. (U.S.A.) Inc.
SO 5 pp.; Addn. to U.S. 3,074,929
DT Patent
LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 3074930		19630122	US		<--
	GB 941751			GB		
PRAI	GB		19550811 <--			

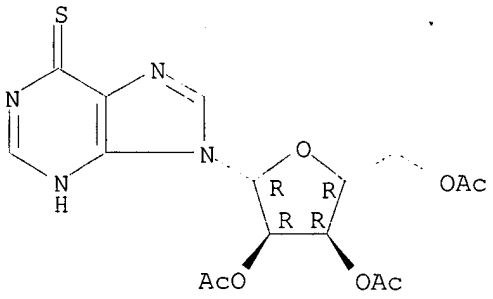
GI For diagram(s), see printed CA Issue.

AB 6-Chloro-9-(2,3,5-tri-O-acetylribofuranosyl)purine (I) (2.5 g.) in 10 ml. alc. refluxed 1 hr. with 1 g. (COSK)2 in 25 ml. alc. gave 6-mercapto-9-(2,3,5-tri-O-acetylribofuranosyl)purine. I(12 g.) in 120 ml. alc. refluxed 1 hr. with 7 g. Na 1-thio-D-glucose (II) in 20 ml. H2O gave 6-(D-glucopyranosylthio)-9-(2,3,5-tri-O -acetylribofuranosyl)purine (III). III (5 g.) in 70 ml. alc. NH3 kept 18 hrs. at room temp. gave 2.8 g. 6-(D-glucopyranosylthio)-9-ribofuranosylpurine. 6-Chloro-9-(2,3,5-tri-O-benzoyl-D-ribofuranosyl)purine (2 g.) in 50 ml. alc. heated 15 min. at 100.degree. with 1 g. II in 5 ml. H2O gave 0.8 g. IV (R = H, SR' = D-pyranosylthio). 2-Amino-6-chloro-9-(2,3,5-tri-O-benzoyl-D-ribofuranosyl)purine (0.5 g.) similarly treated with 0.25 g. II gave IV (R = NH2, R' = D-glucopyranosylthio). Hydrolysis split the D-glucosylthio linkage leaving 2-amino-6-mercapto-9(tribenzoylribofuranosyl)purine.

IT **3021-21-4**, 9H-Purine-6-thiol, 9-.beta.-D-ribofuranosyl-, 2',3',5'-triacetate
(prepn. of)
RN 3021-21-4 HCAPLUS

CN Inosine, 6-thio-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L76 ANSWER 86 OF 86 HCAPLUS COPYRIGHT 2003 ACS
AN 1963:403804 HCAPLUS
DN 59:3804
OREF 59:739b-f,740a
TI Glycosides of 6-mercaptopurine
IN Hitchings, George H.; Goodman, Irving
PA Burroughs Wellcome & Co. (U.S.A.) Inc.
SO 4 pp.
DT Patent
LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 3074929		19630122	US		<--
PRAI	GB		19550811	<--		

AB 6-(Benzylthio)purine (10 g.) in 200 cc. concd. NH4OH treated with 7.2 g. AgNO8 gave 13.2 g. 6-benzylthiopurine silver (I), m. 240-4.degree. (decompn.). I (5.07 g.) suspended in 100 cc. xylene refluxed 17 hrs. with tetra-O-acetyl-.alpha.-D-glucopyranosyl chloride gave 6-benzylthio-9-tetra-O-acetyl-.beta.-D-glucopyranosylpurine (II), m. 94-9.degree., resolidified, and m. 145.degree.. II (0.5 g.) in 25 cc. satd. alc. NH3 left 48 hrs. at room temp. gave 6-benzylthio-9-.beta.-D-glucopyranosylpurine (III), m. 110.degree.. III (0.5 g.) in 100 cc. liquid NH3 treated with Na gave 9-.beta.-D-glucopyranosyl-6-mercaptopurine, m. 205.degree.. I (5.5 g.) treated 17 hrs. under reflux with 4.4 g. 2,5-di-O-acctyl-1-chloro-1-deoxy-D-glucuronolactone in 150 cc. xylene gave 1.3 g. 6-benzylthio-9-(2,5-di-O-acetyl-.beta.-D-gluco furanuranolactonyl)purine. I similarly treated with 2,3,5-tri-O-acetyl-1-chloro-D-ribofuranose gave 6 benzylthio-9-(2,3,5-tri-O-acetyl-D-ribofuranosyl)purine (IV). IV treated with NH3-alc. gave 6-benzylthio-9-D-ribofuranosylpurine (V). V (2 g.) was debenzylated with Na in liq. NH3 to give 6-mercapto-9-D-ribofuranosylpurine (VI). VI was also obtained by using chloromercuri-6-chloropurine (VII)as follows: 6-Chloropurine (28.8 g.) in 200 ml. H2O contg. 7.4 g. NaOH with 50.5 g. HgCl2 in 250 ml. alc. gave VII. VII (8 g.) refluxed 48 hrs. with 7 g. 2,3,5-tri-O-acetyl-D-ribofuranosyl chloride gave 6-chloro-9-(2,3,5-tri-O-acctyl-D-ribofuranosyl)purine (VIII). VIII (2 g.) refluxed 18 hrs. with 0.2 g. CS(NH2)2 in alc. with 0.2 g. NaOAc gave after satg. with NH3 a small amt. of VI. Inosine (15 g.) in 200 ml. C5H6N warmed 2 hrs. with 100 ml. Ac2O gave 19.5 g. 9-(2,3,5-tri-O-acetyl-D-ribofuranosyl)-6-hydroxypurine (IX), m. 230.degree.. IX (16 g.) heated 4 hrs. with 10 ml. PhNMe2 and 100 ml. POCl3 gave 14.8 g. 9-(2,3,5-tri-O-acetyl-D-ribofuranosyl)-6-chloropurine (X), a sirup. X (1.3 g.) in 10 ml. alc. treated 1 hr. with 0.6 g. Na thioacetate in alc. gave 800 mg. 6-mercapto-9-(2,3,5-tri-O-acetyl-D-ribofuranosyl)purine (XI). XI (95 mg.) in 25 ml. alc. satd. with NH3 gave 60% 6-mercapto-9-D-ribofuranosylpurine.

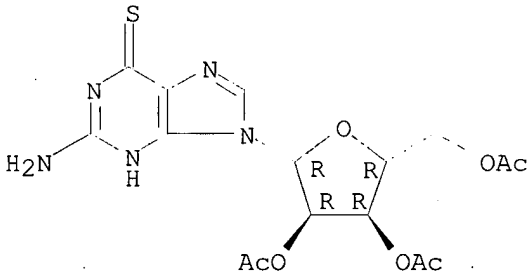
Inosine (5 g.) treated with 10 g. BzCl in C5H5N gave 10 g. 6-hydroxy-9-(2,3,5-tri-O-benzoyl-D-ribofuranosyl)purine (XII). XII (5 g.) similarly treated with 61 g. POCl3 gave 6 g. crude 6-chloro-9-(2,3,5-tri-O-benzoyl- D-ribofuranosyl)purine (XIII). XIII (1 g.) treated with Na thioacetate in alc. for 1 hr. gave 400 mg. 6-mercapto-9-(2,3,5-tri-O-benzoyl-D-ribofuranosyl)purine. Com. guanosine (50 g.) heated 17 hrs. at 100.degree. in C5H5N with 250 ml. Ac2O gave 63 g. 2-amino-6-hydroxy-9-(2,3,5-tri-O-acetyl-D-ribofuranosyl)purine (XIV). XIV (25 g.) similarly heated 4 hrs. with POCl3 gave 2-amino-6-chloro-9-(2,3,5-tri-O-acetyl-D-ribofuranosyl)purine (XV). XV (1 g.) in alc. treated with 0.5 g. Na thioacetate in 5 ml. alc. by refluxing 2 hrs. gave 2-amino-6-mercapto-9-(2,3,5-tri-O-acetyl-D-ribofuranosyl)purine (XVa). Tribenzoylguanosidine (XVI) was prepd. as above for inosine, m. 205-8.degree.. XVI (5 g.) chlorinated with POCl3 as above gave 2-amino-6-chloro-9-(2,3,5-tri-O-benzoyl-D-ribofuranosyl)purine. XVa (0.1 g.) in 2.5 cc. alc. satd. with NH3 left overnight at room temp. gave 2-amino-6-mercapto-9-.beta.-D-ribofuranosylpurine as an amorphous powder.

IT **2946-36-3**, 9H-Purine-6-thiol, 2-amino-9-.beta.-D-ribofuranosyl-, 2',3',5'-triacetate **3021-21-4**, 9H-Purine-6-thiol, 9-.beta.-D-ribofuranosyl-, 2',3',5'-triacetate **16321-99-6**, 9H-Purine, 2-amino-6-chloro-9-.beta.-D-ribofuranosyl-, 2',3',5'-triacetate (prepn. of)

RN 2946-36-3 HCAPLUS

CN Guanosine, 6-thio-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

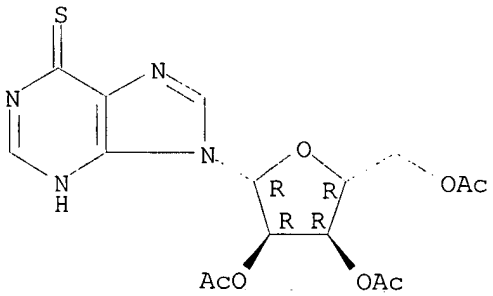
Absolute stereochemistry.



RN 3021-21-4 HCAPLUS

CN Inosine, 6-thio-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

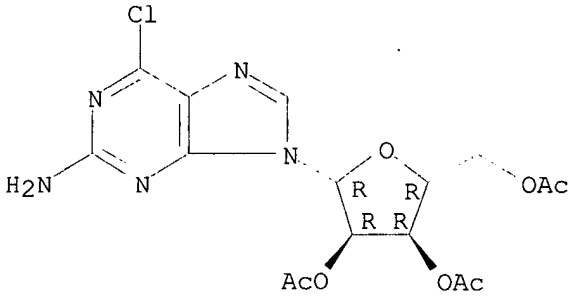
Absolute stereochemistry.



RN 16321-99-6 HCAPLUS

CN 9H-Purin-2-amine, 6-chloro-9-(2,3,5-tri-O-acetyl-.beta.-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES:   21 MAR 2003  HIGHEST RN 500256-84-8
DICTIONARY FILE UPDATES:  21 MAR 2003  HIGHEST RN 500256-84-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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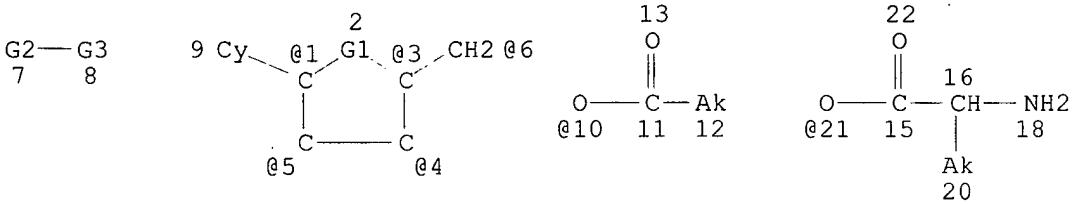

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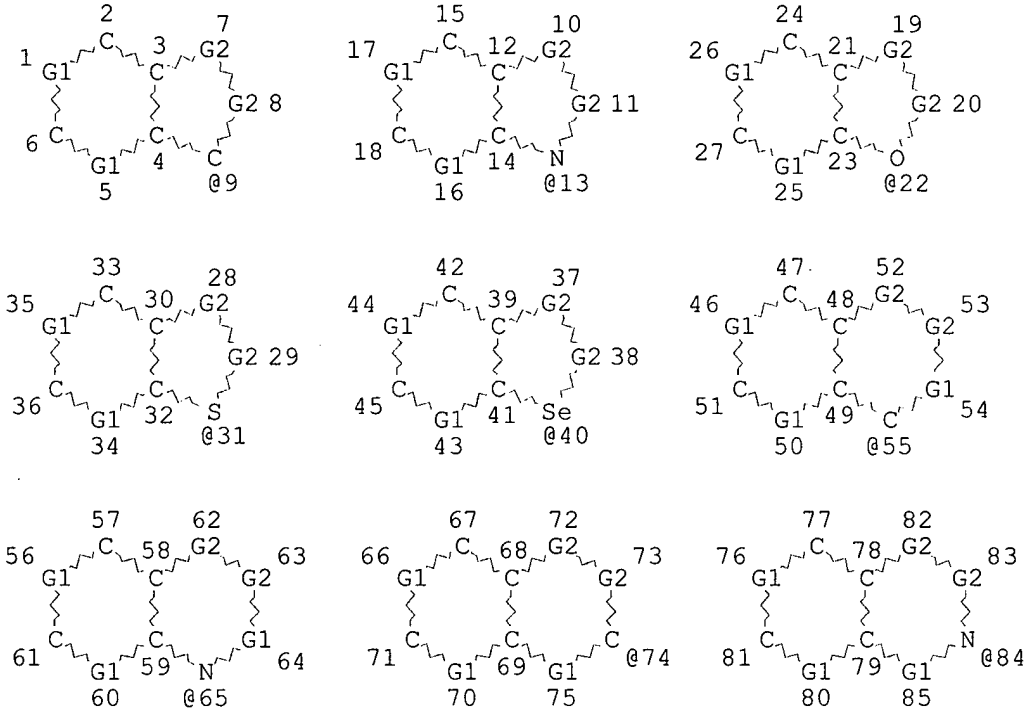

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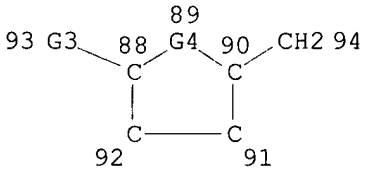

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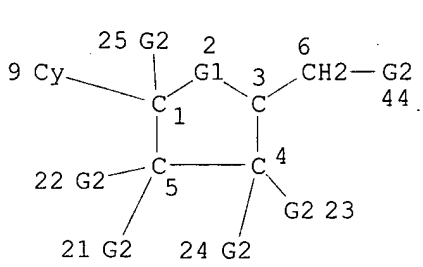

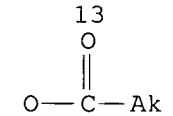

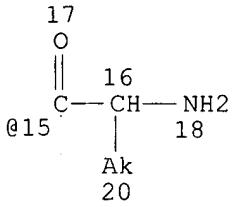

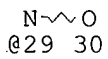

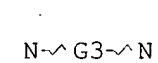

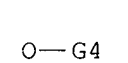

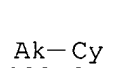

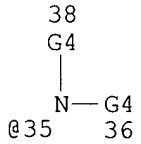

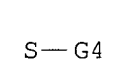

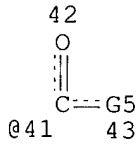


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L67           5 S L64 AND PHARMACEUT?/CW
L68          30 S L64 AND (?VIRUS? OR ?VIRAL? OR ?VIRUC?)
L69          93 S L64 AND (PHARMACEUT? OR PHARMACOL? OR BIOMOL?)/SC,SX
L70          45 S L69 AND L65
L71          70 S L66,L67,L68,L70
L72          45 S L65 AND L71
L73          16 S L65 NOT L72
L74          61 S L72,L73
L75          25 S L66-L68,L70-L73 NOT L74
L76          86 S L74,L75

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No.	Doccode	Number of pages
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2	892	1
3	1449	3

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